=> file caplus
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FILE COVERS 1907 - 2 Jun 2005 VOL 142 ISS 23
FILE LAST UPDATED: 1 Jun 2005 (20050601/ED)

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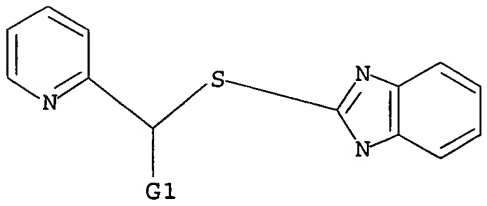
This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.
L2 3428 SEA FILE=REGISTRY SSS FUL L1
L3 12 SEA FILE=CAPLUS L2 AND HYDRATE# AND CRYSTAL?

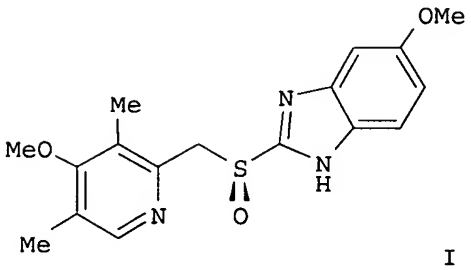
=> d l3 1-12 ibib abs hitstr

L3 ANSWER 1 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:740315 CAPLUS
DOCUMENT NUMBER: 141:265972
TITLE: Preparation of **crystal** polymorphs of the antiulcer agent S-omeprazole and its **hydrates**
INVENTOR(S): Kumar, Yatendra; Khanna, Mahavir Singh; Prasad, Mohan
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2004076440 A1 20040910 WO 2004-IB535 20040301
W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: IN 2003-DE199 A 20030228

GI



I

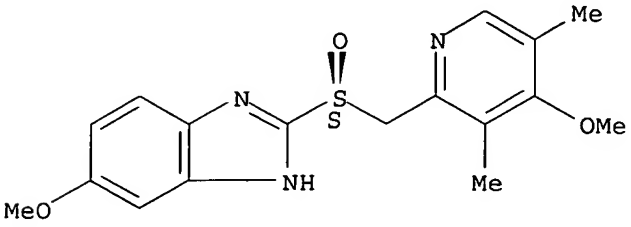
AB Polymorphic forms of the S-enantiomer of omeprazole, S-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (I), and its **hydrates**, are prepared and characterized.

IT **119141-88-7**, S-Omeprazole **755036-61-4**, S-Omeprazole sesquihydrate
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of **crystal** polymorphs of the antiulcer agent S-omeprazole and its **hydrates**)

RN 119141-88-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

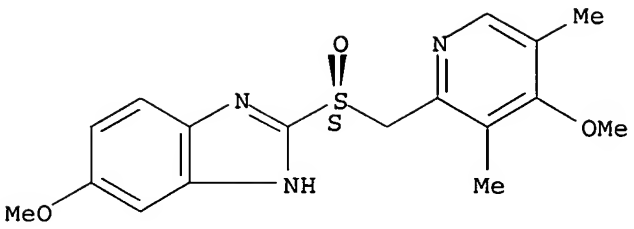
Absolute stereochemistry. Rotation (-).



RN 755036-61-4 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, hydrate (2:3) (9CI) (CA INDEX NAME)

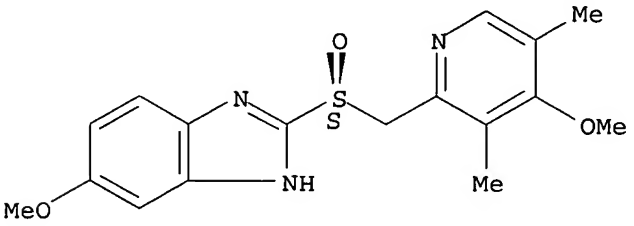
Absolute stereochemistry.



● 3/2 H_2O

IT **161796-84-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of **crystal** polymorphs of the antiulcer agent S-omeprazole and its **hydrates**)
RN 161796-84-5 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● K

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 2 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:550950 CAPLUS
DOCUMENT NUMBER: 141:111542
TITLE: Solid states of pantoprazole sodium, processes for preparing them and processes for preparing known pantoprazole sodium **hydrates**
INVENTOR(S): Finkelstein, Nina; Wizel, Shlomit; Krochmel, Barnaba; Braude, Viviana
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056804	A2	20040708	WO 2003-US40668	20031219

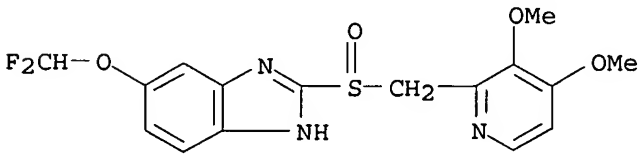
WO 2004056804 A3 20040805
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
US 2004177804 A1 20040916 US 2003-739272 20031219
PRIORITY APPLN. INFO.: US 2002-434445P P 20021219
US 2003-453836P P 20030312

AB Crystalline pantoprazole sodium forms II, IV, V, VI, VIII, IX, X, XI, XII, XIII, XIV, XV, XVI, XVII, XVIII, XIX and XX, pantoprazole sodium solvates containing water, acetone, butanol, Me Et ketone, dimethylcarbonate, propanol and 2-methylpropanol, and amorphous pantoprazole sodium are disclosed. A method of treating gastroesophageal reflux disease comprising administering to a patient a pantoprazole sodium is claimed.

IT **102625-70-7**, Pantoprazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(of pantoprazole sodium and solvates thereof)

RN 102625-70-7 CAPLUS

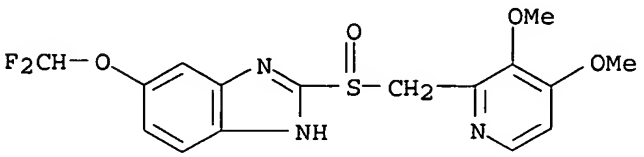
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT **138786-67-1P**, Pantoprazole sodium salt **164579-32-2P** **699002-47-6P** **718635-00-8P** **718635-02-0P** **718635-04-2P** **718635-06-4P** **718635-07-5P** **718635-08-6P** **718635-09-7P** **718635-10-0P** **718635-11-1P** **718635-12-2P** **718635-13-3P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid states of pantoprazole sodium, processes for preparing them and processes for preparing known pantoprazole sodium **hydrates**)

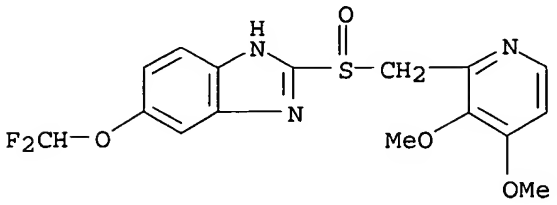
RN 138786-67-1 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

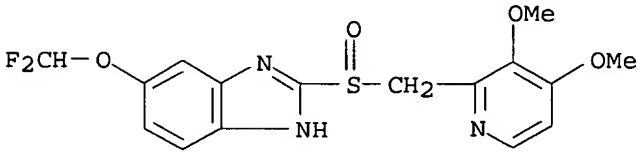
RN 164579-32-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)



● Na

● 3/2 H_2O

RN 699002-47-6 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, monohydrate (9CI) (CA INDEX NAME)



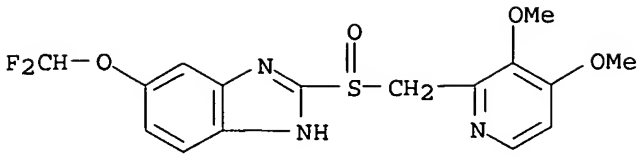
● Na

● H_2O

RN 718635-00-8 CAPLUS
CN 2-Propanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (1:1) (9CI) (CA INDEX NAME)

CM 1

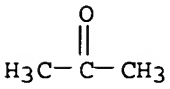
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



● Na

CM 2

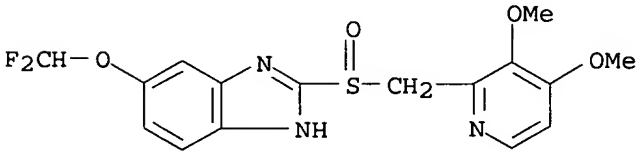
CRN 67-64-1
CMF C3 H6 O



RN 718635-02-0 CAPLUS
CN 1-Butanol, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (2:1) (9CI) (CA INDEX NAME)

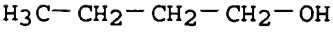
CM 1

CRN 102625-70-7
CMF C16 H15 F2 N3 O4 S



CM 2

CRN 71-36-3
CMF C4 H10 O

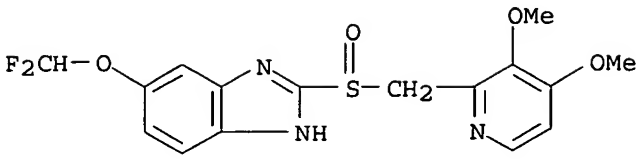


RN 718635-04-2 CAPLUS
CN 2-Butanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

CM 1

CRN 138786-67-1

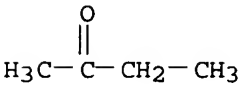
CMF C16 H15 F2 N3 O4 S . Na



● Na

CM 2

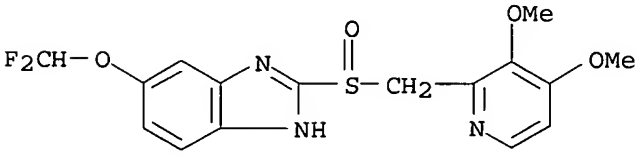
CRN 78-93-3
CMF C4 H8 O



RN 718635-06-4 CAPLUS
CN Carbonic acid, dimethyl ester, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

CM 1

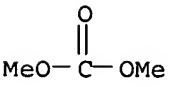
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



● Na

CM 2

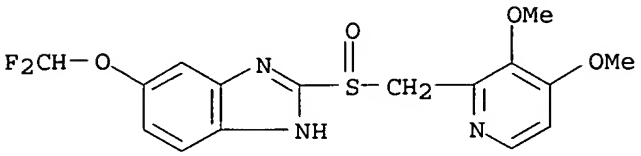
CRN 616-38-6
CMF C3 H6 O3



RN 718635-07-5 CAPLUS
CN 1-Propanol, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (1:1) (9CI) (CA INDEX NAME)

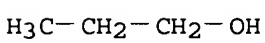
CM 1

CRN 102625-70-7
CMF C16 H15 F2 N3 O4 S



CM 2

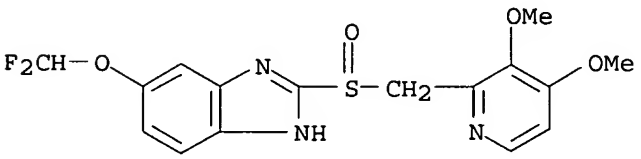
CRN 71-23-8
CMF C3 H8 O



RN 718635-08-6 CAPLUS
CN 1-Propanol, 2-methyl-, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

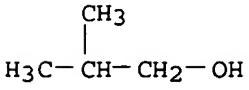
CM 1

CRN 102625-70-7
CMF C16 H15 F2 N3 O4 S



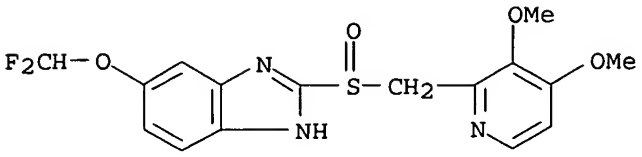
CM 2

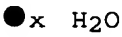
CRN 78-83-1
CMF C4 H10 O



RN 718635-09-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-

pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (9CI) (CA INDEX NAME)

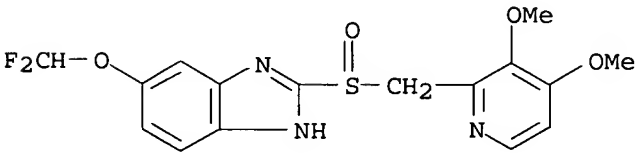




RN 718635-10-0 CAPLUS
CN 2-Butanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt, hydrate (9CI) (CA INDEX NAME)

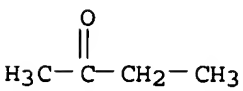
CM 1

CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



CM 2

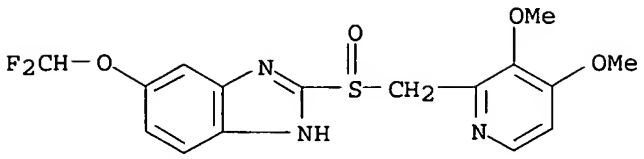
CRN 78-93-3
CMF C4 H8 O



RN 718635-11-1 CAPLUS
CN 2-Propanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt, hydrate (9CI) (CA INDEX NAME)

CM 1

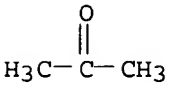
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



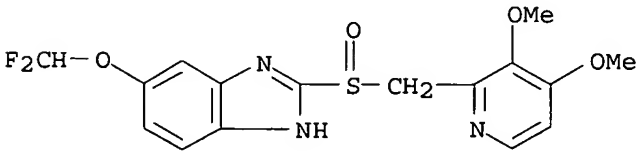
● Na

CM 2

CRN 67-64-1
CMF C3 H6 O



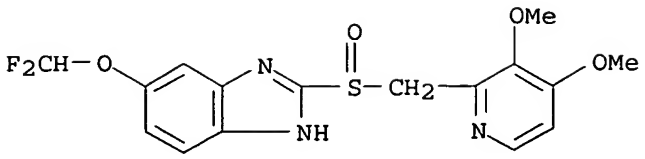
RN 718635-12-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, dihydrate (9CI) (CA INDEX NAME)



● Na

●2 H_2O

RN 718635-13-3 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, trihydrate (9CI) (CA INDEX NAME)



L3 ANSWER 3 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:525965 CAPLUS
DOCUMENT NUMBER: 141:76745
TITLE: Method for the preparation of coated drugs and dietary supplements that include substances with a concentration gradient in the coating
INVENTOR(S): Petereit, Hans-Ulrich; Meier, Christian; Roth, Erna
PATENT ASSIGNEE(S): Roehm GmbH & Co. Kg, Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10260919	A1	20040701	DE 2002-10260919	20021220
WO 2004058225	A1	20040715	WO 2003-EP11540	20031018

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

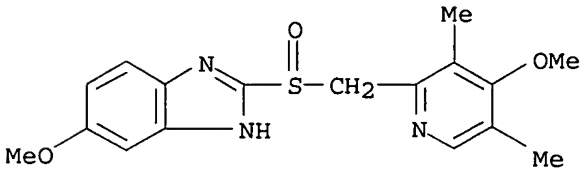
PRIORITY APPLN. INFO.: DE 2002-10260919 A 20021220

AB The invention concerns the preparation of coatings for drugs and dietary supplements in a way that the concentration of the coating ingredients decrease or increase from the inner side of the coating to the outer side; the concentration gradient is achieved by spraying the components in form of solns. or dispersions from two or more nozzles; the components mix with each other during spraying and after evaporation a film is formed around the core. Cores are drug **crystals**, tablets, granules, pellets etc.
Acid-sensitive substances can be coated with (meth)acrylate copolymers containing anionic groups in a way that the layers close to the cores contain neutralized anionic groups or a base; the outer layers contain increasing amts. of non-neutralized polymer or decreasing amts. of base. Similarly, base- or dye-sensitive substances can be coated by avoiding the critical component next to the core and increasing its concentration to the outer layer.

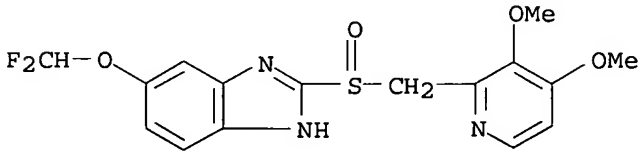
Thus a first spraying fluid contained (g): Eudragit L30 D-55 300; 1N sodium hydroxide 250; water 1050. The second spraying fluid included (g): Eudragit L30 D-55 300; 1N sodium hydroxide 250; pigment suspension 750; water 300. The pigment suspension was composed of (g): talc 100; titanium dioxide 50; color pigment 50; polyethylene glycol 6000 50; trisodium acetate citrate 5.5 **hydrate** 62; antifoaming agent 1; water 687.

IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole **119141-88-7**, Esomeprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acid-sensitive, coating of; method for preparation of coated drugs and dietary supplements that include substances with a concentration gradient in coating)

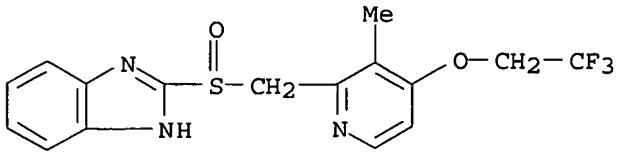
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



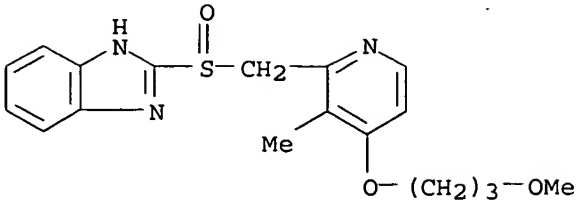
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

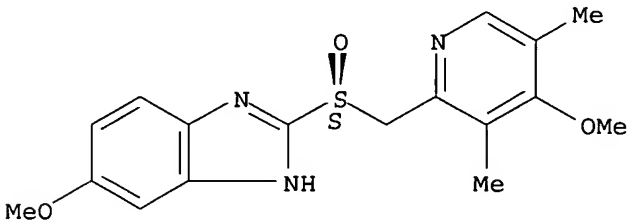


RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



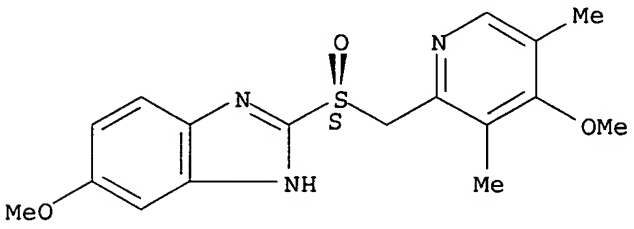
L3 ANSWER 4 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203830 CAPLUS
DOCUMENT NUMBER: 140:245456
TITLE: Amorphous **hydrates** of esomeprazole magnesium and a process for their preparation
INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore; Purandhar, Koilkonda; Sreenath, Keshaboina
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020436	A1	20040311	WO 2003-US27177	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167173	A1	20040826	US 2003-651306	20030828
PRIORITY APPLN. INFO.:			IN 2002-MA638 A	20020830
OTHER SOURCE(S):	MARPAT 140:245456			

AB A trihydrate of esomeprazole magnesium in the form of an amorphous solid is prepared and described for use as a gastric acid inhibitor.
IT **161796-78-7**, Esomeprazole sodium

RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of amorphous **hydrates** of esomeprazole magnesium for use in reducing gastric acid secretion)
RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

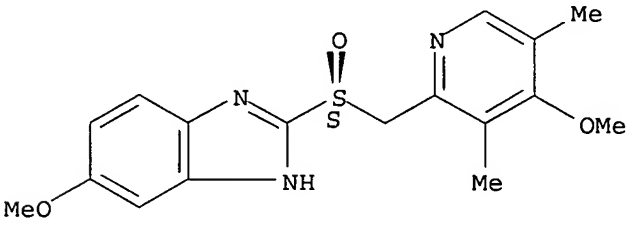
Absolute stereochemistry. Rotation (-).



● Na

IT **119141-88-7P**, Esomeprazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of amorphous **hydrates** of esomeprazole magnesium for use in reducing gastric acid secretion)
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 5 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:19893 CAPLUS
DOCUMENT NUMBER: 140:59642
TITLE: preparation of almost anhydrous lansoprazole from its solvate and/or **hydrate**
INVENTOR(S): Aihara, Kiyoshi; Hiroshige, Eiko; Yokogoshi, Kiyonori
PATENT ASSIGNEE(S): Permachem Asia, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

JP 2004002230	A2	20040108	JP 2002-160105	20020531
PRIORITY APPLN. INFO.:			JP 2002-160105	20020531

OTHER SOURCE(S): CASREACT 140:59642

AB Almost anhydrous lansoprazole (I, already know as antiulcer agent) is prepared by dissolving solvate and/or **hydrate** of I in solvent, crystallizing by aqueous alkali, and drying at low temperature Thus, I **hydrate** (H2O content 1.5%) was dissolved in DMF, treated with ammonia at pH 9, filtered, and dried at 40° for 12 h to give white I **crystals**, which contained 0.04% H2O.

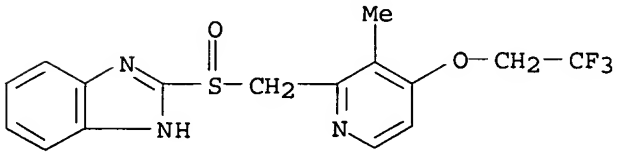
IT **207790-96-3 637744-12-8**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(dehydration and/or desolvation of lansoprazole by crystallization by aqueous alkali and low-temperature drying)

RN 207790-96-3 CAPLUS

CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 103577-45-3
CMF C16 H14 F3 N3 O2 S



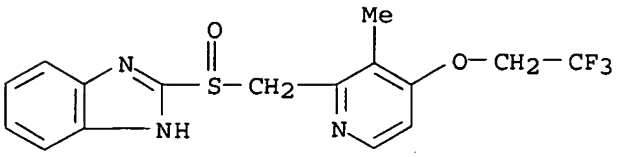
CM 2

CRN 64-17-5
CMF C2 H6 O

H_3C-CH_2-OH

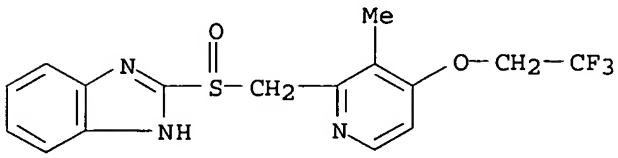
RN 637744-12-8 CAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-, monohydrate (9CI) (CA INDEX NAME)



● H_2O

IT **103577-45-3P**, Lansoprazole
RL: PUR (Purification or recovery); PREP (Preparation)
(dehydration and/or desolvation of lansoprazole by crystallization by aqueous
alkali and low-temperature drying)
RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

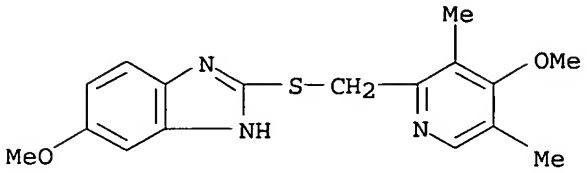


L3 ANSWER 6 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:900584 CAPLUS
DOCUMENT NUMBER: 140:321598
TITLE: Interactions of Omeprazole and Precursors with beta-Cyclodextrin Host Molecules
AUTHOR(S): Braga, Susana S.; Ribeiro-Claro, Paulo; Pillinger, Martyn; Goncalves, Isabel S.; Fernandes, Ana C.; Pereira, Florbela; Romao, Carlos C.; Correia, Pedro Brito; Teixeira-Dias, Jose J. C.
CORPORATE SOURCE: CICECO, Department of Chemistry, University of Aveiro, Aveiro, 3810-193, Port.
SOURCE: Journal of Inclusion Phenomena and Macrocyclic Chemistry (2003), 47(1-2), 47-52
CODEN: JIPCF5; ISSN: 1388-3127
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB β-Cyclodextrin (β-CD) was mixed with omeprazole and some of its precursors in aqueous or water/ethanol solns., and the resulting crystalline products have been characterized by elemental anal., thermogravimetry, powder X-ray diffraction (XRD), FTIR and 13C CP MAS NMR spectroscopy. In the case of 2-chloromethyl-4-methoxy-3,5-dimethylpyridine·HCl, it was found that the solid product always consisted of pure β-CD **hydrate**. On the other hand, a 2:1 (host-to-guest) inclusion complex was obtained between β-CD and 2-methoxy-2-mercaptobenzimidazole. The thioether intermediate 5-methoxy-2-[(3,5-dimethyl-4-methoxy-2-pyridine)methylthio]-1H-benzimidazole and its sulfoxide derivative (omeprazole) both formed 1:1 inclusion complexes with β-CD. Powder XRD indicates that the **crystal** packing of β-CD host mols. is herringbone-type for the 2:1 complex, and channel-type for the 1:1 complexes. Ab initio calcns. were carried out to investigate the host-guest interactions. It was found that the interaction with the pyridine fragment is wholly repulsive, due to the presence of several ring substituents. On the other hand, the inclusion of the benzimidazole fragment is energetically favored, but highly dependent on the orientation of the substituent methoxy group.
IT **678172-86-6 678172-87-7**
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(interactions of omeprazole and precursors with β-cyclodextrin host mols.)
RN 678172-86-6 CAPLUS
CN β-Cyclodextrin, compd. with 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]thio]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 73590-85-9
CMF C17 H19 N3 O2 S

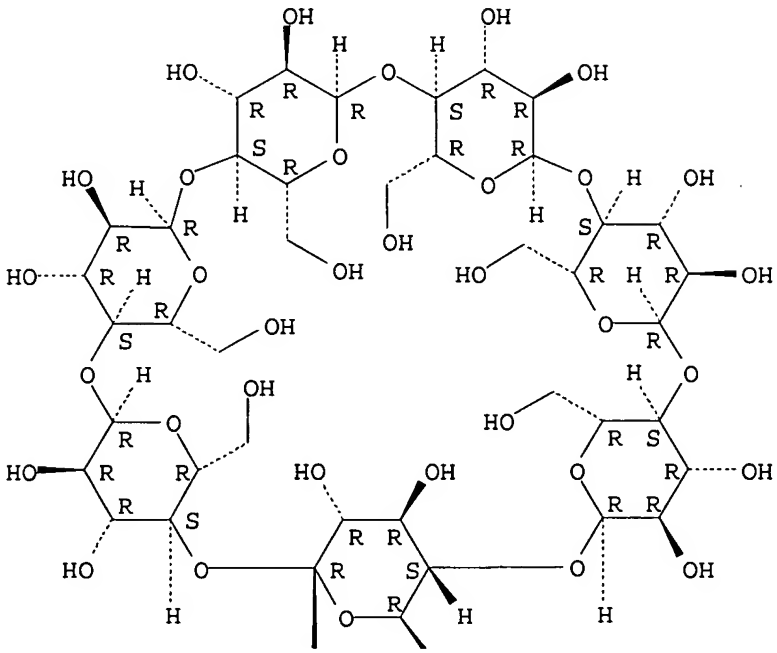


CM 2

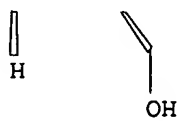
CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



PAGE 2-A

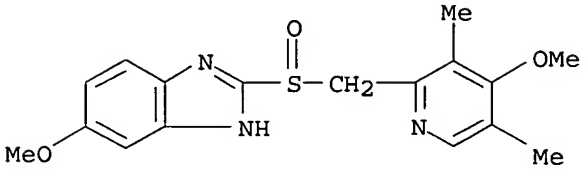


RN 678172-87-7 CAPLUS
CN β-Cyclodextrin, compd. with 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]sulfinyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 73590-58-6
CMF C17 H19 N3 O3 S

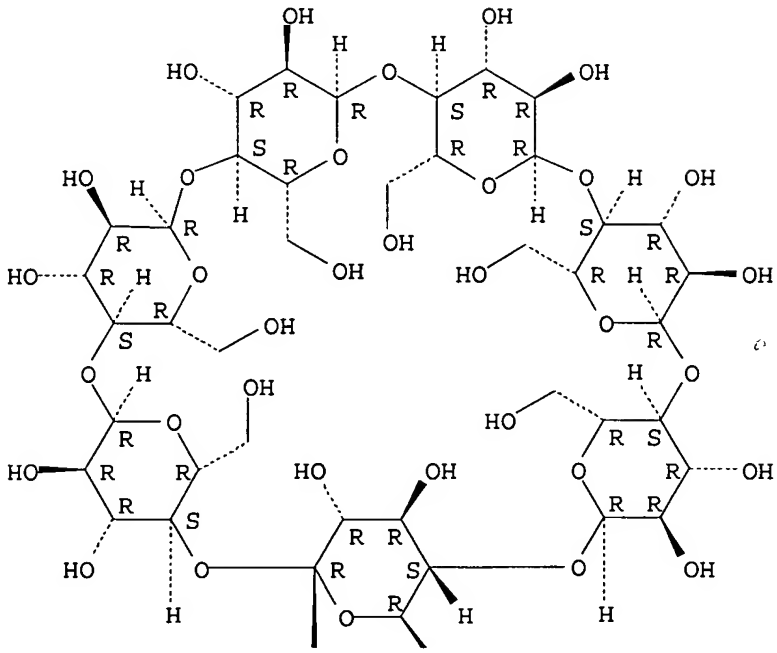


CM 2

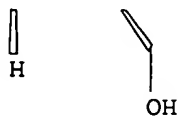
CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

PAGE 1-A



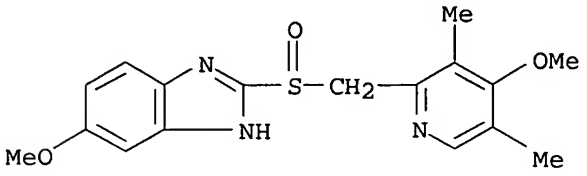
PAGE 2-A



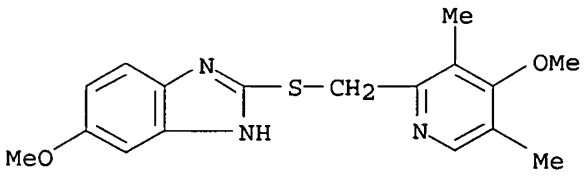
IT **73590-58-6 73590-85-9**
RL: PRP (Properties)

(interactions of omeprazole and precursors with β-cyclodextrin host mols.)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 73590-85-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)

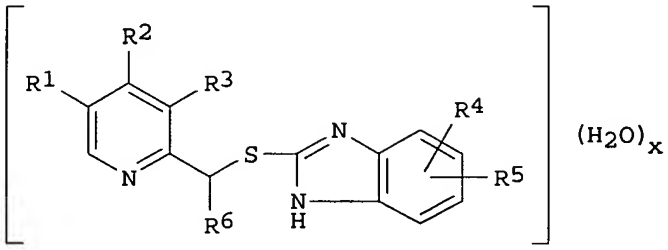


REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 7 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:154421 CAPLUS
DOCUMENT NUMBER: 138:187772
TITLE: Method for the preparing **crystals** of 2-[(2-pyridinylmethyl)thio]-1H-benzimidazole **hydrates**
INVENTOR(S): Loebermann, Hartmut; Caster, Karl-Heinz
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016301	A1	20030227	WO 2002-EP8867	20020808
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10140492	A1	20030814	DE 2001-10140492	20010817
CA 2457576	AA	20030227	CA 2002-2457576	20020808

EP 1421076 A1 20040526 EP 2002-758447 20020808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK
US 2004158072 A1 20040812 US 2004-772033 20040204
PRIORITY APPLN. INFO.: DE 2001-10140492 A 20010817
WO 2002-EP8867 W 20020808
OTHER SOURCE(S): CASREACT 138:187772; MARPAT 138:187772
GI



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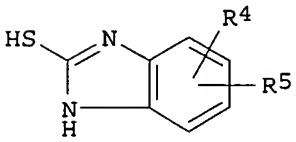
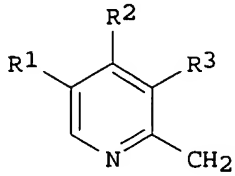


II



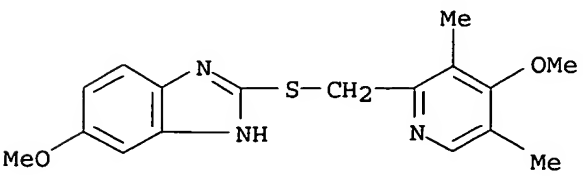
III

AB Title compds. [I; R1-R3 = H, alkyl, cycloalkyl, fluoroalkyl, alkoxy; R4, R5 = H, alkyl, (methylene)cycloalkyl, alkoxycarbonyl, alkoxy, fluoroalkoxy, fluoroalkyl, carboxyalkyl; R6 = H, alkyl; x = 0.5-2], were prepared by reacting non-**hydrated** II (R4, R5 as above) with reactive III (R1-R3 and R6 as above) in the presence of a base. Isolation of I results by partially removal of organic solvent which is mixable with H2O followed by crystallization with 55 weight% H2O at <40°. Thus NaOH in EtOH was treated with 2-mercapto-5-methoxybenzimidazole followed by reflux with 2-chloromethyl-3,5-dimethyl-4-methoxypyridine hydrochloride for 14 h. The reaction mixture was crystallized with H2O at 25° to give 95% **crystals** of 5-methoxy-2-[(3,5-dimethyl-4-methoxypyridin-2-yl)methylthio]-1H-benzimidazole **hydrate** having a purity of 99.7%.

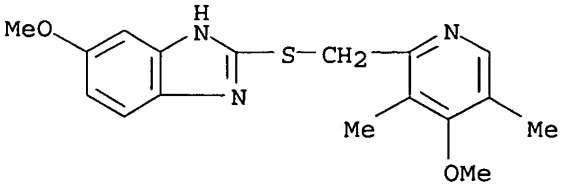
IT **73590-85-9P**, Pyrmetazole
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(method for preparing **crystals** of (pyridinylmethylthio)benzimidazole **hydrates**)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



IT **108928-02-5P**
RL: PUR (Purification or recovery); PREP (Preparation)
(method for preparing **crystals** of (pyridinylmethylthio)benzimidazole **hydrates**)
RN 108928-02-5 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 8 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:851149 CAPLUS
DOCUMENT NUMBER: 136:5990
TITLE: Process for producing **crystal** of optically active 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole
INVENTOR(S): Hashimoto, Hideo; Maruyama, Hideaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087874	A1	20011122	WO 2001-JP4014	20010515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001056732	A5	20011126	AU 2001-56732	20010515
JP 2002037783	A2	20020206	JP 2001-144635	20010515
JP 3374314	B2	20030204		
CA 2409044	AA	20021114	CA 2001-2409044	20010515
JP 2002338567	A2	20021127	JP 2001-145688	20010515
JP 2003055372	A2	20030226	JP 2002-229402	20010515
EP 1293507	A1	20030319	EP 2001-930131	20010515
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
US 2003153766	A1	20030814	US 2002-275334	20021107

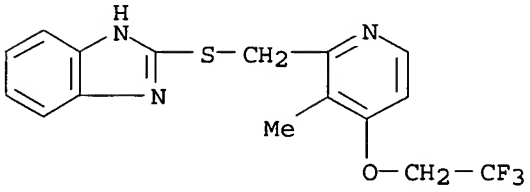
PRIORITY APPLN. INFO.: JP 2000-141670 A 20000515
JP 2001-144635 A3 20010515
WO 2001-JP4014 W 20010515

OTHER SOURCE(S): CASREACT 136:5990

AB Described is a process for producing **crystals** of (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]-sulfinyl]benzimidazole [(R)-I].n'H2O (wherein n' is about 0 to about 0.1) or of a salt thereof, characterized by subjecting a solution or dispersion in an organic solvent of (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benz-imidazole .nH2O (wherein n is about 0.1 to about 1.0) to crystallization to **crystallize** out the target compound During examining various methods of preparing (R)- and (S)-I, it was found that there exist specific **crystal** forms for (R)- and (S)-I which are different from **crystal** forms of the sulfone derivative When these isomers are crystallized in these specific **crystal** forms, surprisingly the sulfone derivative, which is normally difficult to remove, is readily removed to give the desired isomer with very high optical purity. Thereby, this process is a simple process by which an optically active sulfoxide derivative can be efficiently and industrially mass-produced in high yield while attaining an extremely high enantiomer excess. (R)- and (S)-I possess antiulcer, anti-Helicobacter pylori, stomach-acid secretion inhibitory, and mucus membrane-protecting activity and are useful as antiulcer agents (no data). Thus, 0.747 L titanium isopropoxide was added to a mixture of 4.5 kg 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]thio]benzimidazole (1.89% water content), 22 L PhMe, 25 g H2O, 0.958 L (+)-tartaric acid di-Et ester at 50-60° and stirred at the same temperature for 30 min, followed by adding 0.733 L diisopropylethylamine at room temperature and then cumene hydroperoxide at -5° to 5°, and the resulting mixture was stirred at -5° to 5° for 1.5 h and treated with 17 L 30% sodium thiosulfate to decompose the residual cumene hydroperoxide. The organic layer was separated and successively treated with H2O 4.5, heptane 13.5, tert-Bu Me ether 18, and heptane 27 L, and stirred at .apprx.10° for crystallization The precipitated **crystals** were separated and washed with 4 L tert-Bu Me ether-PhMe (4:1) to give wet **crystals** of (R)-I containing the sulfone derivative by 0.90% and no sulfide and other isomer with optical purity of 100% ee. A suspension of the latter **crystals** in 20 L acetone was added dropwise to a mixture of 7 L acetone and 34 L water and stirred at .apprx.10° and the precipitated **crystals** were separated and washed with a mixture of 4 L acetone and 12 L water to give wet **crystals** of (R)-I containing no sulfone and sulfide derivative and other isomer with optical purity of 100% ee. The latter wet **crystals** were dissolved in 45 L EtOAc and 3 L H2O and the organic layer was separated, filtered to remove insol. matter, treated with 0.2 L Et3N, concentrated to .apprx.7 L, and treated with 2.3L MeOH and then with .apprx.12.5% aqueous NH3 (23 L, .apprx.50°) and 22 L tert-Bu Me ether (.apprx.50°). The organic layer was separated while saving the water layer and those in the following procedure, and treated with .apprx.12.5% aqueous NH3, followed by separating the organic layer, and this procedure was repeated one more time. The separated water layers were combined, treated with 22 L EtOAc, adjusted to pH .apprx.8 by adding dropwise AcOH, followed by separating the organic layer and extracting the water layer with 11 L EtOAc. The organic layers were combined, washed with 11 L .apprx.20% aqueous NaCl, treated with 0.2 L Et3N, concentrated under reduced pressure, treated with 5 L acetone, and concentrated under reduced pressure. The concentrate was dissolved in 9 L acetone and the solution was added dropwise to a mixture of 4.5 L acetone and 22.5 L H2O, followed by adding dropwsie 18 L water to the resulting mixture The resulting mixture was

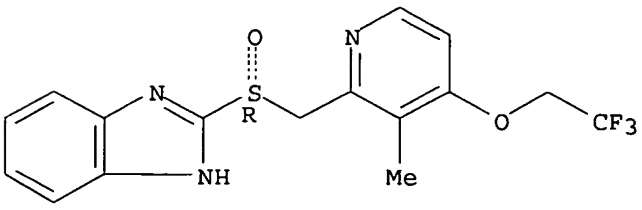
stirred at .apprx.10° and the precipitated **crystals** were separated and successively washed with a cold 1:3 mixture of acetone and water (3 L) and then 12 L water to give wet **crystals** of (R)-I containing no sulfone and sulfide derivative and other isomer with optical purity of 100% ee. The latter wet **crystals** were dissolved in 32 L EtOAc, followed by separating the water layer, and the organic layer was concentrated under reduced pressure to .apprx.14 L, treated with 36 L EtOAc and 270 g activated charcoal, stirred, and filtered to remove the activated charcoal. The filtrate was concentrated under reduced pressure to .apprx.14 L, followed by adding 90 L heptane to the concentrate at .apprx.40° and stirring the resulting mixture at .apprx.40° for 30 min., and the precipitated **crystals** were separated, washed with a 1:8 mixture of EtOAc and heptane (6 L), and dried to give 3.4 kg (R)-I containing no sulfone and sulfide derivative and other isomer with optical purity of 100% ee, which had specific peaks in powder X-ray diffraction anal.

IT **103577-40-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. oxidation; process for producing optically active [[[methyl(fluoroethoxy)pyridyl]methyl]sulfinyl]benzimidazole in specific **crystal** forms by crystallization)
RN 103577-40-8 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)



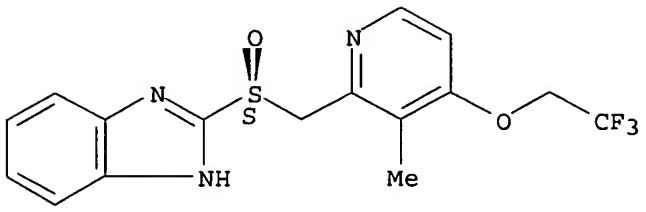
IT **138530-94-6P 138530-95-7P**
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(process for producing optically active [[[methyl(fluoroethoxy)pyridyl]methyl]sulfinyl]benzimidazole in specific **crystal** forms by crystallization)
RN 138530-94-6 CAPLUS
CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 138530-95-7 CAPLUS
CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

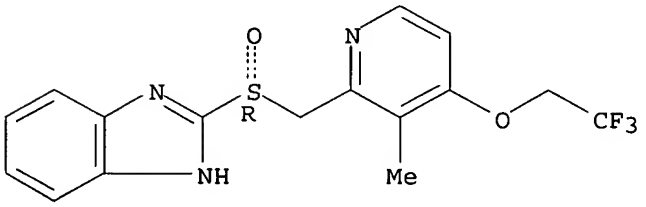
Absolute stereochemistry. Rotation (-).



IT **374782-41-9P**, (R)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole **hydrate**
374782-42-0P, (S)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole **hydrate**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for producing optically active [[[methyl(fluoroethoxy)pyridyl]methyl]sulfinyl]benzimidazole in specific **crystal** forms by crystallization)

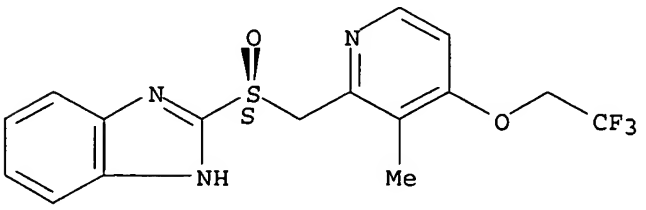
RN 374782-41-9 CAPLUS
CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-, hydrate (9CI) (CA INDEX NAME)

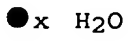
Absolute stereochemistry. Rotation (+).



RN 374782-42-0 CAPLUS
CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-, hydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).





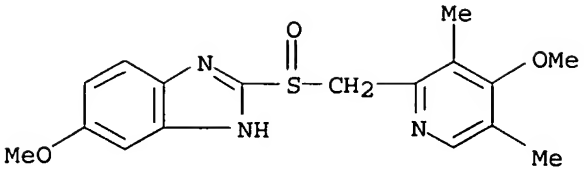
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

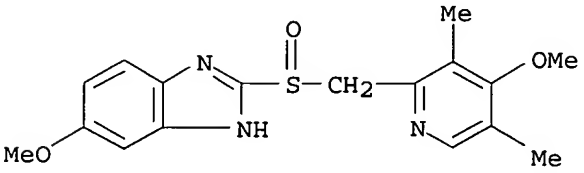
L3 ANSWER 9 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:828927 CAPLUS
DOCUMENT NUMBER: 135:362587
TITLE: Cyclodextrin-containing pharmaceutical formulations for benzimidazole derivatives
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.; Meyer, Glenn Alan
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. 6,202,085.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6316020	B1	20011113	US 2000-629587	20000731
US 6262085	B1	20010717	US 2000-519976	20000307
PRIORITY APPLN. INFO.:			US 1999-150878P	P 19990826
			US 2000-519976	A2 20000307

OTHER SOURCE(S): MARPAT 135:362587
AB Pharmaceutical compns. comprise a benzimidazole derivative as an active ingredient or a pharmaceutically acceptable salt, solvate, **hydrate**, or their combinations with at least one cyclodextrin and at least one pharmaceutically acceptable carrier, diluent, or excipient. For example, to a 50 mL beaker about 1 g of 5(6)-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole was added to 30 mL of methylene chloride. Addnl. 5(6)-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole was added to the resulting solution until a suspension of the material was formed. The solution was stirred for approx. 10 min, and then filtered through a 0.45 μm PTFE or Nylon filter. The resulting saturated solution was placed in a beaker, covered, and stored under refrigerated conditions (approx. 5°) until **crystals** formed (between 1-2 days). The identity of the title compound was confirmed by single **crystal** x-ray diffraction and/or Raman spectroscopy. The resulting material was determined to contain about 84-88% (weight/weight) of the 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1 H-benzimidazole and about 12-16% (weight/weight) (I) of the 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1 H-benzimidazole (II). I and II were formulated in various dosage forms, such as tablets, capsules, enteric-coated tablets, and solns. for inhibiting gastric acid secretion. The formulations contained a cyclodextrin, e.g. hydroxypropyl β-cyclodextrin, in a drug to cyclodextrin ratio of 1:4-1:20 to increase drug solubility
IT **73590-58-6 95510-70-6 119141-88-7 119141-89-8 161796-77-6 161796-78-7 372518-59-7**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(crystallization of benzimidazole derivs. for formulations containing cyclodextrin)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



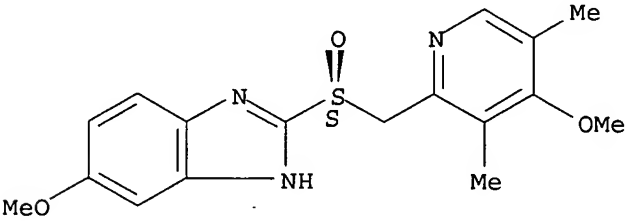
RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

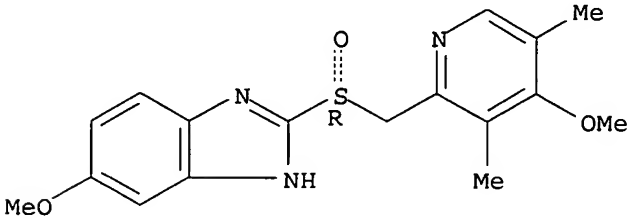
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



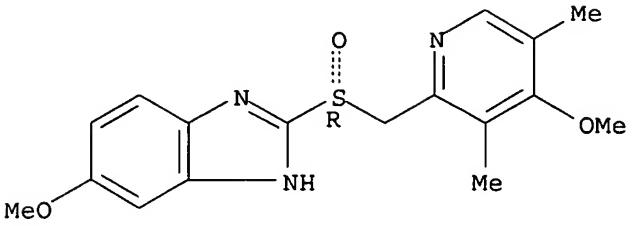
RN 119141-89-8 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

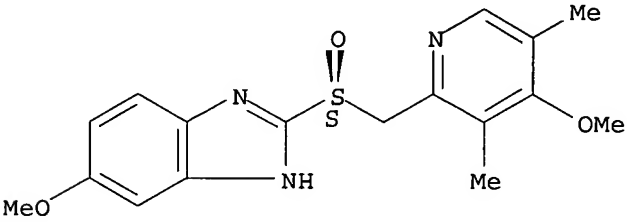
Absolute stereochemistry. Rotation (+).



● Na

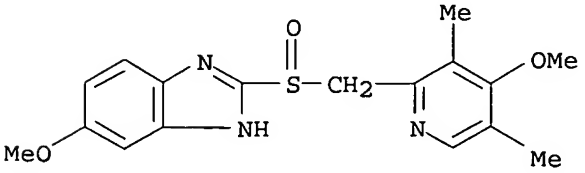
RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● Na

RN 372518-59-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt, octahydrate (9CI) (CA INDEX NAME)



● 1/2 Mg

● 4 H_2O

REFERENCE COUNT: 147 THERE ARE 147 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L3 ANSWER 10 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:338762 CAPLUS
DOCUMENT NUMBER: 134:362292
TITLE: Methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile
INVENTOR(S): Farr, Spencer
PATENT ASSIGNEE(S): Phase-1 Molecular Toxicology, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032928	A2	20010510	WO 2000-US30474	20001103
WO 2001032928	A3	20020725		

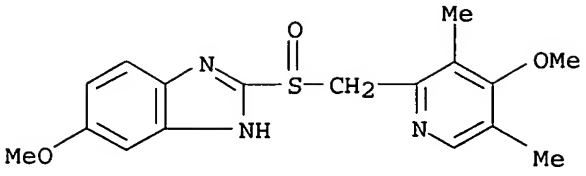
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.:		
US 1999-165398P	P	19991105
US 2000-196571P	P	20000411

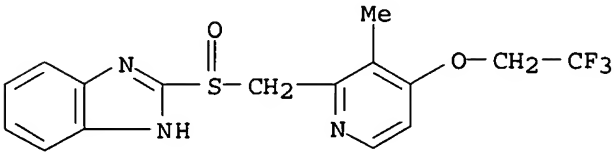
AB The invention discloses methods, gene databases, gene arrays, protein arrays, and devices that may be used to determine the hypersensitivity of individuals to a given agent, such as drug or other chemical, in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes associated with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes associated with hypersensitivity are disclosed. The gene expression profile of the subject may be compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA or cDNA. The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes associated with hypersensitivity. The expression of the genes predetd. to be associated with hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene databases arrays and apparatus useful for identifying hypersensitivity in a subject are also disclosed.

IT **73590-58-6**, Omeprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile)

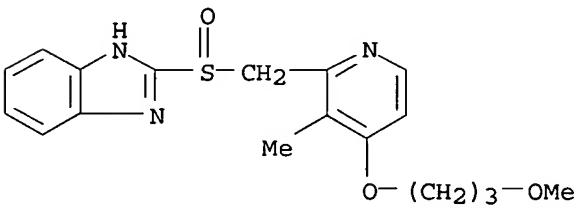
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L3 ANSWER 11 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:758297 CAPLUS
DOCUMENT NUMBER: 132:325917
TITLE: Sorption/desorption study of PP/K-10 ethanol and ethanol-water solvate with DVS
AUTHOR(S): Gartner, A.; Pavli, V.; Vrecer, F.
CORPORATE SOURCE: R & D Div., KRKA, Novo mesto, 8501, Slovenia
SOURCE: Farmacevtski Vestnik (Ljubljana) (1999), 50(Pos. Stev.), 345-346
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The results of DVS (Dynamic Vapor Sorption) study of the sorption/desorption properties of two PP/K-10 (2-[[[2(1H)-benzimidazolyl]sulfinyl]methyl]3-methyl-4-(2,2,2-trifluoroethoxy)pyridine) solvates, i.e. ethanolate and ethanolate-**hydrate**, are presented and the possible mechanism of water sorption and desorption of both solvates is discussed. In the structure of both desolvated products, mols. of the solvent are trapped in the structure of the **crystals**. Water with higher activity began supplanting the solvent mols. in the structure and total mass was decreasing. In the sec. cycle this phenomenon disappeared and both products showed nearly the same isotherms. The resemblance of sorption/desorption behavior of both solvates was attributed to the similarity in structure of both solvates and likeness of

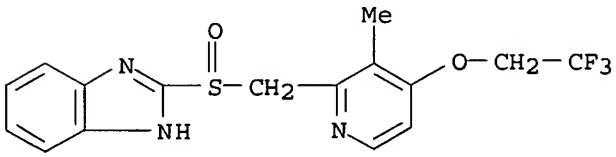
the sorption and desorption mechanisms. The similarity of structures was confirmed by x-ray diffraction, DSC and TG anal.

IT **266306-09-6**, PP/K-10 ethanolate **hydrate**
266356-21-2, PP/K-10 ethanolate
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sorption/desorption of solvates of benzimidazole derivative PP/K-10)

RN 266306-09-6 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole, hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 103577-45-3
CMF C16 H14 F3 N3 O2 S



CM 2

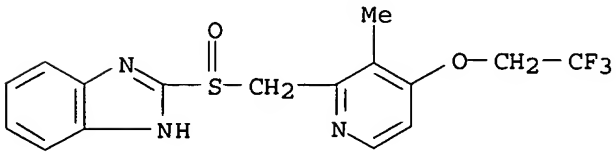
CRN 64-17-5
CMF C2 H6 O

H_3C-CH_2-OH

RN 266356-21-2 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (9CI) (CA INDEX NAME)

CM 1

CRN 103577-45-3
CMF C16 H14 F3 N3 O2 S



CM 2

CRN 64-17-5
CMF C2 H6 O

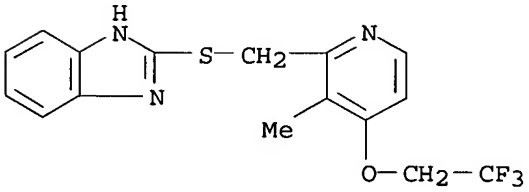
H_3C-CH_2-OH

L3 ANSWER 12 OF 12 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:724482 CAPLUS
DOCUMENT NUMBER: 127:362541
TITLE: Solid state characterization of K-1252
AUTHOR(S): Kotar-Jordan, B.; Vrecer, F.
CORPORATE SOURCE: KRKA, d.d., Novo Mesto, R&D Division, Novo Mesto, 8501, Slovenia
SOURCE: Farmacevtski Vestnik (Ljubljana) (1997), 48(Pos. Stev.), 288-289
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE: Journal
LANGUAGE: English

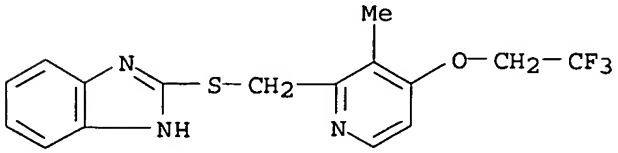
AB Two polymorphs, 2 **hydrates** (ratios 4:3 and 4:1) and solvates of K-1252 [2-[[[3-methyl-4-(2,2,2,-trifluoroethoxy)-2-pyridyl]methyl]thio]-1H-benzimidazole] were isolated and characterized by DSC, thermogravimetric anal., FT-IR, powder diffractometry and NMR.

IT **103577-40-8**, K 1252 **198544-90-0 198544-91-1 198544-92-2 198544-93-3**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid state characterization of K-1252 benzimidazole)

RN 103577-40-8 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

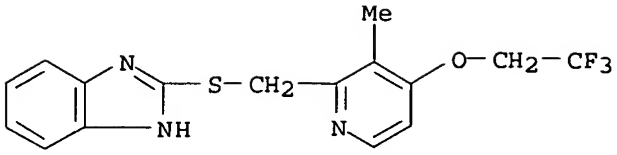


RN 198544-90-0 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-, hydrate (4:3) (9CI) (CA INDEX NAME)



●3/4 H_2O

RN 198544-91-1 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-, hydrate (4:1) (9CI) (CA INDEX NAME)

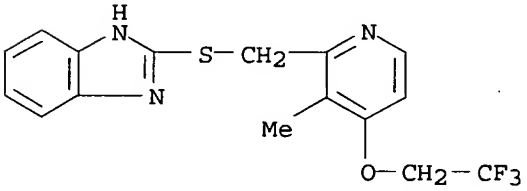


●1/4 H_2O

RN 198544-92-2 CAPLUS
CN 2-Propanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-benzimidazole (9CI) (CA INDEX NAME)

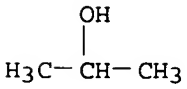
CM 1

CRN 103577-40-8
CMF C16 H14 F3 N3 O S



CM 2

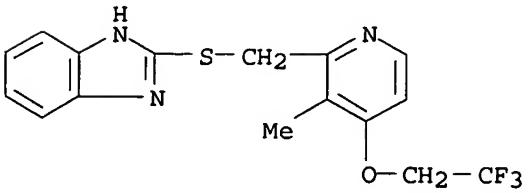
CRN 67-63-0
CMF C3 H8 O



RN 198544-93-3 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-benzimidazole (9CI) (CA INDEX NAME)

CM 1

CRN 103577-40-8
CMF C16 H14 F3 N3 O S



CM 2

CRN 64-17-5
CMF C2 H6 O

REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=>

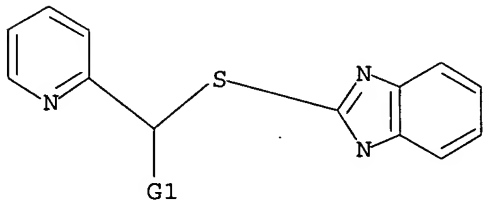
=> file caplus
FILE 'CAPLUS' ENTERED AT 11:38:47 ON 02 JUN 2005

FILE COVERS 1907 - 2 Jun 2005 VOL 142 ISS 23
FILE LAST UPDATED: 1 Jun 2005 (20050601/ED)

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> d que
L1 STR



G1 H,Ak

Structure attributes must be viewed using STN Express query preparation.
L3 3428 SEA FILE=REGISTRY SSS FUL L1
L4 4316 SEA FILE=CAPLUS L3
L5 44 SEA FILE=CAPLUS L4 AND HYDRATE#

=> d l5 1-44 ibib abs hitstr

L5 ANSWER 1 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:405393 CAPLUS
TITLE: Albumin binding sites for evaluating drug interactions and methods of evaluating or designing drugs based on their albumin binding properties
INVENTOR(S): Carter, Daniel C.; Ho, Joseph; Wang, Zhongmin
PATENT ASSIGNEE(S): New Century Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 74 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

WO 2005041895	A2	20050512	WO 2004-US36437	20041103

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-516311P P 20031103

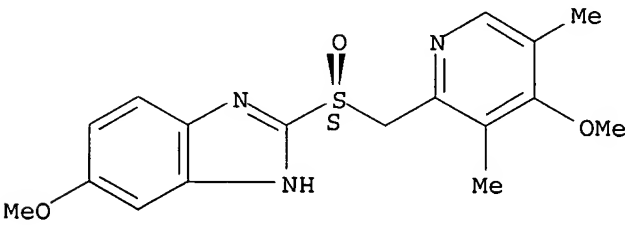
AB A method is provided for evaluating drug compds. based on their binding properties to human serum albumin wherein structural information at particular albumin binding regions is entered into a computer database and assessed with regard to particular contacting binding residues located in accordance with the invention. The information obtained through the computer database is thus useful in assessing and predicting drug interactions at albumin binding sites. Further, protein fragments including one or more albumin binding sites are provided which can be used in methods of assessing and designing drugs.

IT **119141-88-7**, Esomeprazole
RL: BSU (Biological study, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(albumin binding sites for evaluating drug interactions, and methods for evaluating or designing drugs based on albumin binding properties)

RN 119141-88-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 2 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:349001 CAPLUS
DOCUMENT NUMBER: 142:386016
TITLE: Use of N-desmethylclozapine to treat human neuropsychiatric disease
INVENTOR(S): Weiner, David M.; Brann, Mark R.
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 34 pp., Cont.-in-part of U.S Ser. No. 761,787.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

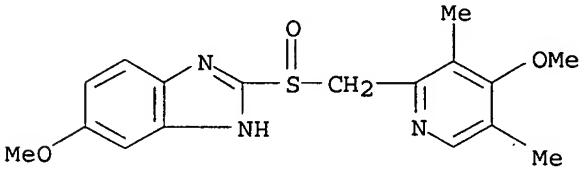
US 2005085463	A1	20050421	US 2004-913117	20040805
US 2004224942	A1	20041111	US 2004-761787	20040121
PRIORITY APPLN. INFO.:			US 2003-442690P	P 20030123
			US 2004-761787	A2 20040121

AB Disclosed herein is a method to treat neuropsychiatric diseases including psychosis, affective disorders, dementia, neuropathic pain, and glaucoma. Treatment is carried out by administering a therapeutically effective amount of N-desmethylclozapine to a patient suffering from a neuropsychiatric disease.

IT **73590-58-6**, Omeprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of N-desmethylclozapine to treat human neuropsychiatric disease)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 3 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2005:216709 CAPLUS
DOCUMENT NUMBER: 142:291393
TITLE: Compositions useful for treating gastrointestinal motility disorders
INVENTOR(S): Landau, Steven B.; Ashburn, Theodore T.
PATENT ASSIGNEE(S): Dynogen Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 104 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005021040	A2	20050310	WO 2004-US28115	20040827
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2005059704	A1	20050317	US 2004-928624	20040827
PRIORITY APPLN. INFO.:			US 2003-499200P	P 20030829
			US 2004-598235P	P 20040803

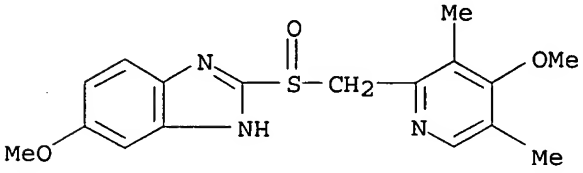
OTHER SOURCE(S): MARPAT 142:291393

AB The present invention relates to method of treating a gastrointestinal motility disorder in a subject in need of treatment comprising coadministering to said subject a first amount of a compound having 5-HT3

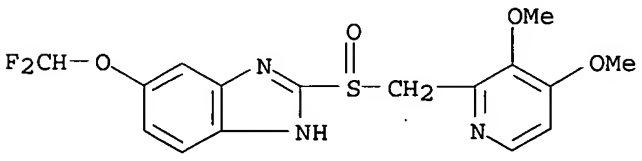
receptor agonist activity or a pharmaceutically acceptable salt, **hydrate** or solvate thereof; and a second amount of at least one gastric acid suppressing agent (e.g., a proton pump inhibitor, an H2 receptor antagonist or a pharmaceutically acceptable salt, **hydrate** or solvate thereof, or an acid pump antagonist or pharmaceutically acceptable salt, **hydrate** or solvate thereof) wherein the first and second amts. together comprise a therapeutically effective amount In particular, the method is for treating gastroesophageal reflux disease (GERD), including nocturnal GERD. The invention further relates to a method of treating nocturnal GERD comprising administering to a subject in need thereof a therapeutically effective amount of a compound having 5-HT3 receptor agonist activity or a pharmaceutically acceptable salt, **hydrate** or solvate thereof. The invention further relates to a method of increasing esophageal motility in a subject in need thereof. The method of increasing esophageal motility can be achieved by administration of a compound having 5-HT3 receptor agonist activity or a pharmaceutically acceptable salt, **hydrate** or solvate thereof. The coadministration can also be used to increase esophageal motility.

IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole **119141-88-7**, Esomeprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(compns. useful for treating gastrointestinal motility disorders containing 5-HT3 receptor agonists and gastric acid suppressing agents)

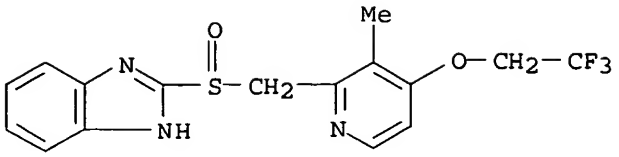
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



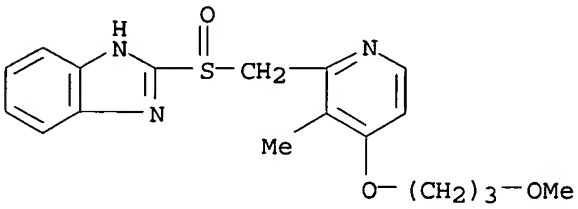
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

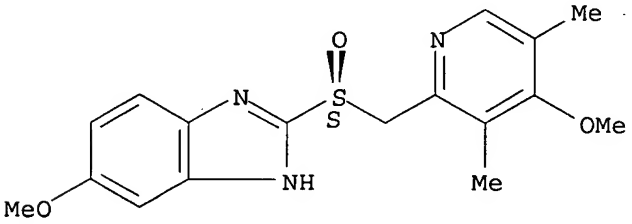


RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 4 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:120919 CAPLUS
DOCUMENT NUMBER: 142:204622
TITLE: Novel salt of (R)-pantoprazole
INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Sturm, Ernst; Hummel, Rolf-Peter; Simon, Wolfgang-Alexander; Kromer, Wolfgang; Postius, Stefan; Hanauer, Guido; Huber, Reinhard
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005012289	A1	20050210	WO 2004-EP51511	20040715

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,

LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

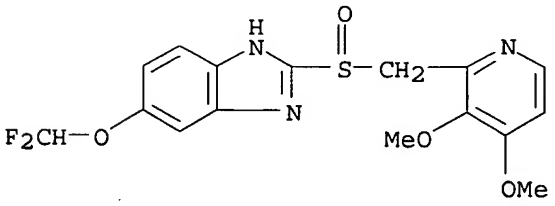
PRIORITY APPLN. INFO.: EP 2003-16202 A 20030717

AB The invention relates to (+)-pantoprazole magnesium **hydrates** and to medicaments comprising these compds. Thus, 25 g (+)-pantoprazole sodium **hydrate** was suspended in 250 mL of water, heated to 35-40° and stirred for 30 min to give a clear solution After cooling to 22-27°, 6.93 g of magnesium dichloride hexahydrate in 45 mL of water was added dropwise and the mixture stirred at room temperature for 72 h. The resulting suspension was filtered with suction, the precipitate washed with 3 portions of 100 mL of water and dried at 40-45° to afford 24.0 g (+)-pantoprazole magnesium dihydrate (yield 94.3 %), in form of a white crystalline powder, m.p. = 160-164° (decomposition).

IT **164579-32-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(novel salt of (R)-pantoprazole)

RN 164579-32-2 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)



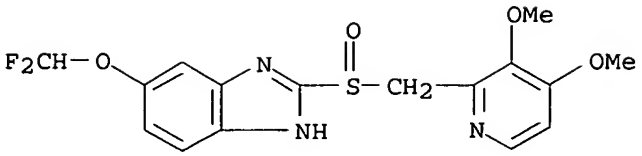
● Na

●3/2 H_2O

IT **471293-63-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(novel salt of (R)-pantoprazole)

RN 471293-63-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, magnesium salt, dihydrate (9CI) (CA INDEX NAME)



● 1/2 Mg

● H_2O

REFERENCE COUNT: 7 THERE ARE 7 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:120730 CAPLUS
DOCUMENT NUMBER: 142:191275
TITLE: Method for reducing the volume of gastric refluxate with two-minute infusion of pantoprazole
INVENTOR(S): Karlstadt, Robyn
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: PCT Int. Appl., 7 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005011678	A1	20050210	WO 2004-US24677	20040730

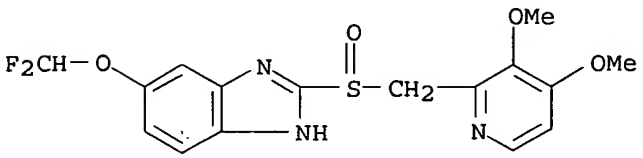
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2003-491291P P 20030731

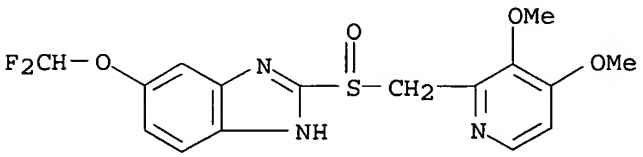
AB The invention relates to a new use of pantoprazole administered in short-infusion for reducing gastric volume and gastric acid output. A two-minute infusion of pantoprazole reduced overall gastric volume and gastric acid output in surgical patients.

IT **102625-70-7**, Pantoprazole **102625-70-7D**, Pantoprazole, enantiomers, salts, solvates, **hydrates 138786-67-1**, Pantoprazole sodium **142678-35-1**, (S)-Pantoprazole **142706-18-1**
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pantoprazole two-minute infusion for reducing volume of gastric refluxate)

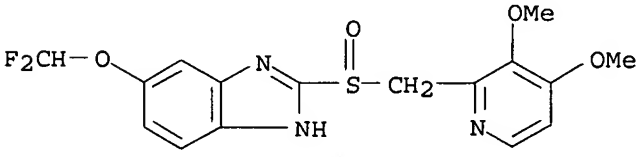
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



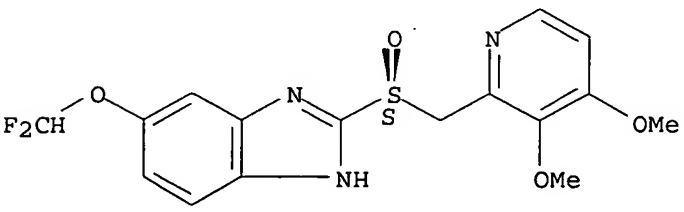
RN 138786-67-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

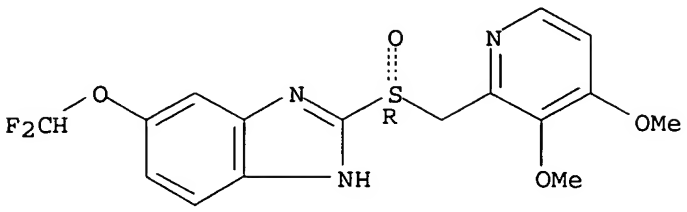
RN 142678-35-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(S)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 142706-18-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[(R)-[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 6 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2005:92513 CAPLUS
DOCUMENT NUMBER: 142:183433
TITLE: Stabilization of therapeutic agents using a carbonate salt of an amino acid in the presence of a saccharide and pharmaceutical compositions
INVENTOR(S): Lulla, Amar; Malhotra, Geena
PATENT ASSIGNEE(S): Cipla Limited, India
SOURCE: Brit. UK Pat. Appl., 35 pp.
CODEN: BAXXDU
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
GB 2404336	A1	20050202	GB 2003-17877	20030730
WO 2005011737	A2	20050210	WO 2004-GB3305	20040730
WO 2005011737	A3	20050421		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

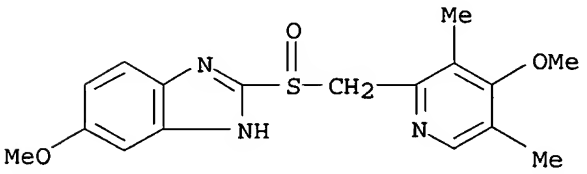
PRIORITY APPLN. INFO.: GB 2003-17877 A 20030730

AB Therapeutic agents, which are degrade when present in a pharmaceutical formulation, may be stabilized by admixing a stabilizing agent comprising at least one carbonate salt of an amino acid, wherein, at least in the case where the therapeutic agent is a HMG-CoA reductase inhibitor, or an ACE inhibitor, the stabilizing agent is enhanced by further comprising one or more saccharides. The therapeutic agent susceptible to degradation may be selected from HMG-CoA reductase inhibitors, ACE inhibitors, antihistaminics, benzimidazoles and anti-viral agents, (including nucleoside reverse transcriptase inhibitors). The carbonate salt of the amino acid is preferably present as either the group I or II alkali or alkali earth metal salt thereof, and the amino acid is preferably selected from the group consisting of glycine, arginine and lysine. The saccharide is preferably selected from the group consisting of lactose, sucrose, glucose, mannitol, xylitol, maltitol, sorbitol and erythritol, either in anhydrous or **hydrated** form. Such combinations may be combined with a pharmaceutically acceptable carrier or excipient to provide a

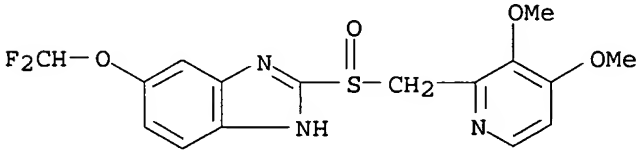
pharmaceutical formulation. Tablets were prepared from a dry mix containing quinapril-HCl, monosodium glycine carbonate, lactose and Crosspovidone. Binder solution, lubricants, and coatings were also used.

IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(stabilization of therapeutic agents using a carbonate salt of an amino acid in presence of a saccharide and pharmaceutical compns.)

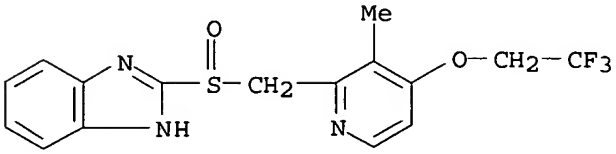
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



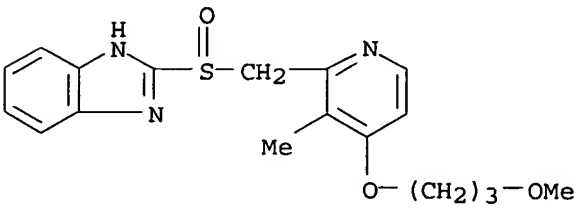
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 7 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:899487 CAPLUS
DOCUMENT NUMBER: 141:325755
TITLE: Method for treating and preventing relapses of uncomplicated forms of gastric and duodenal ulcerous disease
INVENTOR(S): Korot'ko, G. G.; Tsybusova, V. P.; Isavtsev, K. I.; Lushchik, V. A.
PATENT ASSIGNEE(S): Obshchestvo Ogranichennoi Otvetstvennost'yu Predpriyatie "Kuban'agrotok", Russia
SOURCE: Russ., No pp. given
CODEN: RUXXE7
DOCUMENT TYPE: Patent
LANGUAGE: Russian
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

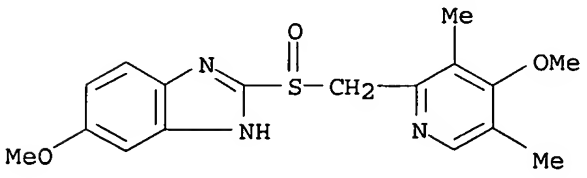
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
RU 2238742	C2	20041027	RU 2002-109355	20020410

PRIORITY APPLN. INFO.: RU 2002-109355 20020410

AB The present invention relates to a method of treating and preventing relapses of uncomplicated forms of erosive-ulcerous gastric and duodenal destructions. The method comprises enteral intake of antibacterial and antisecretory prepns. As antibacterial, solution of **hydrated** silver in distillate is used, at a daily dosage of 70 mcg silver, for no longer than 20 d. Addnl., endoscopic irrigation of the ulcerous defect is performed, with solution of **hydrated** silver in distillate, 20 mL, at concentration of 2 mg/l. The method provides accelerated healing of the ulcerous defects due to impact on the pathogenic microflora as well as improved microcirculation around the ulcer with min. side effects.

IT **73590-58-6**, Omeprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(treating and preventing relapses of uncomplicated gastric and duodenal ulcerous disease)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 8 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:780561 CAPLUS
DOCUMENT NUMBER: 141:254601
TITLE: Preventive or remedy for teeth grinding containing gastric acid inhibitors
INVENTOR(S): Miyawaki, Shouichi; Yamamoto, Teruko
PATENT ASSIGNEE(S): Eisai Co. Ltd., Japan
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004080487	A1	20040923	WO 2004-JP939	20040130

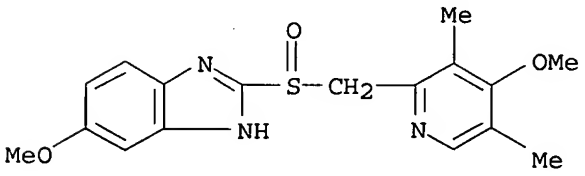
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: JP 2003-68755 A 20030313

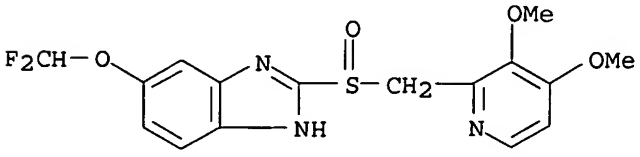
AB It is intended to provide a preventive or a remedy for teeth grinding and diseases relating thereto which contains as the active ingredient at least one member selected from among proton pump inhibitors, histamine H2 receptors and acid pump antagonists. Examples of the proton pump inhibitors include rabeprazole, omeprazole, esomeprazole, lansoprazole, pantoprazole, tenatoprazole, salts thereof and **hydrates** of the same. The effect of rabeprazole sodium salt tablet (Pariet) in patients with teeth grinding was examined

IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole **117976-90-6**, Rabeprazole sodium **119141-88-7**, Esomeprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preventive or remedy for teeth grinding and teeth grinding-related disease containing gastric acid inhibitors)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

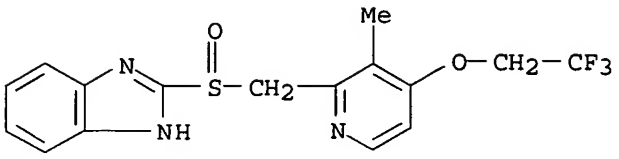


RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

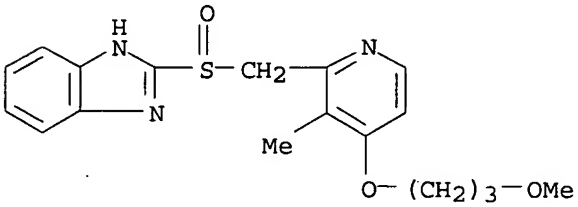


RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-

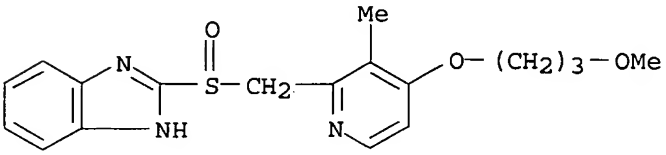
pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



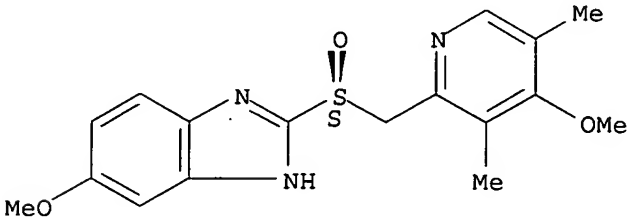
RN 117976-90-6 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

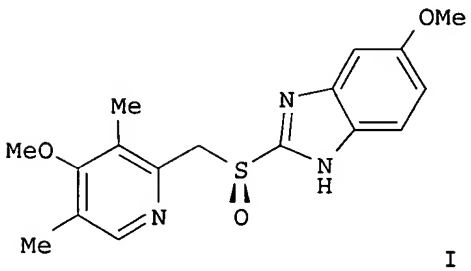
L5 ANSWER 9 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:740315 CAPLUS
DOCUMENT NUMBER: 141:265972
TITLE: Preparation of crystal polymorphs of the antiulcer agent S-omeprazole and its **hydrates**
INVENTOR(S): Kumar, Yatendra; Khanna, Mahavir Singh; Prasad, Mohan
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 28 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004076440	A1	20040910	WO 2004-IB535	20040301

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ, MZ, NA, NI
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: IN 2003-DE199 A 20030228
GI



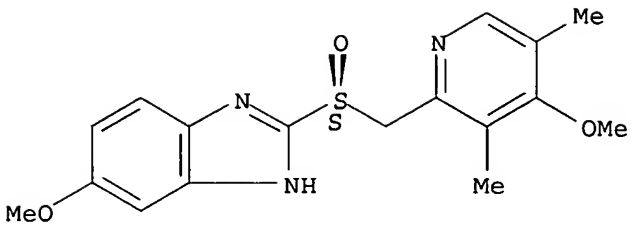
I

AB Polymorphic forms of the S-enantiomer of omeprazole, S-5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (I), and its **hydrates**, are prepared and characterized.

IT **119141-88-7**, S-Omeprazole **755036-61-4**, S-Omeprazole sesquihydrate
RL: PEP (Physical, engineering or chemical process); PRP (Properties); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(preparation of crystal polymorphs of the antiulcer agent S-omeprazole and its **hydrates**)

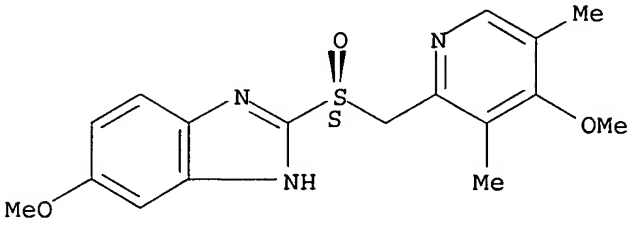
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 755036-61-4 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, hydrate (2:3) (9CI) (CA INDEX NAME)

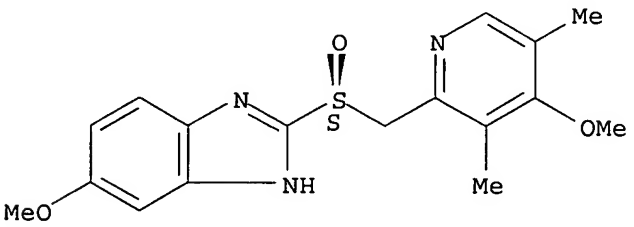
Absolute stereochemistry.



●3/2 H_2O

IT **161796-84-5**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of crystal polymorphs of the antiulcer agent S-omeprazole and its **hydrates**)
RN 161796-84-5 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● K

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 10 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:589351 CAPLUS
DOCUMENT NUMBER: 141:115951
TITLE: Preparation of magnesium salts of rabeprazole, an

imidazole derivative, for treatment or prevention of gastrointestinal ulcers

INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Kumar, Neela Praveen
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India

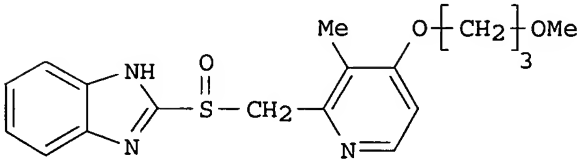
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004060263	A2	20040722	WO 2004-IB10	20040107
WO 2004060263	A3	20040910		

W: AE, AE, AG, AL, AL, AM, AM, AM, AT, AT, AU, AU, AZ, AZ, BA, BB, BG, BG, BR, BR, BW, BY, BY, BZ, BZ, CA, CH, CN, CN, CO, CO, CR, CR, CU, CU, CZ, CZ, DE, DE, DK, DK, DM, DZ, EC, EC, EE, EE, EG, ES, ES, FI, FI, GB, GD, GE, GE, GH, GH, GH, GM, HR, HR, HU, HU, ID, IL, IN, IS, JP, JP, KE, KE, KG, KG, KP, KP, KP, KR, KR, KZ, KZ, KZ, LC, LK, LR, LS, LS, LT, LU, LV, MA, MD, MD, MG, MK, MN, MW, MX, MX, MZ

PRIORITY APPLN. INFO.: IN 2003-DE20 A 20030107

GI

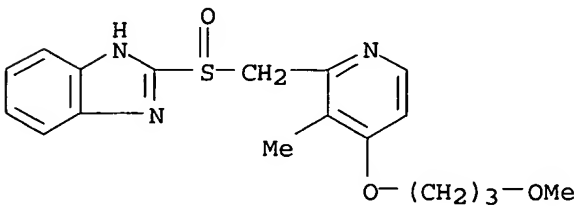


I

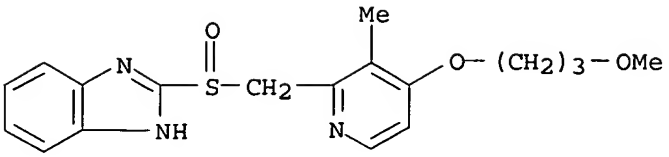
AB Magnesium salts of rabeprazole (I), processes for preparing them, pharmaceutical compns. of the salts and their use in treatment or prevention of gastrointestinal ulcers are provided. Thus, the hemimagnesium salt of rabeprazole was prepared by several methods from either rabeprazole or its sodium salt and magnesium or magnesium salts or alkoxides.

IT **117976-89-3**, Rabeprazole **117976-90-6**, Rabeprazole sodium
RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant for preparation of magnesium salt of rabeprazole for treatment or prevention of gastrointestinal ulcers)

RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-90-6 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

L5 ANSWER 11 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:550950 CAPLUS
DOCUMENT NUMBER: 141:111542
TITLE: Solid states of pantoprazole sodium, processes for preparing them and processes for preparing known pantoprazole sodium **hydrates**
INVENTOR(S): Finkelstein, Nina; Wizel, Shlomit; Krochmel, Barnaba; Braude, Viviana
PATENT ASSIGNEE(S): Teva Pharmaceutical Industries Ltd., Israel; Teva Pharmaceuticals USA, Inc.
SOURCE: PCT Int. Appl., 90 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004056804	A2	20040708	WO 2003-US40668	20031219
WO 2004056804	A3	20040805		

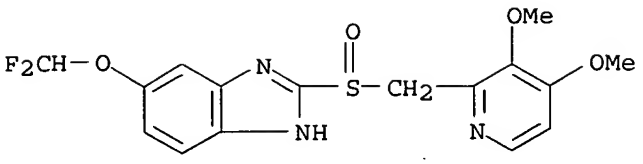
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

US 2004177804	A1	20040916	US 2003-739272	20031219
PRIORITY APPLN. INFO.:			US 2002-434445P	P 20021219
			US 2003-453836P	P 20030312

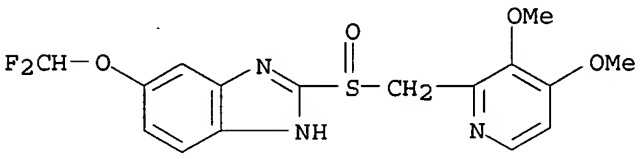
AB Crystalline pantoprazole sodium forms II, IV, V, VI, VIII, IX, X, XI, XII, XIII, XIV, XV, XVI, XVII, XVIII, XIX and XX, pantoprazole sodium solvates containing water, acetone, butanol, Me Et ketone, dimethylcarbonate, propanol and 2-methylpropanol, and amorphous pantoprazole sodium are disclosed. A method of treating gastroesophageal reflux disease comprising administering to a patient a pantoprazole sodium is claimed.

IT **102625-70-7**, Pantoprazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(of pantoprazole sodium and solvates thereof)
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

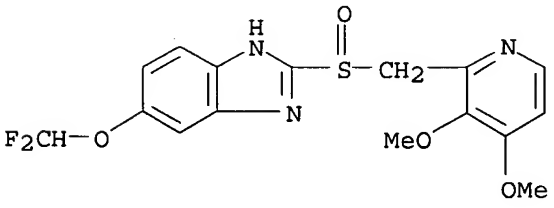


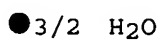
IT **138786-67-1P**, Pantoprazole sodium salt **164579-32-2P**
699002-47-6P 718635-00-8P 718635-02-0P
718635-04-2P 718635-06-4P 718635-07-5P
718635-08-6P 718635-09-7P 718635-10-0P
718635-11-1P 718635-12-2P 718635-13-3P
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(solid states of pantoprazole sodium, processes for preparing them and processes for preparing known pantoprazole sodium **hydrates**)

RN 138786-67-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



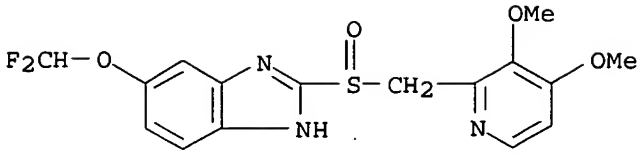
RN 164579-32-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)





RN 699002-47-6 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, monohydrate (9CI) (CA INDEX

NAME)



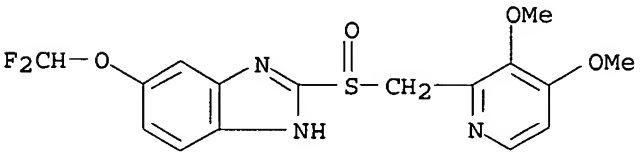
● Na

● H_2O

RN 718635-00-8 CAPLUS
CN 2-Propanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (1:1) (9CI) (CA INDEX NAME)

CM 1

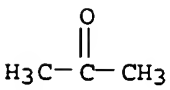
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



● Na

CM 2

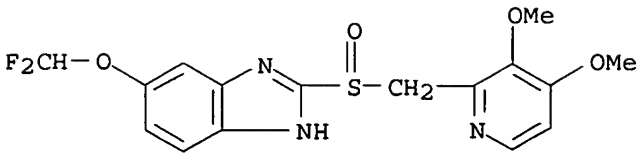
CRN 67-64-1
CMF C3 H6 O



RN 718635-02-0 CAPLUS
CN 1-Butanol, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (2:1) (9CI) (CA INDEX NAME)

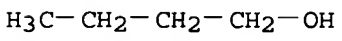
CM 1

CRN 102625-70-7
CMF C16 H15 F2 N3 O4 S



CM 2

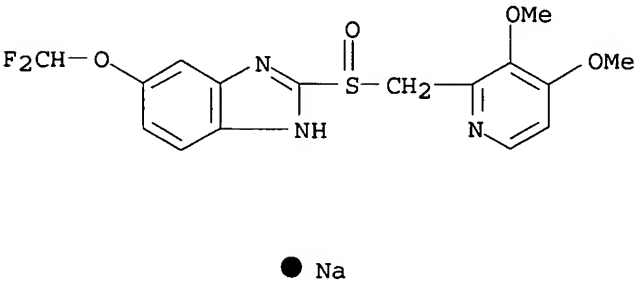
CRN 71-36-3
CMF C4 H10 O



RN 718635-04-2 CAPLUS
CN 2-Butanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

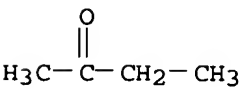
CM 1

CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



CM 2

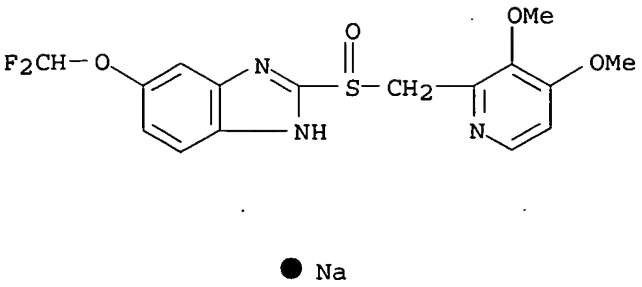
CRN 78-93-3
CMF C4 H8 O



RN 718635-06-4 CAPLUS
CN Carbonic acid, dimethyl ester, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX NAME)

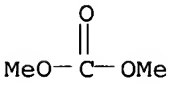
CM 1

CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



CM 2

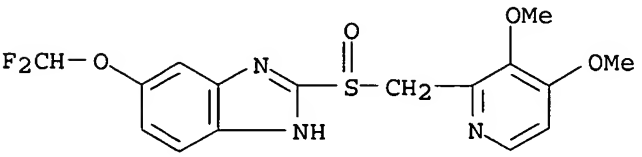
CRN 616-38-6
CMF C3 H6 O3



RN 718635-07-5 CAPLUS
CN 1-Propanol, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (1:1) (9CI) (CA INDEX NAME)

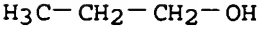
CM 1

CRN 102625-70-7
CMF C16 H15 F2 N3 O4 S



CM 2

CRN 71-23-8
CMF C3 H8 O

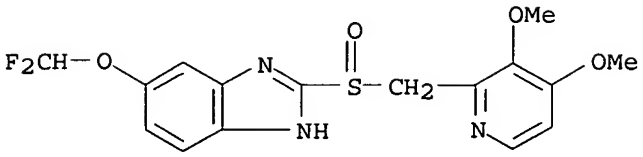


RN 718635-08-6 CAPLUS
CN 1-Propanol, 2-methyl-, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt (9CI) (CA INDEX

NAME)

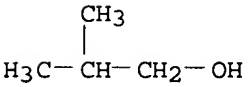
CM 1

CRN 102625-70-7
CMF C16 H15 F2 N3 O4 S

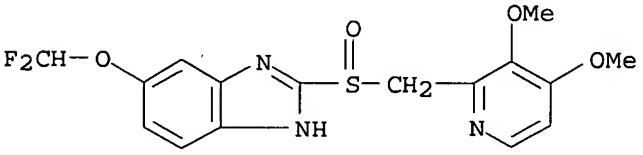


CM 2

CRN 78-83-1
CMF C4 H10 O



RN 718635-09-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (9CI) (CA INDEX NAME)



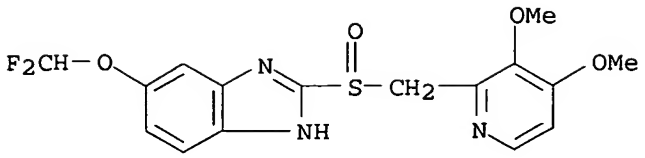
● Na

● x H_2O

RN 718635-10-0 CAPLUS
CN 2-Butanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt, hydrate (9CI) (CA INDEX NAME)

CM 1

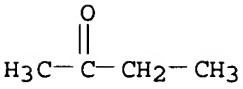
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



● Na

CM 2

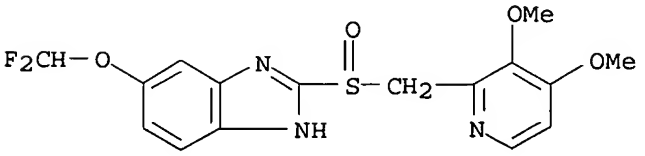
CRN 78-93-3
CMF C4 H8 O



RN 718635-11-1 CAPLUS
CN 2-Propanone, compd. with 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole sodium salt, hydrate (9CI) (CA INDEX NAME)

CM 1

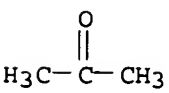
CRN 138786-67-1
CMF C16 H15 F2 N3 O4 S . Na



● Na

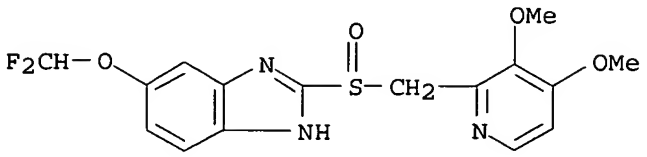
CM 2

CRN 67-64-1
CMF C3 H6 O



RN 718635-12-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-

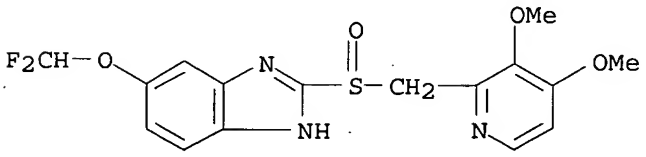
pyridinyl)methyl]sulfinyl]-, sodium salt, dihydrate (9CI) (CA INDEX NAME)



● Na

● 2 H_2O

RN 718635-13-3 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, trihydrate (9CI) (CA INDEX NAME)



● Na

● 3 H_2O

L5 ANSWER 12 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:534190 CAPLUS
DOCUMENT NUMBER: 141:94300
TITLE: Preparation of the proton pump inhibitor rabeprazole calcium as well as its alcohol solvates and its **hydrates**
INVENTOR(S): Kumar, Yatendra; Prasad, Mohan; Kumar, Neela Praveen
PATENT ASSIGNEE(S): Ranbaxy Laboratories Limited, India
SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

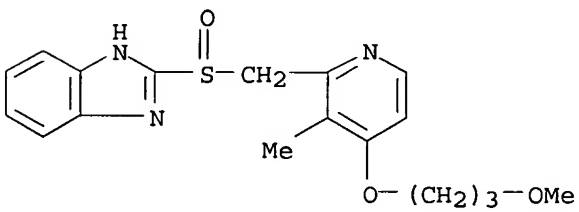
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004055001	A2	20040701	WO 2003-IB5614	20031216

WO 2004055001 A3 20041104
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: IN 2002-DE1265 A 20021216

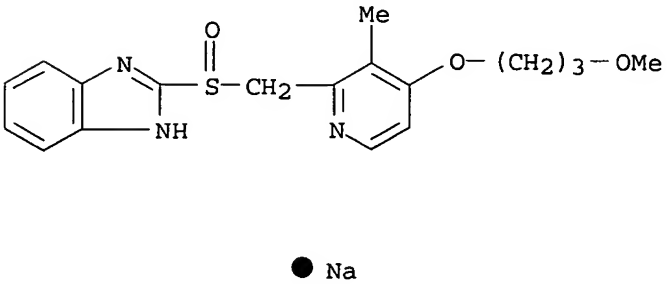
AB Calcium salts of rabeprazole and processes for preparing rabeprazole calcium, as well as its **hydrates** and alc. solvates, are presented along with spectral data. Rabeprazole calcium, its **hydrates** and alc. (e.g., methanol) solvates, which are proton pump inhibitors, are of use for the treatment or prevention of gastrointestinal ulcers, gastroesophageal reflux disorder, etc.

IT **117976-89-3**, Rabeprazole **117976-90-6**, Rabeprazole sodium
RL: RCT (Reactant); RACT (Reactant or reagent)
(in the preparation of the proton pump inhibitor rabeprazole calcium as well as its alc. solvates and its **hydrates**)

RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



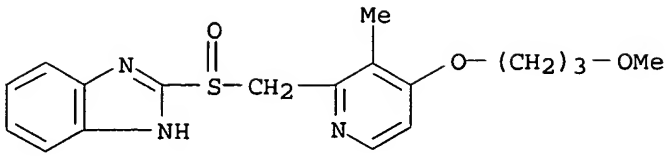
RN 117976-90-6 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

IT **226904-99-0P 714216-98-5P**
RL: PRP (Properties); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of the proton pump inhibitor rabeprazole calcium as well as its alc. solvates and its **hydrates**)

RN 226904-99-0 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, calcium salt (9CI) (CA INDEX NAME)

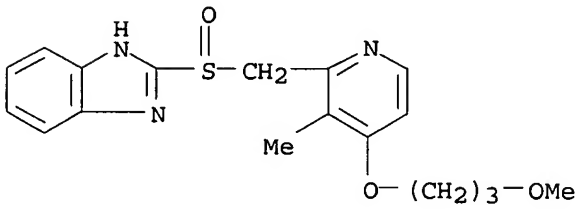


●1/2 Ca

RN 714216-98-5 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]-, calcium salt, compd. with methanol (9CI) (CA INDEX NAME)

CM 1

CRN 117976-89-3
CMF C18 H21 N3 O3 S



CM 2

CRN 67-56-1
CMF C H4 O

H_3C-OH

L5 ANSWER 13 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:525965 CAPLUS
DOCUMENT NUMBER: 141:76745
TITLE: Method for the preparation of coated drugs and dietary supplements that include substances with a concentration gradient in the coating
INVENTOR(S): Petereit, Hans-Ulrich; Meier, Christian; Roth, Erna
PATENT ASSIGNEE(S): Roehm GmbH & Co. Kg, Germany
SOURCE: Ger. Offen., 14 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

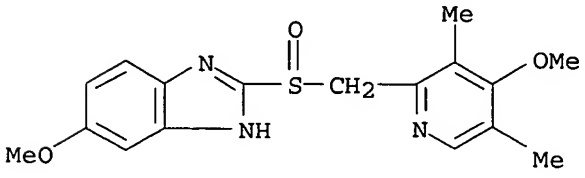
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10260919	A1	20040701	DE 2002-10260919	20021220

WO 2004058225 A1 20040715 WO 2003-EP11540 20031018
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.: DE 2002-10260919 A 20021220

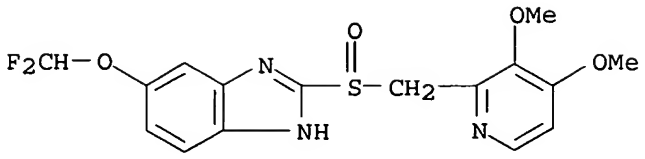
AB The invention concerns the preparation of coatings for drugs and dietary supplements in a way that the concentration of the coating ingredients decrease or increase from the inner side of the coating to the outer side; the concentration gradient is achieved by spraying the components in form of solns. or dispersions from two or more nozzles; the components mix with each other during spraying and after evaporation a film is formed around the core. Cores are drug crystals, tablets, granules, pellets etc. Acid-sensitive substances can be coated with (meth)acrylate copolymers containing anionic groups in a way that the layers close to the cores contain neutralized anionic groups or a base; the outer layers contain increasing amts. of non-neutralized polymer or decreasing amts. of base. Similarly, base- or dye-sensitive substances can be coated by avoiding the critical component next to the core and increasing its concentration to the outer layer. Thus a first spraying fluid contained (g): Eudragit L30 D-55 300; 1N sodium hydroxide 250; water 1050. The second spraying fluid included (g): Eudragit L30 D-55 300; 1N sodium hydroxide 250; pigment suspension 750; water 300. The pigment suspension was composed of (g): talc 100; titanium dioxide 50; color pigment 50; polyethylene glycol 6000 50; trisodium acetate citrate 5.5 **hydrate** 62; antifoaming agent 1; water 687.

IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole **119141-88-7**, Esomeprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(acid-sensitive, coating of; method for preparation of coated drugs and dietary supplements that include substances with a concentration gradient in coating)

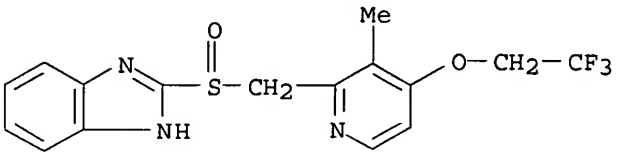
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



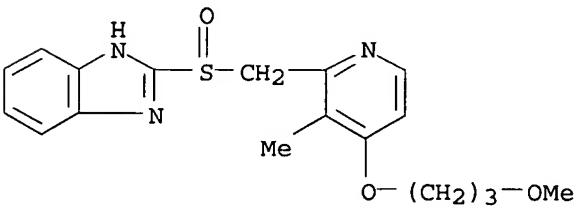
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

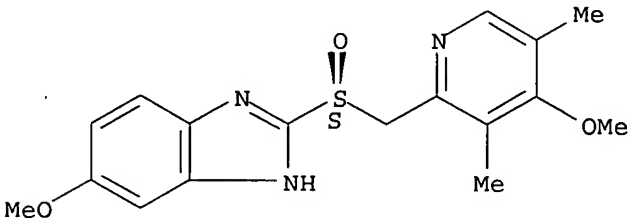


RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



L5 ANSWER 14 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:203830 CAPLUS
DOCUMENT NUMBER: 140:245456
TITLE: Amorphous **hydrates** of esomeprazole magnesium and a process for their preparation
INVENTOR(S): Reddy, Manne Satyanarayana; Kumar, Muppa Kishore; Purandhar, Koilkonda; Sreenath, Keshaboina
PATENT ASSIGNEE(S): Reddy's Laboratories Limited, India; Reddy's Laboratories, Inc.

SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004020436	A1	20040311	WO 2003-US27177	20030828
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 2004167173	A1	20040826	US 2003-651306	20030828
PRIORITY APPLN. INFO.:			IN 2002-MA638 A	20020830
OTHER SOURCE(S):	MARPAT 140:245456			

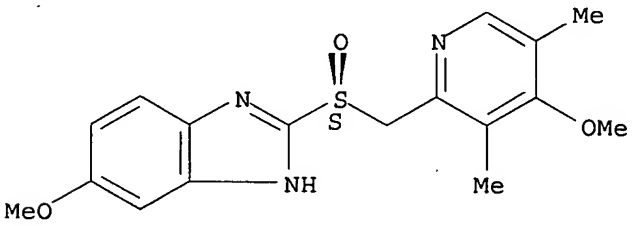
AB A trihydrate of esomeprazole magnesium in the form of an amorphous solid is prepared and described for use as a gastric acid inhibitor.

IT **161796-78-7**, Esomeprazole sodium
RL: RCT (Reactant); RACT (Reactant or reagent)
(process for preparation of amorphous **hydrates** of esomeprazole magnesium for use in reducing gastric acid secretion)

RN 161796-78-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

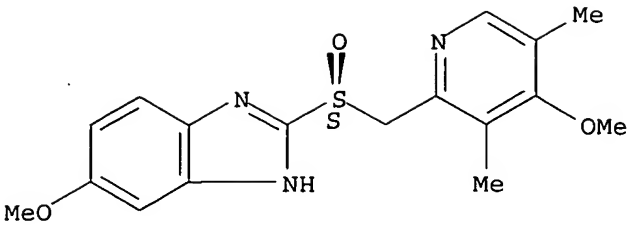


IT **119141-88-7P**, Esomeprazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(process for preparation of amorphous **hydrates** of esomeprazole magnesium for use in reducing gastric acid secretion)

RN 119141-88-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



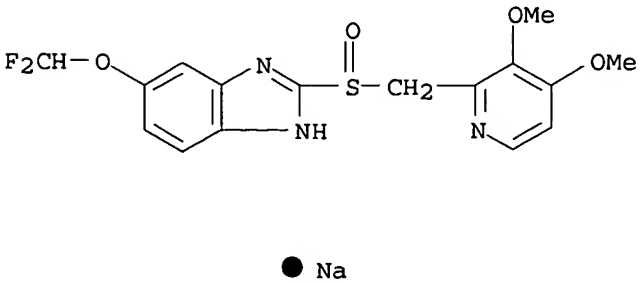
REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 15 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:165728 CAPLUS
DOCUMENT NUMBER: 141:355528
TITLE: Solid state characterization of new pantoprazole sodium **hydrate** forms and its amorphous form
AUTHOR(S): Kotar-Jordan, B.; Grcman, M.; Ograjsek, N.; Vrecer, F.
CORPORATE SOURCE: KRKA, dd., Novo mesto, R+D, Novo mesto, 8501, Slovenia
SOURCE: Farmacevtski Vestnik (Ljubljana, Slovenia) (2003), 54(Spec. Issue), 411-412
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE: Journal
LANGUAGE: English

AB Hemihydrate and dihydrate, and amorphous forms of pantoprazole sodium were isolated and characterized by differential scanning calorimetry, thermogravimetric anal., Fourier transform-IR spectrometry and x-ray powder diffraction, solid state 13C NMR spectrometry, and dynamic vapor sorption isotherms.

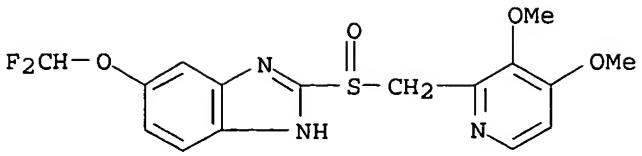
IT **138786-67-1**, Pantoprazole sodium **718635-12-2** **774583-03-8**
RL: ANT (Analyte); PRP (Properties); ANST (Analytical study)
(solid state characterization of pantoprazole sodium **hydrate** forms and its amorphous form)

RN 138786-67-1 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

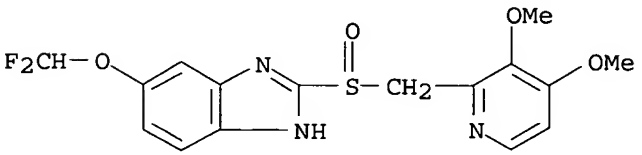
RN 718635-12-2 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, dihydrate (9CI) (CA INDEX NAME)



● Na

●2 H_2O

RN 774583-03-8 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:1) (9CI) (CA INDEX NAME)



● Na

●1/2 H_2O

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

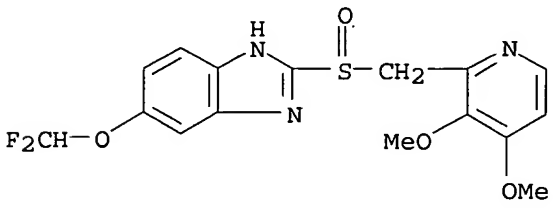
L5 ANSWER 16 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:165727 CAPLUS
DOCUMENT NUMBER: 141:337371
TITLE: Characterization of two pantoprazole sodium **hydrates**
AUTHOR(S): Zupancic, V.; Jordan, Kotar B.; Grcman, M.; Ograjsek, N.; Vrecer, F.
CORPORATE SOURCE: Product supply, Novo mesto, Krka d.d., Novo mesto, 8501, Estonia
SOURCE: Farmacevtski Vestnik (Ljubljana, Slovenia) (2003), 54(Spec. Issue), 409-410
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE: Journal
LANGUAGE: English
AB The aim of this study was to characterize 2 **hydrates** of pantoprazole sodium, i.e., monohydrate and sesquihydrate by modem anal. techniques such as DSC, Ft-IR and Raman spectroscopic techniques. The

monohydrateis thermodynamically less stable than the sesquihydrate.

IT **164579-32-2**, Pantoprazole sodium sesquihydrate **699002-47-6**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(characterization of pantoprazole sodium **hydrates**)

RN 164579-32-2 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (2:3) (9CI) (CA INDEX NAME)

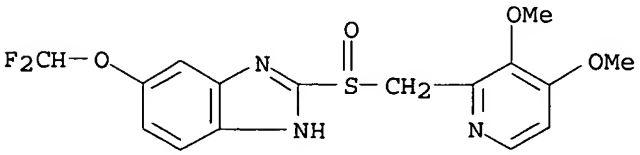


● Na

● 3/2 H_2O

RN 699002-47-6 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]-, sodium salt, monohydrate (9CI) (CA INDEX NAME)



● Na

● H_2O

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2004:117124 CAPLUS

DOCUMENT NUMBER: 140:169642

TITLE: Preparation of the novel (-)-pantoprazole magnesium dihydrate

INVENTOR(S): Kohl, Bernhard; Mueller, Bernd; Sturm, Ernst; Hummel, Rolf-Peter; Simon, Wolfgang-Alexander; Kromer,

Wolfgang; Postius, Stefan; Hanauer, Guido; Huber, Reinhard
PATENT ASSIGNEE(S): Altana Pharma AG, Germany
SOURCE: Ger. Offen., 4 pp.
CODEN: GWXXBX
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
DE 10234617	A1	20040212	DE 2002-10234617	20020729
CA 2493326	AA	20040212	CA 2003-2493326	20030726
WO 2004013126	A1	20040212	WO 2003-EP8269	20030726
W: AE, AL, AU, BA, BR, CA, CN, CO, DZ, EC, GE, HR, ID, IL, IN, IS, JP, KR, LT, LV, MA, MK, MX, NO, NZ, PH, PL, SG, TN, UA, US, VN, YU, ZA, ZW				
RW: AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR				
EP 1527066	A1	20050504	EP 2003-747866	20030726
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
US 2004248940	A1	20041209	US 2004-489659	20040315

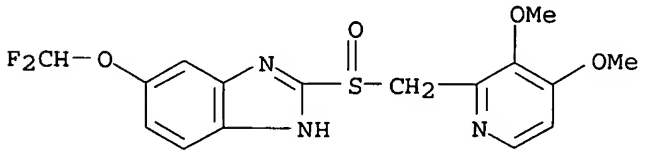
PRIORITY APPLN. INFO.:
DE 2002-10234617 A 20020729
EP 2002-27275 A 20021206
EP 2003-12411 A 20030530
WO 2003-EP8269 W 20030726

AB The invention concerns the preparation of (-)-pantoprazole magnesium salt and its **hydrate**, especially the dihydrate for the treatment of stomach and intestinal diseases. For the preparation of (-)-pantoprazole magnesium 20.2 g (-)-pantoprazole were dissolved in sodium hydroxide and filtrated followed by the addition of a 6.32 g magnesium chloride hexahydrate aqueous solution The (-)-pantoprazole magnesium salt was isolated and recrystd. from methanol to obtain (-)-pantoprazole magnesium dihydrate.

IT **102625-70-7**, Pantoprazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of novel (-)-pantoprazole magnesium dihydrate)

RN 102625-70-7 CAPLUS

CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 18 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:19893 CAPLUS
DOCUMENT NUMBER: 140:59642
TITLE: preparation of almost anhydrous lansoprazole from its solvate and/or **hydrate**
INVENTOR(S): Aihara, Kiyoshi; Hiroshige, Eiko; Yokogoshi, Kiyonori
PATENT ASSIGNEE(S): Permachem Asia, Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 7 pp.

CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004002230	A2	20040108	JP 2002-160105	20020531

PRIORITY APPLN. INFO.: JP 2002-160105 20020531
OTHER SOURCE(S): CASREACT 140:59642

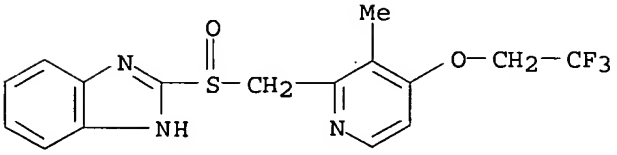
AB Almost anhydrous lansoprazole (I, already know as antiulcer agent) is prepared by dissolving solvate and/or **hydrate** of I in solvent, crystallizing by aqueous alkali, and drying at low temperature Thus, I **hydrate** (H2O content 1.5%) was dissolved in DMF, treated with ammonia at pH 9, filtered, and dried at 40° for 12 h to give white I crystals, which contained 0.04% H2O.

IT **207790-96-3 637744-12-8**
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); PROC (Process)
(dehydration and/or desolvation of lansoprazole by crystallization by aqueous alkali and low-temperature drying)

RN 207790-96-3 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (1:1), monohydrate (9CI) (CA INDEX NAME)

CM 1

CRN 103577-45-3
CMF C16 H14 F3 N3 O2 S

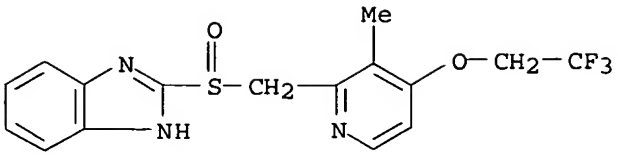


CM 2

CRN 64-17-5
CMF C2 H6 O

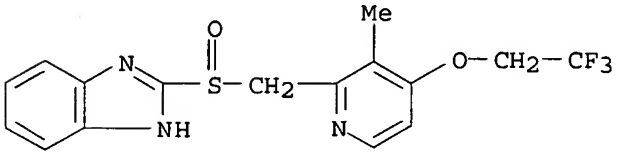
H_3C-CH_2-OH

RN 637744-12-8 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-, monohydrate (9CI) (CA INDEX NAME)



● H_2O

IT **103577-45-3P**, Lansoprazole
RL: PUR (Purification or recovery); PREP (Preparation)
(dehydration and/or desolvation of lansoprazole by crystallization by aqueous
alkali and low-temperature drying)
RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 19 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:900584 CAPLUS
DOCUMENT NUMBER: 140:321598
TITLE: Interactions of Omeprazole and Precursors with beta-Cyclodextrin Host Molecules
AUTHOR(S): Braga, Susana S.; Ribeiro-Claro, Paulo; Pillinger, Martyn; Goncalves, Isabel S.; Fernandes, Ana C.; Pereira, Florbela; Romao, Carlos C.; Correia, Pedro Brito; Teixeira-Dias, Jose J. C.
CORPORATE SOURCE: CICECO, Department of Chemistry, University of Aveiro, Aveiro, 3810-193, Port.
SOURCE: Journal of Inclusion Phenomena and Macrocyclic Chemistry (2003), 47(1-2), 47-52
CODEN: JIPCF5; ISSN: 1388-3127
PUBLISHER: Kluwer Academic Publishers
DOCUMENT TYPE: Journal
LANGUAGE: English
AB β-Cyclodextrin (β-CD) was mixed with omeprazole and some of its precursors in aqueous or water/ethanol solns., and the resulting crystalline products have been characterized by elemental anal., thermogravimetry, powder X-ray diffraction (XRD), FTIR and 13C CP MAS NMR spectroscopy. In the case of 2-chloromethyl-4-methoxy-3,5-dimethylpyridine·HCl, it was found that the solid product always consisted of pure β-CD **hydrate**. On the other hand, a 2:1 (host-to-guest) inclusion complex was obtained between β-CD and 2-methoxy-2-mercaptobenzimidazole. The thioether intermediate 5-methoxy-2-[(3,5-dimethyl-4-methoxy-2-pyridine)methylthio]-1H-benzimidazole and its sulfoxide derivative (omeprazole) both formed 1:1 inclusion complexes with β-CD. Powder XRD indicates that the crystal packing of β-CD host mols. is herringbone-type for the 2:1 complex, and channel-type for

the 1:1 complexes. Ab initio calcns. were carried out to investigate the host-guest interactions. It was found that the interaction with the pyridine fragment is wholly repulsive, due to the presence of several ring substituents. On the other hand, the inclusion of the benzimidazole fragment is energetically favored, but highly dependent on the orientation of the substituent methoxy group.

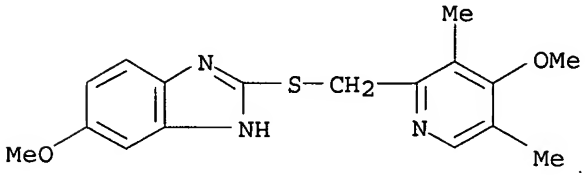
IT **678172-86-6 678172-87-7**
RL: FMU (Formation, unclassified); PRP (Properties); FORM (Formation, nonpreparative)
(interactions of omeprazole and precursors with β-cyclodextrin host mols.)

RN 678172-86-6 CAPLUS

CN β-Cyclodextrin, compd. with 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

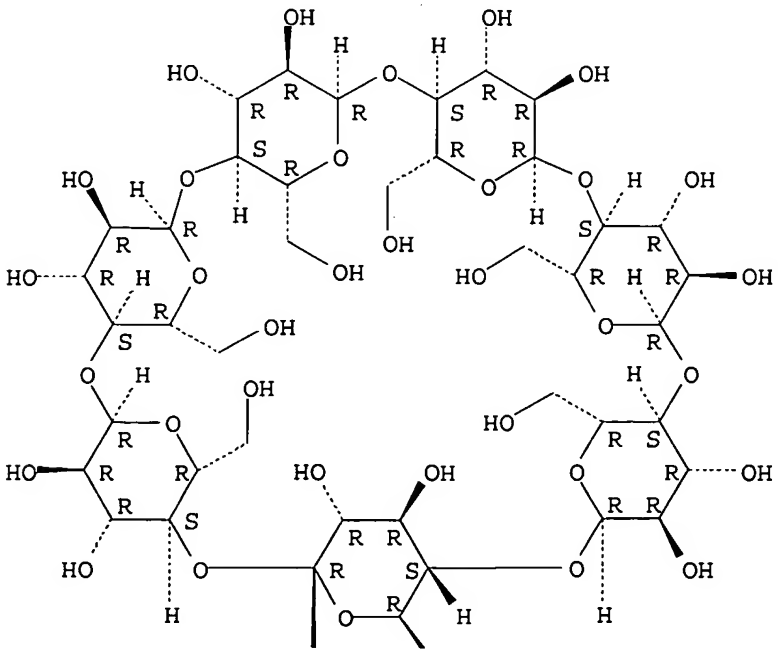
CRN 73590-85-9
CMF C17 H19 N3 O2 S



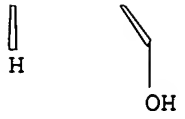
CM 2

CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.



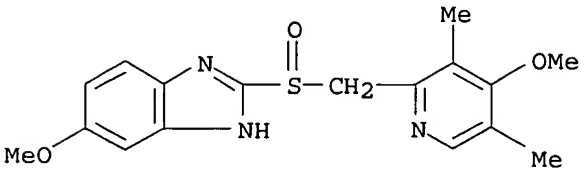
PAGE 2-A



RN 678172-87-7 CAPLUS
CN β-Cyclodextrin, compd. with 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 73590-58-6
CMF C17 H19 N3 O3 S

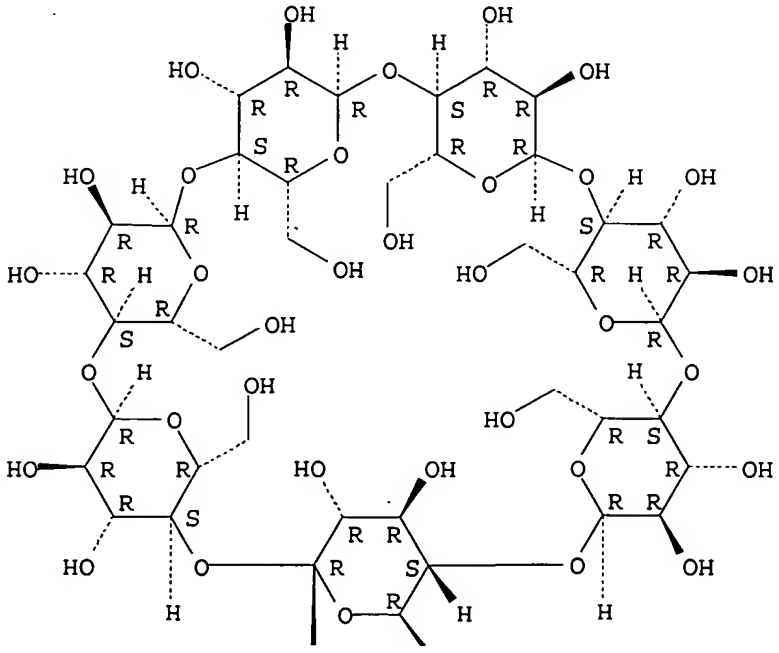


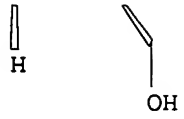
CM 2

CRN 7585-39-9
CMF C42 H70 O35

Absolute stereochemistry.

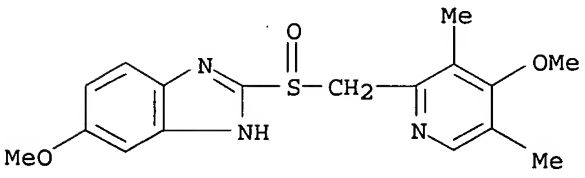
PAGE 1-A



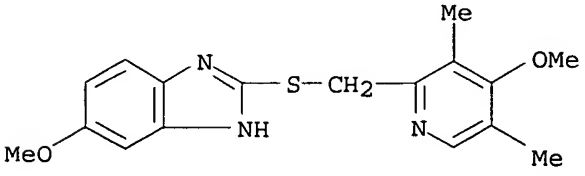


IT **73590-58-6 73590-85-9**
RL: PRP (Properties)
(interactions of omeprazole and precursors with β-cyclodextrin host mols.)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 73590-85-9 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2003:154421 CAPLUS
DOCUMENT NUMBER: 138:187772
TITLE: Method for the preparing crystals of 2-[(2-pyridinylmethyl)thio]-1H-benzimidazole **hydrates**
INVENTOR(S): Loebermann, Hartmut; Caster, Karl-Heinz
PATENT ASSIGNEE(S): Gruenenthal G.m.b.H., Germany
SOURCE: PCT Int. Appl., 16 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

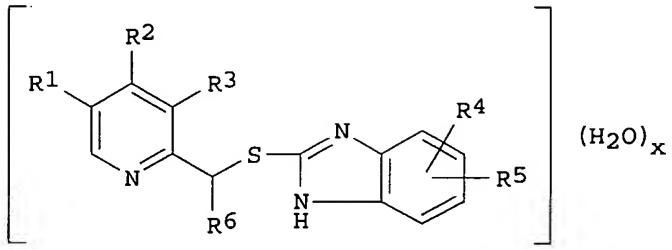
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003016301	A1	20030227	WO 2002-EP8867	20020808

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

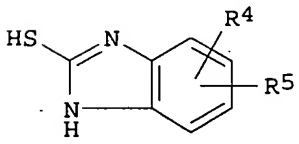
DE 10140492	A1	20030814	DE 2001-10140492		20010817
CA 2457576	AA	20030227	CA 2002-2457576		20020808
EP 1421076	A1	20040526	EP 2002-758447		20020808
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK					
US 2004158072	A1	20040812	US 2004-772033		20040204
PRIORITY APPLN. INFO.:			DE 2001-10140492	A	20010817
			WO 2002-EP8867	W	20020808

OTHER SOURCE(S): CASREACT 138:187772; MARPAT 138:187772

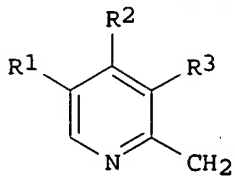
GI



I



II



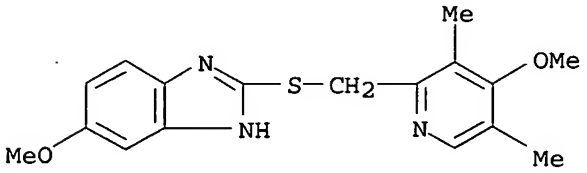
III

AB Title compds. [I; R1-R3 = H, alkyl, cycloalkyl, fluoroalkyl, alkoxy; R4, R5 = H, alkyl, (methylene)cycloalkyl, alkoxycarbonyl, alkoxy, fluoroalkoxy, fluoroalkyl, carboxyalkyl; R6 = H, alkyl; x = 0.5-2], were prepared by reacting non-**hydrated** II (R4, R5 as above) with reactive III (R1-R3 and R6 as above) in the presence of a base. Isolation of I results by partially removal of organic solvent which is mixable with H2O followed by crystallization with 55 weight% H2O at <40°. Thus NaOH in EtOH was treated with 2-mercapto-5-methoxybenzimidazole followed by reflux with 2-chloromethyl-3,5-dimethyl-4-methoxypyridine hydrochloride for 14 h. The reaction mixture was crystallized with H2O at 25° to give 95% crystals of 5-methoxy-2-[(3,5-dimethyl-4-methoxypyridin-2-yl)methylthio]-1H-benzimidazole **hydrate** having a purity of 99.7%.

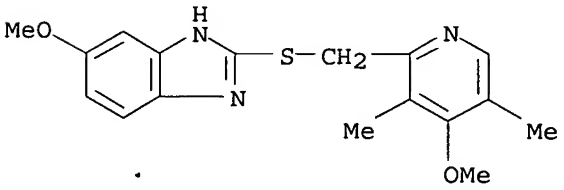
IT **73590-85-9P**, Pyrmetazole
RL: IMF (Industrial manufacture); PUR (Purification or recovery); SPN (Synthetic preparation); PREP (Preparation)
(method for preparing crystals of (pyridinylmethylthio)benzimidazole **hydrates**)

RN 73590-85-9 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]- (9CI) (CA INDEX NAME)



IT **108928-02-5P**
RL: PUR (Purification or recovery); PREP (Preparation)
(method for preparing crystals of (pyridinylmethylthio)benzimidazole **hydrates**)
RN 108928-02-5 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]thio]-, hydrochloride (9CI) (CA INDEX NAME)



●x HCl

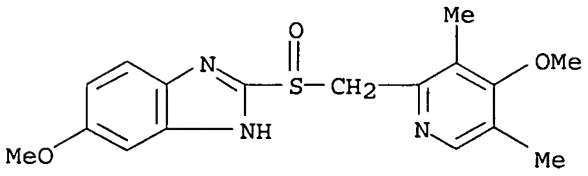
REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:934781 CAPLUS
DOCUMENT NUMBER: 138:396134
TITLE: On the inhibitory action of 29 drugs having side effect gynecomastia on estrogen production
AUTHOR(S): Satoh, Takashi; Itoh, Shinji; Seki, Toshio; Itoh, Shungo; Nomura, Norikazu; Yoshizawa, Itsuo
CORPORATE SOURCE: Hokkaido College of Pharmacy, Otaru, Hokkaido, 047-0264, Japan
SOURCE: Journal of Steroid Biochemistry and Molecular Biology (2002), 82(2-3), 209-216
CODEN: JSBBEZ; ISSN: 0960-0760
PUBLISHER: Elsevier Science Ltd.
DOCUMENT TYPE: Journal
LANGUAGE: English
AB To examine the influence on aromatase and sulfatase pathways in estrogen pool by drugs reported to cause gynecomastia as the side effect, 29 ethical drugs were incubated with human placental microsomes as an enzyme source. The percent inhibition of drugs on aromatase pathway was obtained by sum of the velocity consts. of two products, estrone (E1) and estradiol (E2) from testosterone (T) as the substrate, and that on sulfatase pathway was obtained as the velocity constant of production of E1 from estrone sulfate (E1S). Although several drugs including ketoconazole showed a significant inhibition effect on aromatase pathway at their non-clin. over-dose concentration

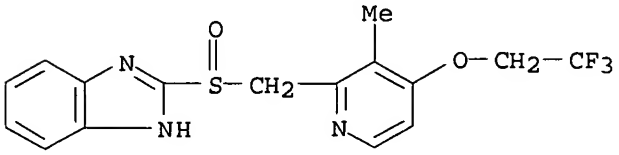
(100 μM), no influence on the inhibition was observed in any drugs at their approx. therapeutic concentration (1 μM). However, several drugs including spironolactone gave the product ratio (E2/E1) having higher value than that of the control, the result means spironolactone inhibits the conversion of E2 to E1. No inhibitory effect of the drugs tested on estrogen production from E1S (sulfatase pathway) was confirmed. The results suggest the possibility that the tested drugs known to cause gynecomastia have no inhibitory effect essentially on aromatase and sulfatase pathways.

IT **73590-58-6**, Omeprazole **103577-45-3**, Lansoprazole
RL: ADV (Adverse effect, including toxicity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(inhibitory action of drugs having a side effect of gynecomastia on estrogen production)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 22 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:271983 CAPLUS
DOCUMENT NUMBER: 136:299722
TITLE: Pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 45 pp., Cont.-in-part of U.S. 6,262,085.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6369087	B1	20020409	US 2000-645145	20000824
US 6262085	B1	20010717	US 2000-519976	20000307

US 2002103232	A1	20020801	US 2002-57659	20020125
US 6444689	B2	20020903		
US 2003096845	A1	20030522	US 2002-189659	20020703
US 6667321	B2	20031223		
US 2003225135	A1	20031204	US 2003-431019	20030507
US 6667323	B2	20031223		
US 2003225136	A1	20031204	US 2003-434259	20030508
US 6706737	B2	20040316		
US 6653329	B1	20031125	US 2003-439865	20030516
US 2003225137	A1	20031204	US 2003-439438	20030516
US 6667324	B2	20031223		
US 2004157887	A1	20040812	US 2004-769021	20040202

PRIORITY APPLN. INFO.:

US 1999-150878P P 19990826
US 2000-519976 A2 20000307
US 2000-645145 A1 20000824
US 2002-57659 A1 20020125
US 2002-189659 A1 20020703
US 2003-434259 A1 20030508

OTHER SOURCE(S): MARPAT 136:299722

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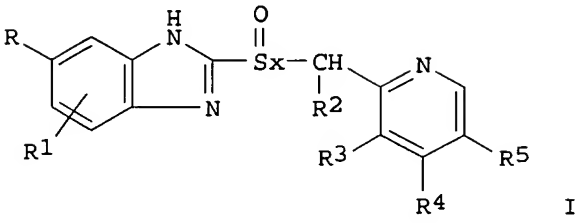


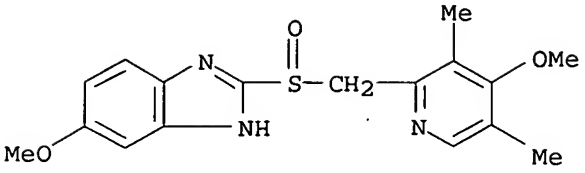
I

AB I, including omeprazole and its enantiomers, are disclosed by the invention, along with pharmaceutically acceptable salts, solvates, **hydrates**, or combinations optionally in combination with the 5-R-substituted analog, that are useful for inhibiting gastric acid secretion in mammals. Pharmaceutical formulations and methods of making and using such compds. are also disclosed. I (where Sx = chiral S atom comprising at least 1 of the diastereoisomers, R = alkoxy; R1 = H, alkyl, halo, carboalkoxy, alkoxy, alkanoyl; R2 = H or alkyl; and R3, R4, and R5 = H, alkyl, alkoxy, or alkoxyalkoxy, wherein when R4 is alkoxy and R3 and R5 are not H, the alkyl substituent of such alkoxy group is selected from the group consisting of at least one of the diastereomers in which the alkoxy group is above the chiral plane and the alkoxy group is below the chiral plane, with some provisions). The compds. may be used to treat disorders such as duodenal ulcer, H. pylori infection, and gastric ulcer. Pure 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (II) was separated in solution and characterized. Enteric-coated tablets contained 225 mg II.

IT **73590-58-6**, 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-
RL: BSU (Biological study, unclassified); FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion)

RN 73590-58-6 CAPLUS

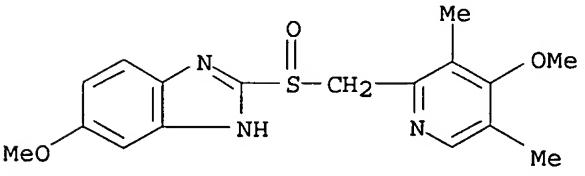
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT **95510-70-6**, 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-,sodiumsalt **119141-88-7**, 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- **119141-89-8**, 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- **161796-77-6**, 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt **161796-78-7**, 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt
RL: FMU (Formation, unclassified); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion)

RN 95510-70-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

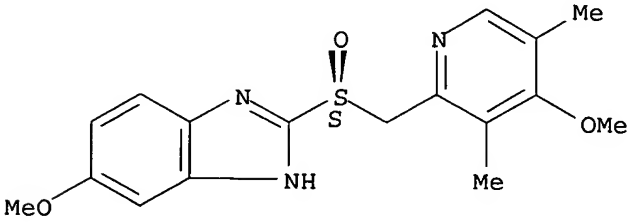


● Na

RN 119141-88-7 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

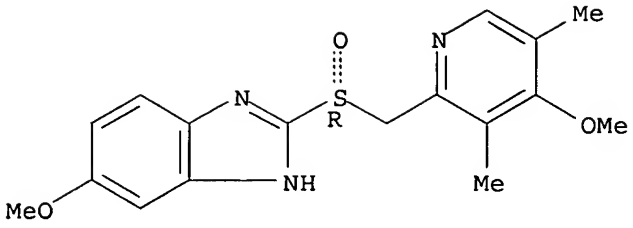
Absolute stereochemistry. Rotation (-).



RN 119141-89-8 CAPLUS

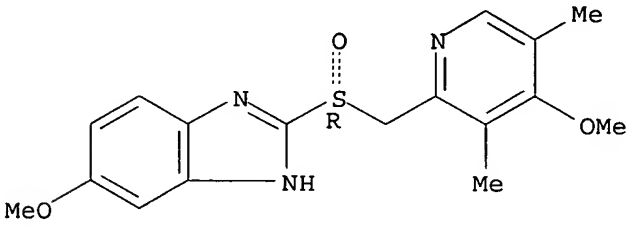
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

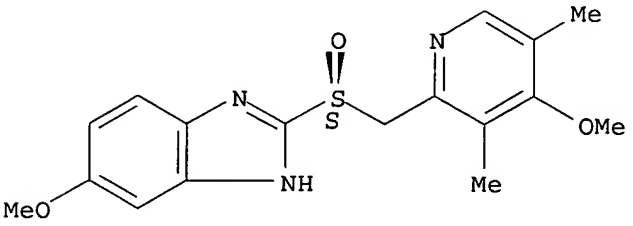
Absolute stereochemistry. Rotation (+).



● Na

RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

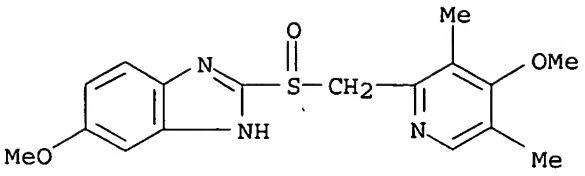
Absolute stereochemistry. Rotation (-).



● Na

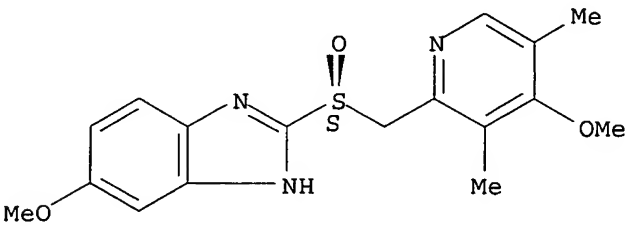
IT **73590-58-6DP**, 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium complex, tetrahydrate
119141-88-7DP, 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium complex
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 153 THERE ARE 153 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 23 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:185616 CAPLUS
DOCUMENT NUMBER: 136:252482
TITLE: Preparation of aqueous clear solution dosage forms with bile acids
INVENTOR(S): Yoo, Seo Hong
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 35 pp., Cont.-in-part of U. S. 6,251,428.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

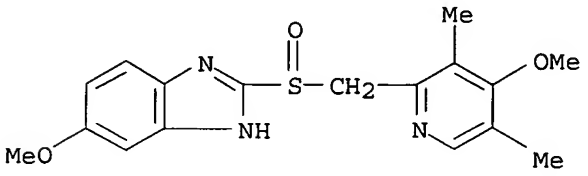
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2002031558	A1	20020314	US 2001-778154	20010205
US 6251428	B1	20010626	US 1999-357549	19990720
US 2003186933	A1	20031002	US 2002-309603	20021204
PRIORITY APPLN. INFO.:			US 1998-94069P P	19980724
			US 1999-357549 A2	19990720
			US 2000-180268P P	20000204
			US 2001-778154 A3	20010205

AB Compns. for pharmaceutical and other uses comprise clear aqueous solns. of bile acids which do not form any detectable ppts. over selected ranges of pH values of the aqueous solution The compns. comprise (i) water, (ii) a bile acid component in the form of a bile acid, bile acid salt, or a bile acid conjugated with an amine by an amide linkage; and (iii) either or both an aqueous soluble starch conversion product and an aqueous soluble non-starch polysaccharide. The composition remains in solution without forming a precipitate over a range of pH values and, according to one embodiment, remains in solution for

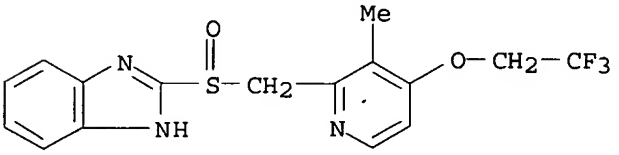
all pH values obtainable in an aqueous system. The composition may further contain
a pharmaceutical compound, such as insulin, heparin, bismuth compds., amantadine and rimantadine. For example, solution dosage forms that did not show any precipitation at any pH were prepared containing ursodeoxycholic acid (UDCA) 22
g, 1N NaOH 75 mL, chenodeoxycholic acid (CDCA) 3 g, maltodextrin 875 g, bismuth citrate 4 g, citric acid or lactic acid as needed, and purified water to make 1 L.

IT **73590-58-6**, Omeprazole **103577-45-3**, Lansoprazole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of stable aqueous solns. containing bile acids for therapy)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 24 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:87150 CAPLUS
DOCUMENT NUMBER: 136:123699
TITLE: Preparation of pharmaceutical compositions containing ion-exchange resins compositions
INVENTOR(S): Hughes, Lyn
PATENT ASSIGNEE(S): Rohm and Haas Company, USA
SOURCE: Eur. Pat. Appl., 7 pp.
CODEN: EPXXDW
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 1175914	A2	20020130	EP 2001-306420	20010726
EP 1175914	A3	20020502		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
US 2002032245	A1	20020314	US 2001-885881	20010620
JP 2002060350	A2	20020226	JP 2001-227720	20010727

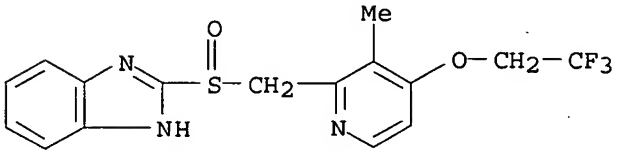
PRIORITY APPLN. INFO.: US 2000-221024P P 20000727

AB A rapid release resin composition is described wherein the drug is anisotropically distributed throughout the resin material. Thus, 0.5 g indomethacin and 1.5 g an acrylic anion exchange resin with tertiary amine functionality (Amberlite IRA 67) and a weight capacity between 5.8 and 6.2 mequiv./g, in its fully **hydrated** state were mixed in a 25-mL vial. Water (6 g) was added to the mixture, the vial was closed and the mixture shaken. After 2 h the indomethacin disappeared and the ion exchange resin was yellow. The water was drained to give the wet resinate.

IT **103577-45-3**, Lansoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(preparation of pharmaceuticals containing ion-exchange resins compns.)

RN 103577-45-3 CAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 25 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:875245 CAPLUS

DOCUMENT NUMBER: 136:11182

TITLE: Dry blend of methoxybenzimidazole derivs. for oral dosage forms

INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.

PATENT ASSIGNEE(S): USA

SOURCE: U.S., 39 pp., Cont.-in-part of U.S. 6,262,085.
CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 9

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6326384	B1	20011204	US 2000-645148	20000824
US 6262085	B1	20010717	US 2000-519976	20000307
PRIORITY APPLN. INFO.:			US 1999-150878P	P 19990826
			US 2000-519976	A2 20000307

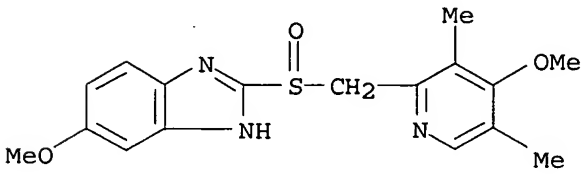
OTHER SOURCE(S): MARPAT 136:11182

AB The present invention provides dry blend pharmaceutical formulations in unit dosage forms comprising per dosage unit one or more active pharmaceutical ingredients or pharmaceutically acceptable salts, solvates, **hydrates**, or combinations thereof wherein the ratio of said one or more active pharmaceutical ingredients in said formulations is essentially the same as the ratio of said active pharmaceutical ingredients in the corresponding, non-formulated drug substance and, wherein said formulations in unit dosage form are adapted for oral administration in a form of a capsule or a tablet. The active pharmaceutical ingredient is 4-methoxy-3,5-dimethyl-2-pyridinyl or one or more pharmaceutically acceptable salts, solvates, **hydrates**, or combinations thereof, in pure form or essentially free of 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole. For example, a tablet

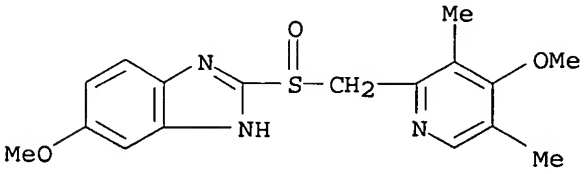
formulation was manufactured by complexing 5(6)-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (I) with hydroxypropyl-β-cyclodextrin (HPβCD) in solution and spraying the solution onto lactose. The spray on lactose material was then blended with excipients and compressed into core tablets. The formulation contained I 20.0 mg, HPβCD 80.0 mg, lactose 68.7 mg, magnesium stearate 0.4 mg, and colloidal silica 0.4 mg per tablet. Tablets were coated to a 4.5% total solids weight gain with an Opadry White coating solution as a subcoat. After drying, a 10% total solids weight gain from an Eudragit L 30 or D-55 coating solution was applied as an enteric coat.

IT **73590-58-6P**, Omeprazole **95510-70-6P 119141-88-7P 119141-89-8P 161796-77-6P 161796-78-7P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(oral dosage forms containing blend of methoxybenzimidazole derivs. for treatment of gastric acid-related diseases)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



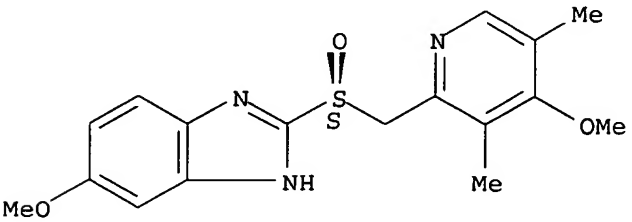
RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

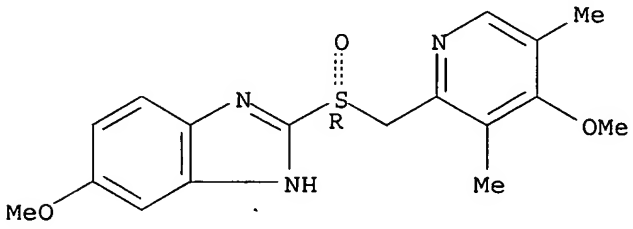
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



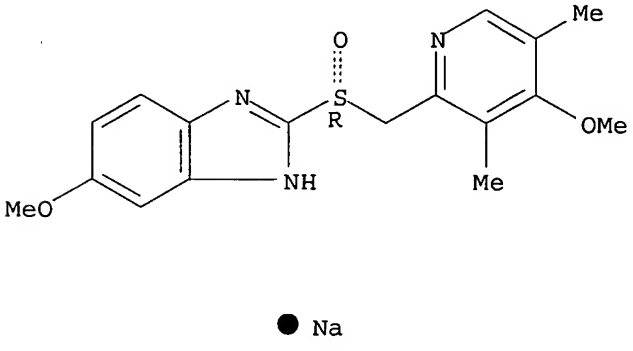
RN 119141-89-8 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



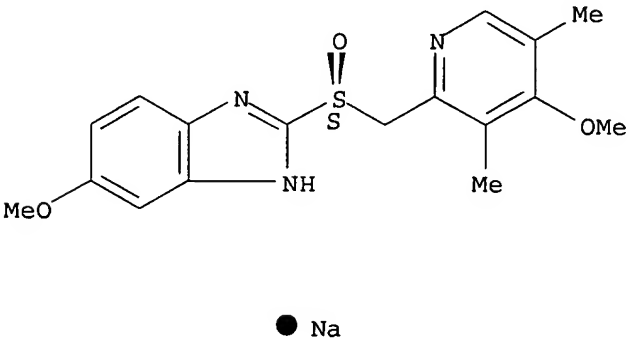
RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



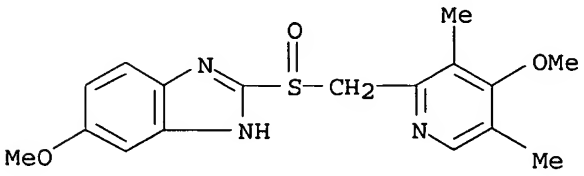
RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **372518-59-7P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(oral dosage forms containing blend of methoxybenzimidazole derivs. for

treatment of gastric acid-related diseases)
RN 372518-59-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt, octahydrate (9CI) (CA INDEX NAME)



●1/2 Mg

●4 H_2O

REFERENCE COUNT: 147 THERE ARE 147 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:851149 CAPLUS
DOCUMENT NUMBER: 136:5990
TITLE: Process for producing crystal of optically active 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole
INVENTOR(S): Hashimoto, Hideo; Maruyama, Hideaki
PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001087874	A1	20011122	WO 2001-JP4014	20010515
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 2001056732	A5	20011126	AU 2001-56732	20010515
JP 2002037783	A2	20020206	JP 2001-144635	20010515
JP 3374314	B2	20030204		
CA 2409044	AA	20021114	CA 2001-2409044	20010515
JP 2002338567	A2	20021127	JP 2001-145688	20010515
JP 2003055372	A2	20030226	JP 2002-229402	20010515
EP 1293507	A1	20030319	EP 2001-930131	20010515

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

US 2003153766 A1 20030814 US 2002-275334 20021107
PRIORITY APPLN. INFO.: JP 2000-141670 A 20000515
JP 2001-144635 A3 20010515
WO 2001-JP4014 W 20010515

OTHER SOURCE(S): CASREACT 136:5990

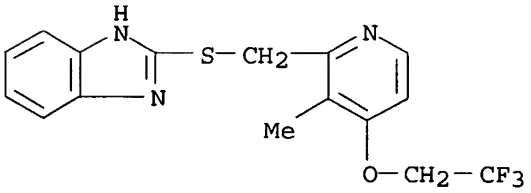
AB Described is a process for producing crystals of (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]-sulfinyl]benzimidazole [(R)-I].n'H2O (wherein n' is about 0 to about 0.1) or of a salt thereof, characterized by subjecting a solution or dispersion in an organic solvent of (R)-2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole .nH2O (wherein n is about 0.1 to about 1.0) to crystallization to crystallize out the target compound During examining various methods of preparing (R)- and (S)-I, it was found that there exist specific crystal forms for (R)- and (S)-I which are different from crystal forms of the sulfone derivative When these isomers are crystallized in these specific crystal forms, surprisingly the sulfone derivative, which is normally difficult to remove, is readily removed to give the desired isomer with very high optical purity. Thereby, this process is a simple process by which an optically active sulfoxide derivative can be efficiently and industrially mass-produced in high yield while attaining an extremely high enantiomer excess. (R)- and (S)-I possess antiulcer, anti-Helicobacter pylori, stomach-acid secretion inhibitory, and mucus membrane-protecting activity and are useful as antiulcer agents (no data). Thus, 0.747 L titanium isopropoxide was added to a mixture of 4.5 kg 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]thio]benzimidazole (1.89% water content), 22 L PhMe, 25 g H2O, 0.958 L (+)-tartaric acid di-Et ester at 50-60° and stirred at the same temperature for 30 min, followed by adding 0.733 L diisopropylethylamine at room temperature and then cumene hydroperoxide at -5° to 5°, and the resulting mixture was stirred at -5° to 5° for 1.5 h and treated with 17 L 30% sodium thiosulfate to decompose the residual cumene hydroperoxide. The organic layer was separated and successively treated with H2O 4.5, heptane 13.5, tert-Bu Me ether 18, and heptane 27 L, and stirred at .apprx.10° for crystallization The precipitated crystals were separated and washed with 4 L tert-Bu Me ether-PhMe (4:1) to give wet crystals of (R)-I containing the sulfone derivative by 0.90% and no sulfide and other isomer with optical purity of 100% ee. A suspension of the latter crystals in 20 L acetone was added dropwise to a mixture of 7 L acetone and 34 L water and stirred at .apprx.10° and the precipitated crystals were separated and washed with a mixture of 4 L acetone and 12 L water to give wet crystals of (R)-I containing no sulfone and sulfide derivative and other isomer with optical purity of 100% ee. The latter wet crystals were dissolved in 45 L EtOAc and 3 L H2O and the organic layer was separated, filtered to remove insol. matter, treated with 0.2 L Et3N, concentrated to .apprx.7 L, and treated with 2.3L MeOH and then with .apprx.12.5% aqueous NH3 (23 L, .apprx.50°) and 22 L tert-Bu Me ether (.apprx.50°). The organic layer was separated while saving the water layer and those in the following procedure, and treated with .apprx.12.5% aqueous NH3, followed by separating the organic layer, and this procedure was repeated one more time. The separated water layers were combined, treated with 22 L EtOAc, adjusted to pH .apprx.8 by adding dropwise AcOH, followed by separating the organic layer and extracting the water layer with 11 L EtOAc. The organic layers were combined, washed with 11 L .apprx.20% aqueous NaCl, treated with 0.2 L Et3N, concentrated under reduced pressure, treated with 5 L acetone, and concentrated under reduced pressure. The concentrate was dissolved in 9 L acetone and the solution was added

dropwise to a mixture of 4.5 L acetone and 22.5 L H2O, followed by adding dropwsie 18 L water to the resulting mixture The resulting mixture was stirred at .apprx.10° and the precipitated crystals were separated and successively washed with a cold 1:3 mixture of acetone and water (3 L) and then 12 L water to give wet crystals of (R)-I containing no sulfone and sulfide derivative and other isomer with optical purity of 100% ee. The latter wet crystals were dissolved in 32 L EtOAc, followed by separating the water layer, and the organic layer was concentrated under reduced pressure to .apprx.14 L, treated with 36 L EtOAc and 270 g activated charcoal, stirred, and filtered to remove the activated charcoal. The filtrate was concentrated under reduced pressure to .apprx.14 L, followed by adding 90 L heptane to the concentrate at .apprx.40° and stirring the resulting mixture at .apprx.40° for 30 min., and the precipitated crystals were separated, washed with a 1:8 mixture of EtOAc and heptane (6 L), and dried to give 3.4 kg (R)-I containing no sulfone and sulfide derivative and other isomer with optical purity of 100% ee, which had specific peaks in powder X-ray diffraction anal.

IT **103577-40-8**
RL: RCT (Reactant); RACT (Reactant or reagent)
(asym. oxidation; process for producing optically active [[[methyl(fluoroethoxy)pyridyl]methyl]sulfinyl]benzimidazole in specific crystal forms by crystallization)

RN 103577-40-8 CAPLUS

CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

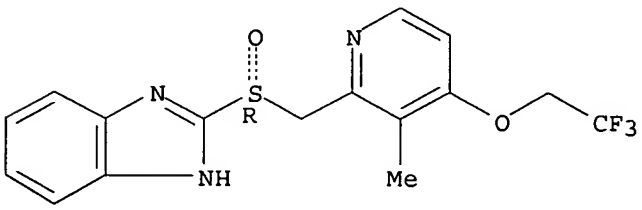


IT **138530-94-6P 138530-95-7P**
RL: IMF (Industrial manufacture); PEP (Physical, engineering or chemical process); PRP (Properties); PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(process for producing optically active [[[methyl(fluoroethoxy)pyridyl]methyl]sulfinyl]benzimidazole in specific crystal forms by crystallization)

RN 138530-94-6 CAPLUS

CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

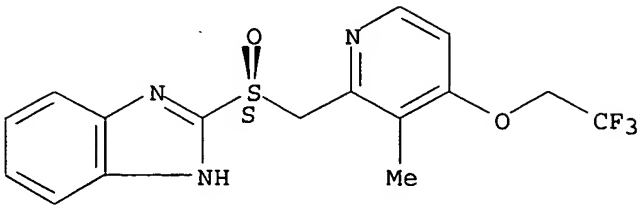
Absolute stereochemistry. Rotation (+).



RN 138530-95-7 CAPLUS

CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

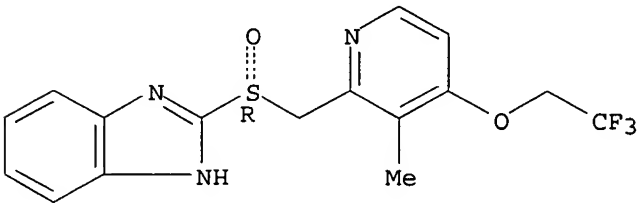
Absolute stereochemistry. Rotation (-).

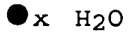


IT **374782-41-9P**, (R)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole **hydrate**
374782-42-0P, (S)-2-[[[3-Methyl-4-(2,2,2-trifluoroethoxy)-2-pyridyl]methyl]sulfinyl]benzimidazole **hydrate**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(process for producing optically active [[[methyl(fluoroethoxy)pyridyl]methyl]sulfinyl]benzimidazole in specific crystal forms by crystallization)

RN 374782-41-9 CAPLUS
CN 1H-Benzimidazole, 2-[(R)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-, hydrate (9CI) (CA INDEX NAME)

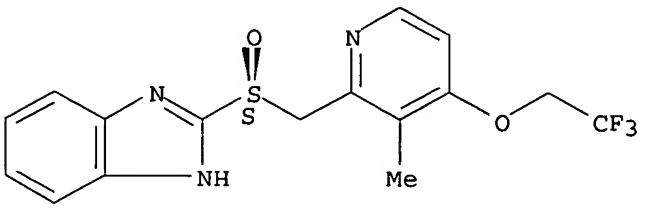
Absolute stereochemistry. Rotation (+).

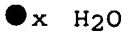




RN 374782-42-0 CAPLUS
CN 1H-Benzimidazole, 2-[(S)-[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-, hydrate (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).





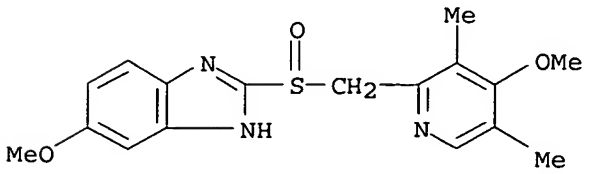
REFERENCE COUNT: 35 THERE ARE 35 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:838793 CAPLUS
DOCUMENT NUMBER: 137:57492
TITLE: Blood donors on medication: are deferral periods necessary?
AUTHOR(S): Stichtenoth, Dirk O.; Deicher, Helmuth R. G.; Frolich, Jurgen C.
CORPORATE SOURCE: Institute of Clinical Pharmacology, Medizinische Hochschule Hannover, Hannover, 30623, Germany
SOURCE: European Journal of Clinical Pharmacology (2001), 57(6-7), 433-440
CODEN: EJCPAS; ISSN: 0031-6970
PUBLISHER: Springer-Verlag
DOCUMENT TYPE: Journal
LANGUAGE: English

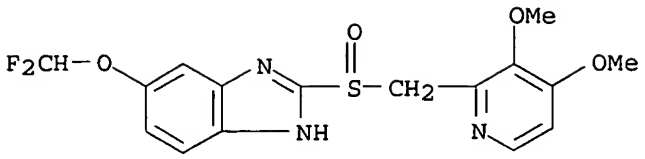
AB Drugs and their metabolites in transfused blood components may cause effects in the recipient. If the disorder being treated is not to be regarded as an exclusion criterion from blood donation, donors on medication should be deferred for a period consistent with the drug's pharmacokinetics. Peak plasma drug concns. of ≤3% of the therapeutic concentration were regarded to be safe for the recipient of a blood product. For teratogenic drugs a much lower safety level of <0.000001% has been proposed. For the calcn. of deferral periods, both the type of blood component to be prepared and the drug's pharmacokinetics were considered. For drugs with known teratogenic risks, a deferral period of 28 plasma-elimination half-lives is suggested. For nonteratogenic drugs, a simple, conservative approach could be based on waiting for 5 plasma-elimination half-lives, thus reaching the required 3% safety level. If, however, the type of blood component to be prepared is also considered, a more differentiated approach appears to be appropriate: for blood components containing ≤50 mL plasma from a single donor, donor medication may be disregarded because of the high dilution in the recipient's plasma volume, whereas for blood components with higher plasma contents (250 mL on average) from a single donor on medication the 3% safety level will be achieved by observing the deferral period of 5 plasma-elimination half-lives. A guideline for 191 drugs and drug classes is presented.

IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole
RL: ADV (Adverse effect, including toxicity); BUU (Biological use, unclassified); PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(waiting period before transfusion of blood products prepared from blood of humans taking various drugs, including)

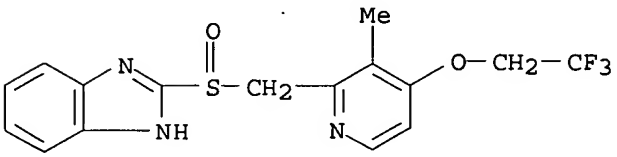
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:828927 CAPLUS
DOCUMENT NUMBER: 135:362587
TITLE: Cyclodextrin-containing pharmaceutical formulations for benzimidazole derivatives
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.; Meyer, Glenn Alan
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. 6,202,085.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

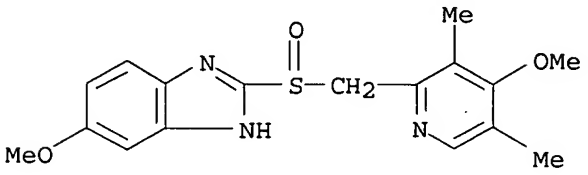
PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6316020	B1	20011113	US 2000-629587		20000731
US 6262085	B1	20010717	US 2000-519976		20000307
PRIORITY APPLN. INFO.:			US 1999-150878P	P	19990826
			US 2000-519976	A2	20000307

OTHER SOURCE(S): MARPAT 135:362587
AB Pharmaceutical compns. comprise a benzimidazole derivative as an active ingredient or a pharmaceutically acceptable salt, solvate, **hydrate**, or their combinations with at least one cyclodextrin and at least one pharmaceutically acceptable carrier, diluent, or excipient. For example, to a 50 mL beaker about 1 g of 5(6)-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole was added to 30 mL of methylene chloride. Addnl. 5(6)-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole was added to the resulting solution until a suspension of the material was formed. The solution was stirred for approx. 10 min, and then filtered through a 0.45 μm PTFE or Nylon filter. The resulting saturated solution was placed in a beaker, covered, and stored under refrigerated conditions (approx. 5°) until crystals formed (between 1-2 days). The identity of the title compound was confirmed by single crystal x-ray diffraction and/or Raman spectroscopy.

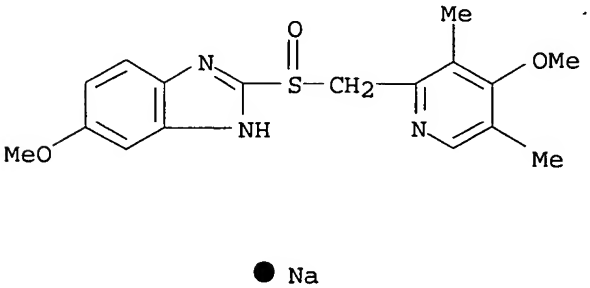
The resulting material was determined to contain about 84-88% (weight/weight) of the 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1 H-benzimidazole and about 12-16% (weight/weight) (I) of the 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1 H-benzimidazole (II). I and II were formulated in various dosage forms, such as tablets, capsules, enteric-coated tablets, and solns. for inhibiting gastric acid secretion. The formulations contained a cyclodextrin, e.g. hydroxypropyl β-cyclodextrin, in a drug to cyclodextrin ratio of 1:4-1:20 to increase drug solubility

IT **73590-58-6 95510-70-6 119141-88-7 119141-89-8 161796-77-6 161796-78-7 372518-59-7**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(crystallization of benzimidazole derivs. for formulations containing cyclodextrin)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

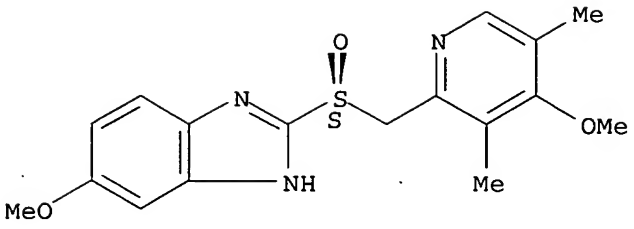


RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



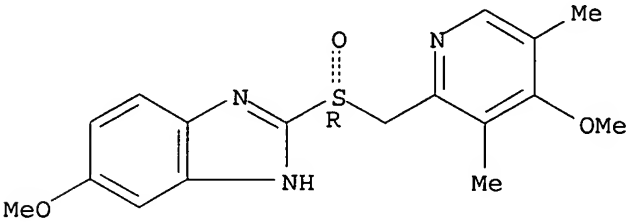
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



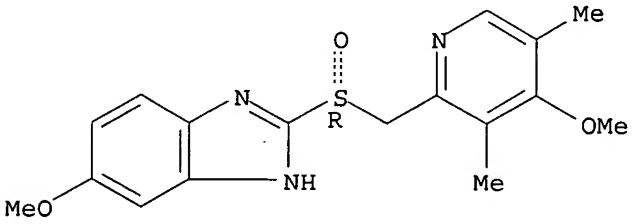
RN 119141-89-8 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

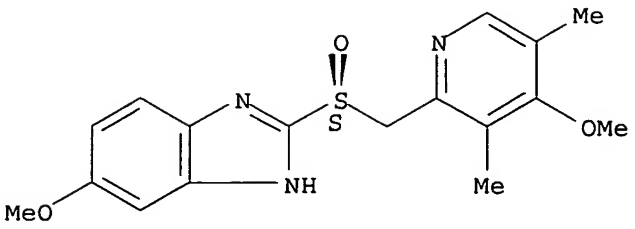
Absolute stereochemistry. Rotation (+).



● Na

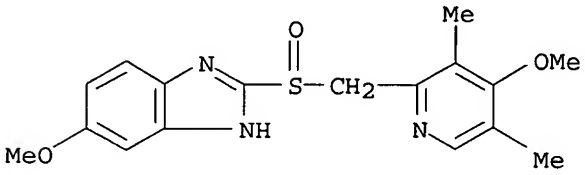
RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● Na

RN 372518-59-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, magnesium salt, octahydrate (9CI) (CA INDEX NAME)



●1/2 Mg

●4 H_2O

REFERENCE COUNT: 147 THERE ARE 147 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:809023 CAPLUS
DOCUMENT NUMBER: 135:348907
TITLE: Pyridylmethylsulfinylbenzimidazole derivatives with improved bioavailability
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.; Meyer, Glenn Alan
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 36 pp., Cont.-in-part of U.S. 6,262,085. CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6312712	B1	20011106	US 2000-628840	20000731
US 6262085	B1	20010717	US 2000-519976	20000307

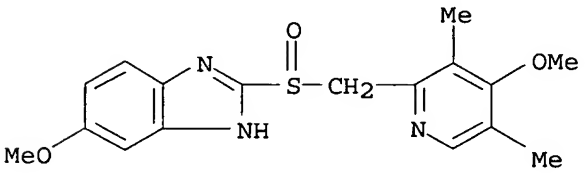
PRIORITY APPLN. INFO.: US 1999-150878P P 19990826
US 2000-519976 A2 20000307

OTHER SOURCE(S): MARPAT 135:348907

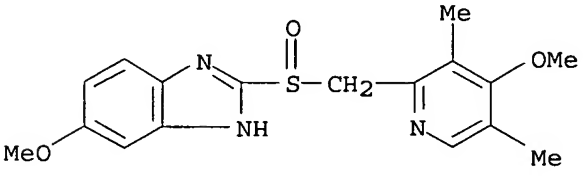
AB Improved bioavailability of one or more of certain pharmaceutically active compds. or pharmaceutically acceptable salts, solvates, **hydrates**, or combinations include administering a non-toxic, therapeutically effective amount of the compds. combined with at least one cyclodextrin to a mammal in need of treatment of gastric acid related diseases. 6-Methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole was purified from isomeric mixts. and formulations of this compound with hydroxypropyl β-cyclodextrin were given.

IT **73590-58-6P 95510-70-6P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(pyridylmethylsulfinylbenzimidazole derivs. with improved bioavailability)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

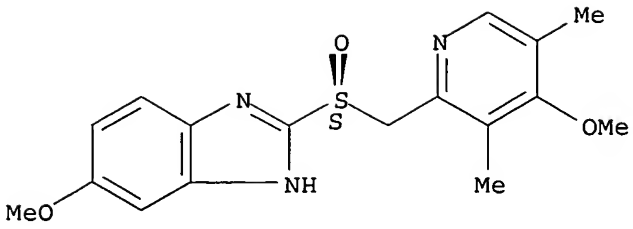


● Na

IT **119141-88-7P 119141-89-8P 161796-77-6P 161796-78-7P**
RL: BYP (Byproduct); PREP (Preparation)
(pyridylmethylsulfinylbenzimidazole derivs. with improved bioavailability)

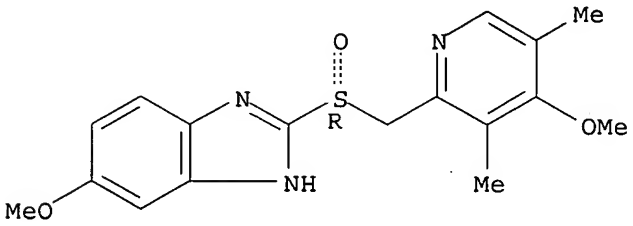
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



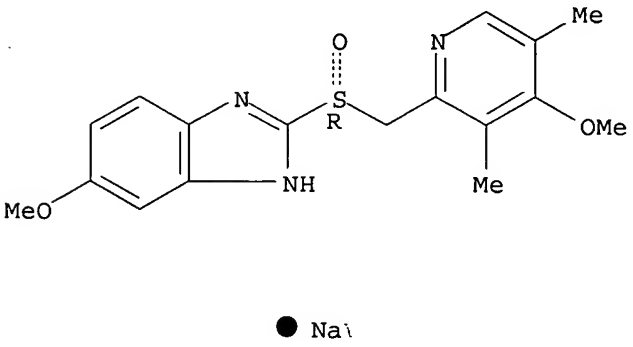
RN 119141-89-8 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



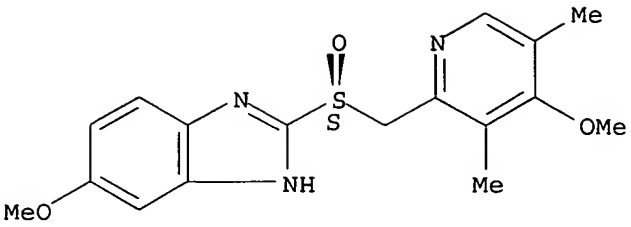
RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



● Na

REFERENCE COUNT: 147 THERE ARE 147 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

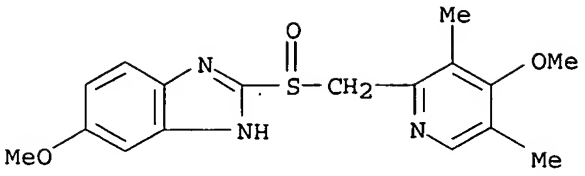
L5 ANSWER 30 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:808258 CAPLUS
DOCUMENT NUMBER: 135:348904
TITLE: Pharmaceutical unit dosage form containing 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.; Meyer, Glenn Alan; Fontana, Steven A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 37 pp., Cont.-in-part of U.S. 6,262,085.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
US 6312723	B1	20011106	US 2000-629634		20000731
US 6262085	B1	20010717	US 2000-519976		20000307
PRIORITY APPLN. INFO.:			US 1999-150878P	P	19990826
			US 2000-519976	A2	20000307

OTHER SOURCE(S): MARPAT 135:348904

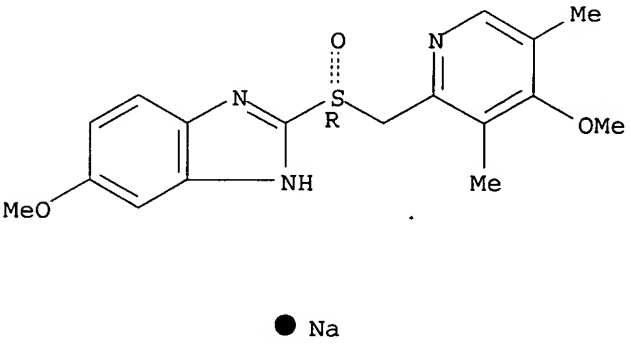
AB Pharmaceutical formulations, in oral unit dosage forms, have one or more active ingredients, namely 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (I), or pharmaceutically acceptable salts, solvates, **hydrates**, or combinations combined with at least one cyclodextrin. Examples are given for preparation of I and formulations of I which include hydroxypropyl β-cyclodextrin.

IT **73590-58-6P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(pharmaceutical unit dosage form containing 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



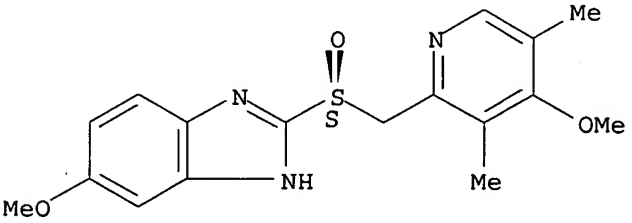
IT **161796-77-6P 161796-78-7P**
RL: BYP (Byproduct); PREP (Preparation)
(pharmaceutical unit dosage form containing 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole)
RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).

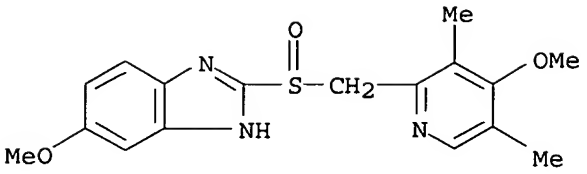


RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IT **95510-70-6P**
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceutical unit dosage form containing 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole)
RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

REFERENCE COUNT: 147 THERE ARE 147 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:713109 CAPLUS
DOCUMENT NUMBER: 135:262242
TITLE: Fast dissolving orally consumable films containing an ion exchange resin as a taste masking agent
INVENTOR(S): Bess, William S.; Kulkarni, Neema; Ambike, Suhas H.; Ramsay, Michael Paul
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

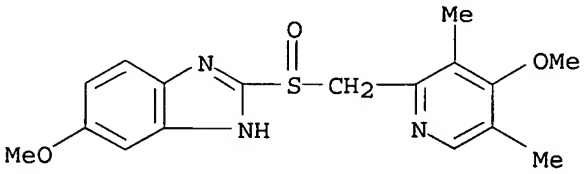
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001070194	A1	20010927	WO 2001-US2192	20010123
W: AE, AG, AL, AU, BA, BB, BG, BR, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MA, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2402988	AA	20010927	CA 2001-2402988	20010123
EP 1267829	A1	20030102	EP 2001-959912	20010123
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2001009378	A	20030603	BR 2001-9378	20010123
JP 2003527410	T2	20030916	JP 2001-568392	20010123
NZ 520961	A	20031031	NZ 2001-520961	20010123
ZA 2002006963	A	20030721	ZA 2002-6963	20020829
NO 2002004513	A	20020920	NO 2002-4513	20020920
PRIORITY APPLN. INFO.:			US 2000-535005 A	20000323
			WO 2001-US2192 W	20010123

AB Physiol. acceptable films, including edible films, are disclosed. The films include a water soluble film-forming polymer, such as pullulan, and a taste masked pharmaceutically active agent, such as dextromethorphan. The taste masking agent is preferably a sulfonated polymer ion exchange resin comprising polystyrene cross-linked with divinylbenzene, such as Amberlite. Methods for producing the films are also disclosed. For example, an antitussive film was prepared in accordance with the following procedure: (A) uncoated dextromethorphan hydrobromide was dissolved with mixing in the water, while maintaining the temperature at 75°, Amberlite

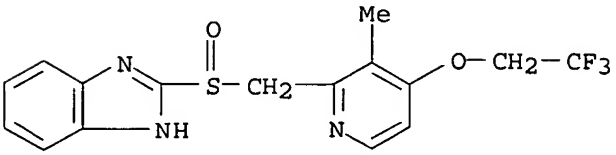
resin was then mixed into the water with heating at 70-80°, and heating was stopped, water lost to evaporation was replaced, and the potassium sorbate and sweeteners were then added to the composition with mixing to form Preparation A. (B) The film-forming ingredients (i.e., xanthan gum, locust bean gum, carrageenan and pullulan) were mixed in a sep. container to form Preparation B. (C) Preparation B was slowly added to Preparation A with rapid mixing, followed by overnight mixing at a reduced rate to provide Preparation C. (D) The menthol was dissolved with mixing in the alc. in a sep. container. The Physcool was then dissolved with mixing therein. Monoammonium glycyrrhizinate, Polysorbate 80, Atmos 300 and flavors were then added to the mixture and mixed to enhanced uniformity to form Preparation D. (E) Preparation D, glycerin and mannitol were added to Preparation C with thorough mixing to provide Preparation E. Preparation E was poured on a mold and cast to form a film of a desired thickness at room temperature The film was dried under warm air and cut to a desired dimension (dictated by, e.g., dosage and mouthfeel) for taste testing. The active film had a pleasing appearance and taste.

IT **73590-58-6**, Omeprazole **103577-45-3**, Lansoprazole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fast dissolving orally consumable films containing ion exchange resin as taste masking agent)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:560068 CAPLUS
DOCUMENT NUMBER: 135:142236
TITLE: Dry blend pharmaceutical formulations
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda B.; Fontana, Steven A.
PATENT ASSIGNEE(S): USA
SOURCE: U.S., 39 pp., Cont.-in-part of U.S. Ser. No. 519,976.
CODEN: USXXAM

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

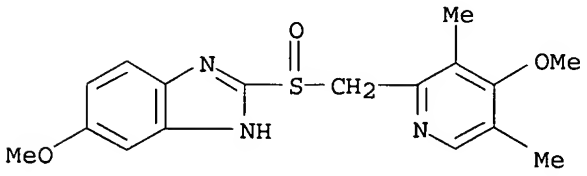
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6268385	B1	20010731	US 2000-645146	20000824
US 6262085	B1	20010717	US 2000-519976	20000307

PRIORITY APPLN. INFO.: US 1999-150878P P 19990826
US 2000-519976 A2 20000307
OTHER SOURCE(S): MARPAT 135:142236

AB Pharmaceutical formulations comprise 1 or more active ingredients or their salts, solvates, **hydrates**, or combinations, wherein the ratio of the active ingredients in the formulations is essentially the same as the ratio of the active ingredients in the corresponding nonformulated drug. Thus, pure 6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1H-benzimidazole was obtained by saturating (5)6-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)-methyl]sulfinyl]-1H-benzimidazole in MeOH solution and equilibrating for 4 days. Thus, capsules contained drug 300, lactose 700, microcryst. cellulose 40, HPC 62, disodium hydrogen phosphate 2, and water qs.

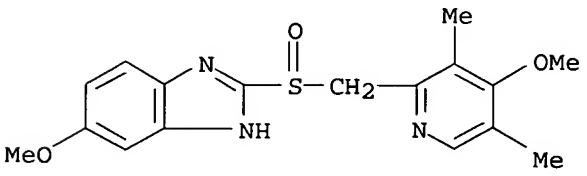
IT **73590-58-6P**
RL: BPR (Biological process); BSU (Biological study, unclassified); PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(dry blend pharmaceutical formulations)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT **95510-70-6P 161796-77-6P 161796-78-7P**
RL: PUR (Purification or recovery); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dry blend pharmaceutical formulations)

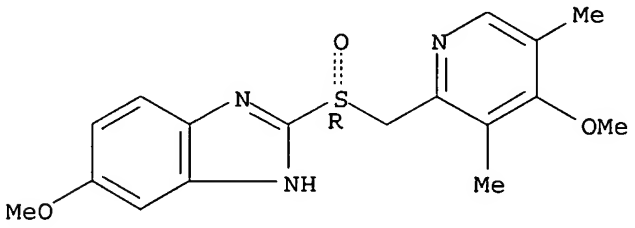
RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

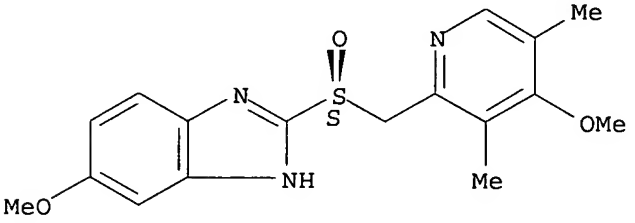
Absolute stereochemistry. Rotation (+).



● Na

RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

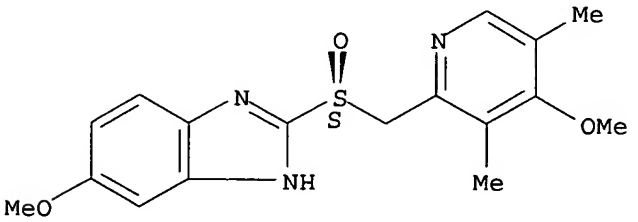
Absolute stereochemistry. Rotation (-).



● Na

IT **119141-88-7P 119141-89-8P**
RL: PUR (Purification or recovery); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(dry blend pharmaceutical formulations)
RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

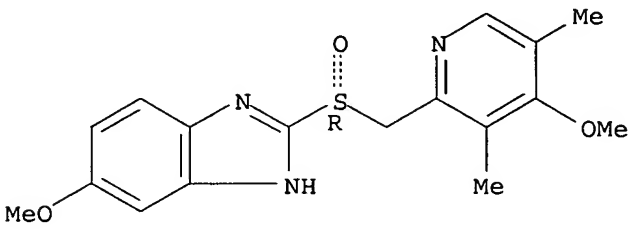
Absolute stereochemistry. Rotation (-).



RN 119141-89-8 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



REFERENCE COUNT: 146 THERE ARE 146 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:338762 CAPLUS
DOCUMENT NUMBER: 134:362292
TITLE: Methods of determining individual hypersensitivity to a pharmaceutical agent from gene expression profile
INVENTOR(S): Farr, Spencer
PATENT ASSIGNEE(S): Phase-1 Molecular Toxicology, USA
SOURCE: PCT Int. Appl., 222 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001032928	A2	20010510	WO 2000-US30474	20001103
WO 2001032928	A3	20020725		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

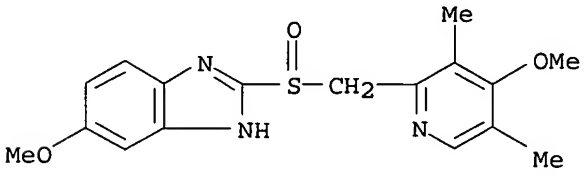
PRIORITY APPLN. INFO.: US 1999-165398P P 19991105
US 2000-196571P P 20000411

AB The invention discloses methods, gene databases, gene arrays, protein arrays, and devices that may be used to determine the hypersensitivity of individuals to a given agent, such as drug or other chemical, in order to prevent toxic side effects. In one embodiment, methods of identifying hypersensitivity in a subject by obtaining a gene expression profile of multiple genes associated with hypersensitivity of the subject suspected to be hypersensitive, and identifying in the gene expression profile of the subject a pattern of gene expression of the genes associated with hypersensitivity are disclosed. The gene expression profile of the subject may be compared with the gene expression profile of a normal individual and a hypersensitive individual. The gene expression profile of the subject that is obtained may comprise a profile of levels of mRNA or cDNA. The gene expression profile may be obtained by using an array of nucleic acid probes for the plurality of genes associated with hypersensitivity. The expression of the genes predetd. to be associated with

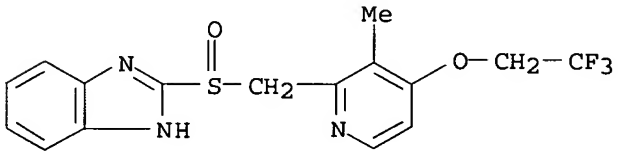
hypersensitivity is directly related to prevention or repair of toxic damage at the tissue, organ or system level. Gene databases arrays and apparatus useful for identifying hypersensitivity in a subject are also disclosed.

IT **73590-58-6**, Omeprazole **103577-45-3**, Lansoprazole **117976-89-3**, Rabeprazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); BIOL (Biological study)
(methods of determining individual hypersensitivity to a pharmaceutical agent
from gene expression profile)

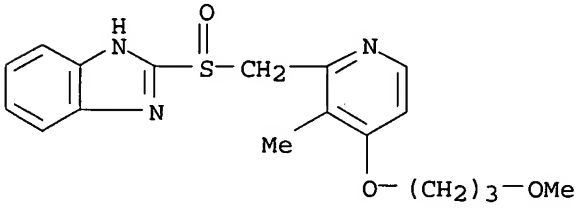
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

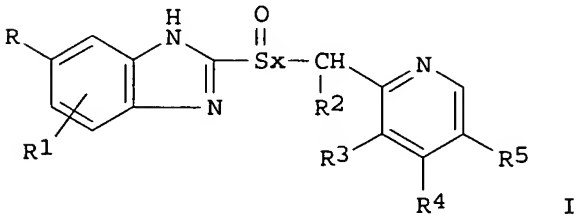


L5 ANSWER 34 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2001:152673 CAPLUS
DOCUMENT NUMBER: 134:212723
TITLE: Pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion
INVENTOR(S): Whittle, Robert R.; Sancilio, Frederick D.; Stowell, Grayson Walker; Jenkins, Douglas John; Whittall, Linda; Meyer, Glenn Alan
PATENT ASSIGNEE(S): Applied Analytical Industries, Inc., USA
SOURCE: PCT Int. Appl., 137 pp.

CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 9
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 2001014367	A1	20010301	WO 2000-US23363		20000825
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM					
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG					
US 6262085	B1	20010717	US 2000-519976		20000307
CA 2382867	AA	20010301	CA 2000-2382867		20000825
AU 2000070737	A5	20010319	AU 2000-70737		20000825
AU 777667	B2	20041028			
BR 2000014145	A	20020514	BR 2000-14145		20000825
EP 1206466	A1	20020522	EP 2000-959404		20000825
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL					
JP 2003507475	T2	20030225	JP 2001-518698		20000825
SI 20974	C	20030228	SI 2000-20039		20000825
NO 2002000914	A	20020426	NO 2002-914		20020225
PRIORITY APPLN. INFO.:			US 1999-150878P	P	19990826
			US 2000-519976	A	20000307
			WO 2000-US23363	W	20000825

OTHER SOURCE(S): MARPAT 134:212723
GI



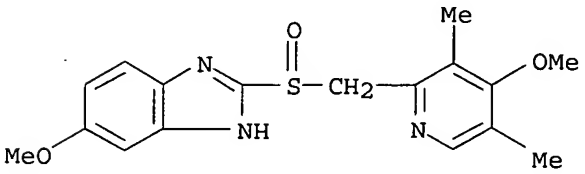
I

AB I, including omeprazole and its enantiomers, are disclosed by the invention, along with pharmaceutically acceptable salts, solvates, **hydrates**, or combinations optionally in combination with the 5-R-substituted analog, that are useful for inhibiting gastric acid secretion in mammals. Pharmaceutical formulations and methods of making and using such compds. are also disclosed. I (where Sx = chiral S atom comprising at least 1 of the diastereoisomers, R = alkoxy; R1 = H, alkyl, halo, carboalkoxy, alkoxy, alkanoyl; R2 = H or alkyl; and R3, R4, and R5 = H, alkyl, alkoxy, or alkoxyalkoxy, wherein when R4 is alkoxy and R3 and R5 are not H, the alkyl substituent of such alkoxy group is ≥1). The compds. may be used to treat disorders such as duodenal ulcer, H. pylori infection, and gastric ulcer. Pure 6-methoxy-2-[[(4-methoxy-=3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-1H-benzimidazole (II) was separated in solution
and

characterized. Enteric-coated tablets contained 225 mg II.

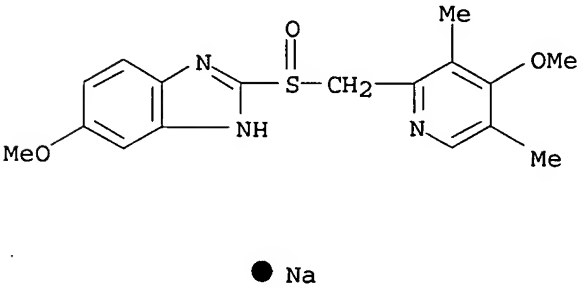
IT **73590-58-6**
RL: BPR (Biological process); BSU (Biological study, unclassified); FMU (Formation, unclassified); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); PROC (Process); USES (Uses)
(pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



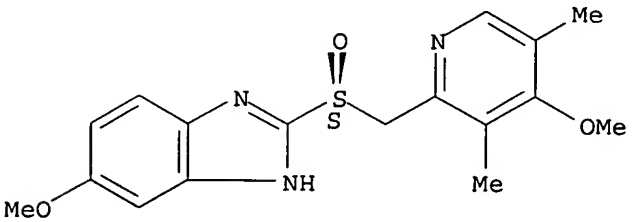
IT **95510-70-6 119141-88-7 119141-89-8**
161796-77-6 161796-78-7
RL: FMU (Formation, unclassified); THU (Therapeutic use); BIOL (Biological study); FORM (Formation, nonpreparative); USES (Uses)
(pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion)

RN 95510-70-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

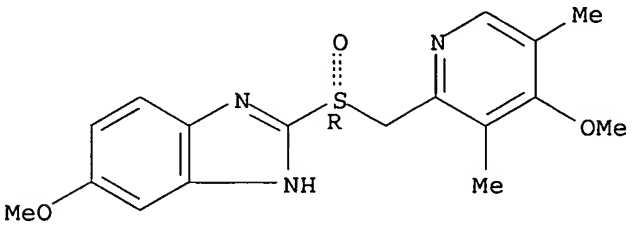
Absolute stereochemistry. Rotation (-).



RN 119141-89-8 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-

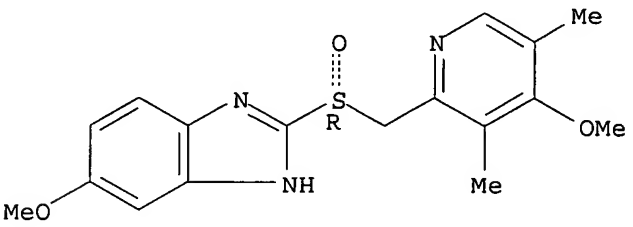
pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



RN 161796-77-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(R)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

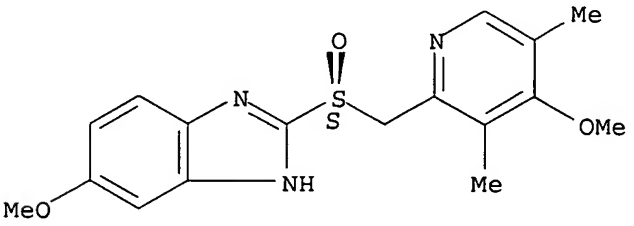
Absolute stereochemistry. Rotation (+).



● Na

RN 161796-78-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)

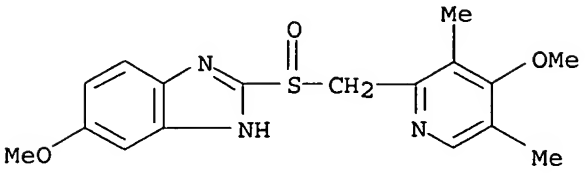
Absolute stereochemistry. Rotation (-).



● Na

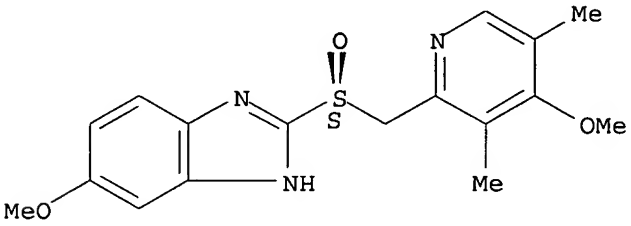
IT **73590-58-6DP**, magnesium complex, tetrahydrate
119141-88-7DP, magnesium complex
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(pharmaceuticals containing alkoxybenzimidazoles for inhibition of gastric acid secretion)
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-

pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 119141-88-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[(S)-[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 35 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:875749 CAPLUS
DOCUMENT NUMBER: 134:33001
TITLE: Alkali metal and alkaline-earth metal salts of acetaminophen
INVENTOR(S): Ohannesian, Lena A.; Nadig, David; Higgins, John D., III; Rey, Max; Martellucci, Stephen A.
PATENT ASSIGNEE(S): McNeil-PPC, Inc., USA
SOURCE: U.S., 10 pp., Cont.-in-part of U.S. Ser. No. 987,210, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6160020	A	20001212	US 1998-100284	19980619
WO 9966919	A1	19991229	WO 1999-US13064	19990609
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
AU 9943380	A1	20000110	AU 1999-43380	19990609
PRIORITY APPLN. INFO.:			US 1996-771176 B2	19961220
			US 1997-987210 B2	19971209
			US 1998-100284 A	19980619

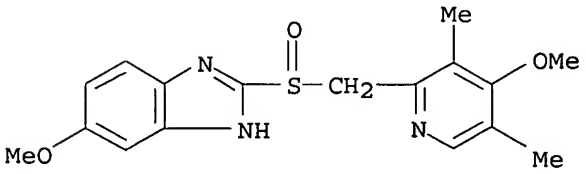
WO 1999-US13064 W 19990609

AB Isolated salts of acetaminophen are disclosed. Alkali metal and alkaline-earth metal salts of acetaminophen are formed by reacting the free acid of acetaminophen with the corresponding metal hydroxide and then immediately isolating the resulting salt. These salts have been found to be more water soluble and less bitter in taste than the free acid form of acetaminophen. The isolated salts may also be combined with other active ingredients. A tablet contained calcium acetaminophen 368.23, chlorpheniramine maleate 2, microcryst. cellulose 520.77, silica 4.5, and Mg stearate 4.5 mg.

IT **73590-58-6**, Omeprazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(oral compns. containing acetaminophen metal salt and other actives)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 30 THERE ARE 30 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:227470 CAPLUS
DOCUMENT NUMBER: 132:255811
TITLE: Fast dissolving orally consumable films
INVENTOR(S): Leung, Sau-Hung Spence; Leone, Robert S.; Kumar, Lori Dee; Kulkarni, Neema; Sorg, Albert F.
PATENT ASSIGNEE(S): Warner-Lambert Company, USA
SOURCE: PCT Int. Appl., 54 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

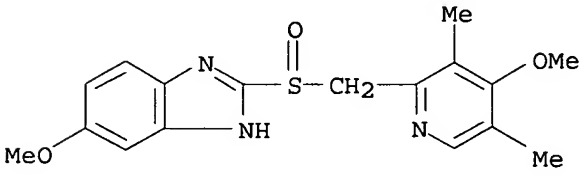
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000018365	A2	20000406	WO 1999-US22115	19990923
WO 2000018365	A3	20001116		
W: AE, AL, AU, BA, BB, BG, BR, CA, CN, CR, CU, CZ, DM, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KP, KR, LC, LK, LR, LT, LV, MG, MK, MN, MX, NO, NZ, PL, RO, SG, SI, SK, SL, TR, TT, TZ, UA, UZ, VN, YU, ZA, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2339353	AA	20000406	CA 1999-2339353	19990923
AU 9960593	A1	20000417	AU 1999-60593	19990923
AU 771862	B2	20040401		
EP 1115372	A2	20010718	EP 1999-969668	19990923
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				

JP 2002525306	T2	20020813	JP 2000-571886		19990923
EE 200100186	A	20020815	EE 2001-186		19990923
ZA 2001001706	A	20030528	ZA 2001-1706		20010228
NO 2001001476	A	20010322	NO 2001-1476		20010322
US 2005031675	A1	20050210	US 2004-941193		20040915
PRIORITY APPLN. INFO.:			US 1998-101798P	P	19980925
			US 1999-395104	A1	19990914
			WO 1999-US22115	W	19990923
			US 2003-418368	A1	20030417

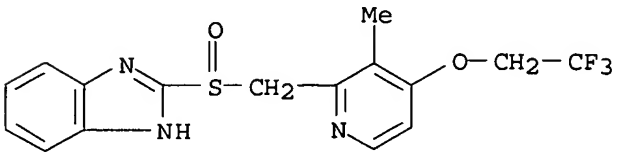
AB Physiol. acceptable films, including edible films, are disclosed. The films include a water soluble film-forming polymer such as pullulan. Edible films are disclosed that include pullulan and antimicrobially effective amts. of the essential oils thymol, Me salicylate, eucalyptol and menthol. The edible films are effective at killing the plaque-producing germs that cause dental plaque, gingivitis and bad breath. The film can also contain pharmaceutically active agents. Methods for producing the films are also disclosed.

IT **73590-58-6**, Omeprazole **103577-45-3**, Lansoprazole
RL: BUU (Biological use, unclassified); MOA (Modifier or additive use); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(fast dissolving orally consumable films for killing plaque-producing germs)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)

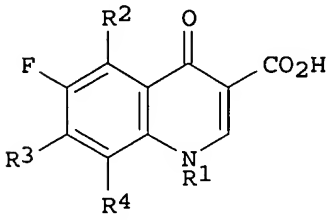


L5 ANSWER 37 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2000:59104 CAPLUS
DOCUMENT NUMBER:	132:117524
TITLE:	Anti-Helicobacter pylori drugs containing fluoroquinolonecarboxylic acids and their uses
INVENTOR(S):	Sato, Kenichi
PATENT ASSIGNEE(S):	Daiichi Seiyaku Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 23 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2000026296	A2	20000125	JP 1998-198204	19980714
PRIORITY APPLN. INFO.:			JP 1998-198204	19980714
OTHER SOURCE(S):	MARPAT 132:117524			

GI

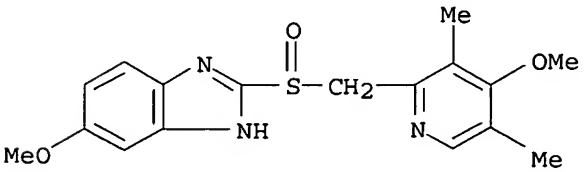


I

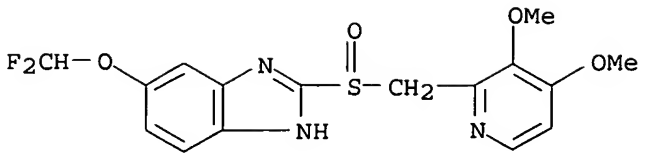
AB The drugs, useful for prevention and treatment of gastritis, peptic ulcer, gastric cancer, etc., contain fluoroquinolonecarboxylic acids I [R1 = (un)substituted C3-6 cycloalkyl; R2 = H, NH2; R3 = substituted or condensed pyrrolidinyl group (11 specific groups are given); R4 = halo, C1-6 alkyl, C1-6 alkoxy; R1 and R4 may be bonded together to form OCH2CHMe], their salts, or their **hydrates** as active ingredients. The drugs may be used in combination with proton pump inhibitors. MIC50 and MIC90 of (-)-7-[7(S)-amino-5-azaspiro[2,4]heptan-5-yl]-8-chloro-6-fluoro-1-[(1R,2S)-cis-2-fluoro-1-cyclopropyl]-1,4-dihydro-4-oxoquinolone-3-carboxylic acid (II) against H. pylori were 0.1 and 0.2 μg/mL, resp., 25.0 and 50.0 μg/mL, resp., for pantoprazole. The additive effect of II and pantoprazole was also examined

IT **73590-58-6 102625-70-7 103577-45-3**
117976-89-3
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination use with; preparation of fluoroquinolonecarboxylic acids as anti-Helicobacter pylori drugs for gastritis and peptic ulcer and gastric cancer)

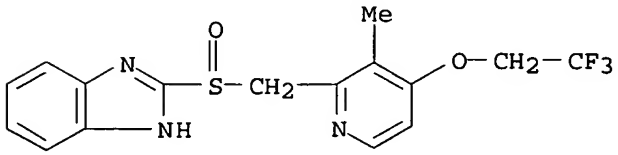
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



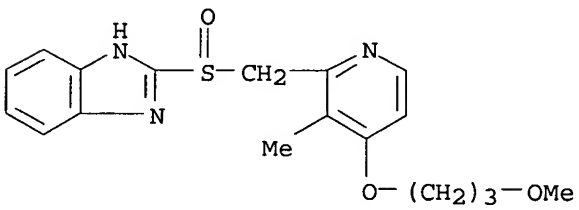
RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 117976-89-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[4-(3-methoxypropoxy)-3-methyl-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 38 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:819235 CAPLUS
DOCUMENT NUMBER: 132:54898
TITLE: Pharmaceutical composition containing a salt of acetaminophen and at least one other active ingredient
INVENTOR(S): Ohannesian, Lena A.; Nadig, David; Higgins, John D., III; Rey, Max; Martellucci, Stephen A.
PATENT ASSIGNEE(S): Mcneil-PPC, Inc., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 3
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9966919	A1	19991229	WO 1999-US13064	19990609

W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG,

CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

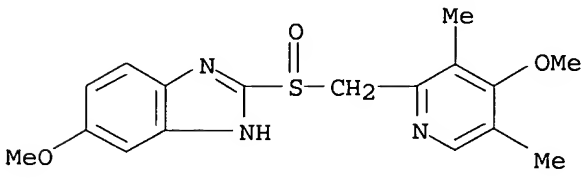
US 6160020	A	20001212	US 1998-100284	19980619
AU 9943380	A1	20000110	AU 1999-43380	19990609
PRIORITY APPLN. INFO.:			US 1998-100284 A	19980619
			US 1996-771176 B2	19961220
			US 1997-987210 B2	19971209
			WO 1999-US13064 W	19990609

AB This invention relates to pharmaceutical compns. comprising an alkali or alkaline-earth metal salt of acetaminophen and at least one other active ingredient selected from the group consisting of analgesics, decongestants, expectorants, antitussives, antihistamines, gastrointestinal agents, diuretics, bronchodilators and mixts. thereof. The acetaminophen salts have both improved aqueous solubility and a less bitter taste than the free acid form of acetaminophen. A tablet contained acetaminophen calcium salt 368.23, chlorpheniramine maleate 2, microcryst. cellulose 520.77, Cab-O-Sil M5 4.5, and Mg stearate 4.5 mg.

IT **73590-58-6**, Omeprazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. containing acetaminophen salts and other drugs)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



REFERENCE COUNT: 10 THERE ARE 10 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 39 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1999:758297 CAPLUS
DOCUMENT NUMBER:	132:325917
TITLE:	Sorption/desorption study of PP/K-10 ethanol and ethanol-water solvate with DVS
AUTHOR(S):	Gartner, A.; Pavli, V.; Vrecer, F.
CORPORATE SOURCE:	R & D Div., KRKA, Novo mesto, 8501, Slovenia
SOURCE:	Farmacevtski Vestnik (Ljubljana) (1999), 50(Pos. Stev.), 345-346
	CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER:	Slovensko Farmacevtsko Drustvo
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB The results of DVS (Dynamic Vapor Sorption) study of the sorption/desorption properties of two PP/K-10 (2-[[[2(1H)-benzimidazolyl]sulfinyl]methyl]3-methyl-4-(2,2,2-trifluoroethoxy)pyridine) solvates, i.e. ethanolate and ethanolate-**hydrate**, are presented and the possible mechanism of water sorption and desorption of both solvates is discussed. In the structure of both desolvated products, mols. of the solvent are trapped in the structure of the crystals. Water with higher activity began supplanting the solvent mols. in the structure and total mass was decreasing. In the sec. cycle this phenomenon disappeared and both products showed nearly the same isotherms. The resemblance of sorption/desorption behavior of both solvates was attributed to the similarity in structure of both solvates and likeness of

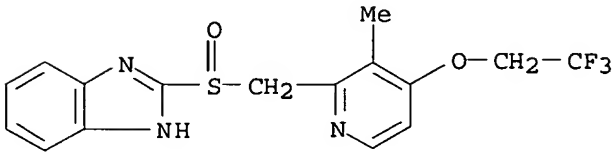
the sorption and desorption mechanisms. The similarity of structures was confirmed by x-ray diffraction, DSC and TG anal.

IT **266306-09-6**, PP/K-10 ethanolate **hydrate**
266356-21-2, PP/K-10 ethanolate
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sorption/desorption of solvates of benzimidazole derivative PP/K-10)

RN 266306-09-6 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole, hydrate (9CI) (CA INDEX NAME)

CM 1

CRN 103577-45-3
CMF C16 H14 F3 N3 O2 S



CM 2

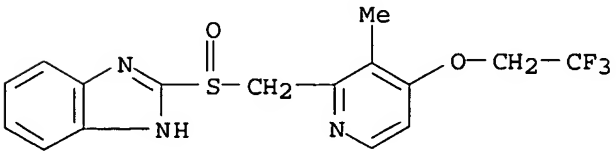
CRN 64-17-5
CMF C2 H6 O

H_3C-CH_2-OH

RN 266356-21-2 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]-1H-benzimidazole (9CI) (CA INDEX NAME)

CM 1

CRN 103577-45-3
CMF C16 H14 F3 N3 O2 S



CM 2

CRN 64-17-5
CMF C2 H6 O

H_3C-CH_2-OH

L5 ANSWER 40 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:722856 CAPLUS
DOCUMENT NUMBER: 131:332110
TITLE: Treatment of celiac disease
INVENTOR(S): Sjostrom, Hans; Noren, Ove
PATENT ASSIGNEE(S): Kobenhavns Universitet, Den.
SOURCE: PCT Int. Appl., 79 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9956698	A2	19991111	WO 1999-DK255	19990506
WO 9956698	A3	19991229		
W: AE, AL, AM, AT, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, CZ, DE, DE, DK, DK, EE, EE, ES, FI, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
RW: GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1075267	A2	20010214	EP 1999-917810	19990506
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				

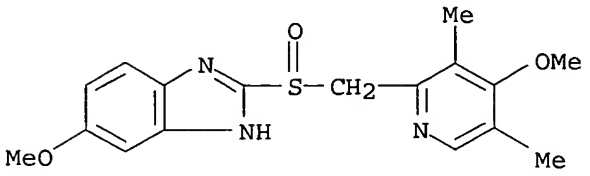
PRIORITY APPLN. INFO.:			
DK 1998-621	A	19980506	
US 1998-91545P	P	19980701	
WO 1999-DK255	W	19990506	

AB The present invention relates to a method of treating celiac disease comprising interfering with the deamidation of at least one glutamine residue in a gliadin or glutenin mol. This may be provided by prohibiting or interfering with the deamidation of at least one glutamine residue by derivation of at least one glutamine residue in a gliadin or glutenin mol. in wheat flour by a chemical or enzymic deamidation of gluten followed by chemical or enzymic derivation of the generated carboxyl group(s). In a further aspect, the invention relates to a method of interfering with the deamidation of at least one glutamine residue in a gliadin or glutenin mol. and thereby treating celiac disease, the method comprising administering, to a patient having or suspected of having celiac disease, at least one of the following substances: (a) a substance which is capable of increasing the pH in the gastroduodenal tract of a subject, e.g. an antacidum, an anticholinergic agent, H2-receptor antagonists or a proton pump inhibitor, (b) a substance which is capable of eliminating deamidating bacteria in the gastroduodenal tract of a subject, e.g. an antibiotic or antimicrobial agent, and/or (c) a substance which is capable of interfering with the effect of at least one deamidating enzyme in the gastroduodenal tract of a subject.

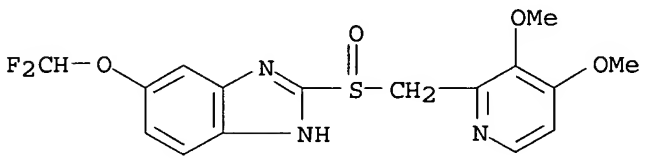
IT **73590-58-6**, Omeprazole **102625-70-7**, Pantoprazole **103577-45-3**, Lansoprazole
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(proton pump inhibitor, celiac disease treatment with; treatment of

celiac disease by interfering with deamidation of glutamine residue of gliadins or glutenins in wheat flour)

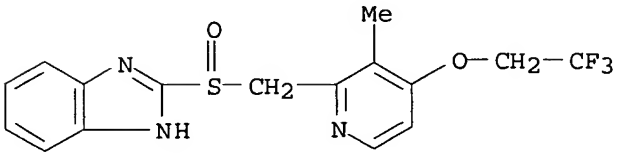
RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 102625-70-7 CAPLUS
CN 1H-Benzimidazole, 5-(difluoromethoxy)-2-[[(3,4-dimethoxy-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



RN 103577-45-3 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]sulfinyl]- (9CI) (CA INDEX NAME)



L5 ANSWER 41 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1999:649443 CAPLUS
DOCUMENT NUMBER: 131:291265
TITLE: Omeprazole salt **hydrate** as antacid and its preparation
INVENTOR(S): Yan, Yimin; Ge, Jilin; Tu, Yongrui
PATENT ASSIGNEE(S): Changzhou Pharmaceutical Factory No.4, Peop. Rep. China
SOURCE: Faming Zhuanli Shenqing Gongkai Shuomingshu, 33 pp.
CODEN: CNXXEV
DOCUMENT TYPE: Patent
LANGUAGE: Chinese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

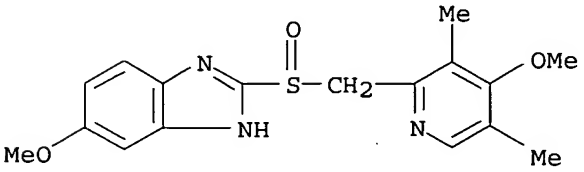
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
CN 1136564	A	19961127	CN 1995-111640	19950525
CN 1042423	B	19990310		
PRIORITY APPLN. INFO.:			CN 1995-111640	19950525

AB Omeprazole salt **hydrate** as antacid and its preparation are claimed. As an example, omeprazole sodium salt **hydrate** is prepared by passing omeprazole in alc. through a D201 resin column which is pretreated with NaCl solution, NaOH solution and then water, introducing NaOH in aqueous alc. solution from the bottom part with pressure and collecting an active ingredient fraction from the upper part of the column, concentrating, treating with 2 vols. of organic solvent to precipitate, filtering, and drying to obtain omeprazole sodium salt **hydrate**.

IT **73590-58-6**, Omeprazole
RL: RCT (Reactant); RACT (Reactant or reagent)
(omeprazole salt **hydrate** as antacid and its preparation)

RN 73590-58-6 CAPLUS

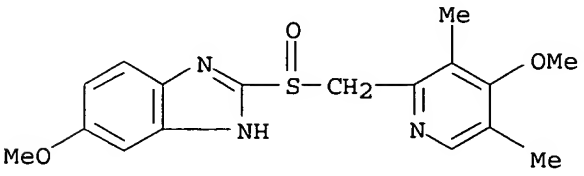
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



IT **95510-70-6P**, Omeprazole sodium salt **95510-71-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(omeprazole salt **hydrate** as antacid and its preparation)

RN 95510-70-6 CAPLUS

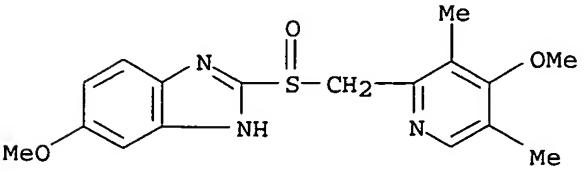
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt (9CI) (CA INDEX NAME)



● Na

RN 95510-71-7 CAPLUS

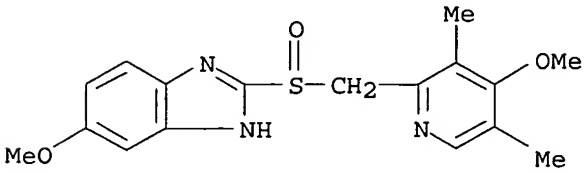
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt (9CI) (CA INDEX NAME)



● K

IT **246860-60-6P 246860-61-7P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(omeprazole salt **hydrate** as antacid and its preparation)

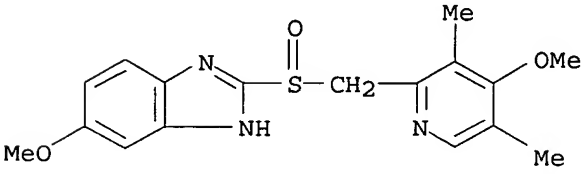
RN 246860-60-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, sodium salt, hydrate (9CI) (CA INDEX NAME)



● Na

● x H_2O

RN 246860-61-7 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]-, potassium salt, hydrate (9CI) (CA INDEX NAME)



● K

● x H_2O

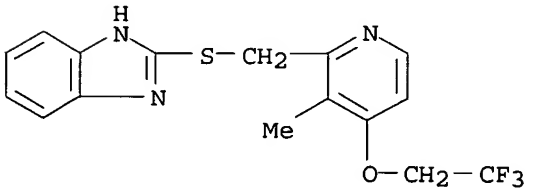
L5 ANSWER 42 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1997:724482 CAPLUS
DOCUMENT NUMBER: 127:362541
TITLE: Solid state characterization of K-1252
AUTHOR(S): Kovar-Jordan, B.; Vrecer, F.
CORPORATE SOURCE: KRKA, d.d., Novo Mesto, R&D Division, Novo Mesto, 8501, Slovenia
SOURCE: Farmacevtski Vestnik (Ljubljana) (1997), 48(Pos. Stev.), 288-289
CODEN: FMVTAV; ISSN: 0014-8229
PUBLISHER: Slovensko Farmacevtsko Drustvo

DOCUMENT TYPE: Journal
LANGUAGE: English

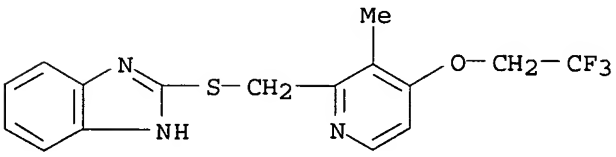
AB Two polymorphs, 2 **hydrates** (ratios 4:3 and 4:1) and solvates of K-1252 [2-[[[3-methyl-4-(2,2,2,-trifluoroethoxy)-2-pyridyl]methyl]thio]-1H-benzimidazole] were isolated and characterized by DSC, thermogravimetric anal., FT-IR, powder diffractometry and NMR.

IT **103577-40-8**, K 1252 **198544-90-0 198544-91-1 198544-92-2 198544-93-3**
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(solid state characterization of K-1252 benzimidazole)

RN 103577-40-8 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]- (9CI) (CA INDEX NAME)

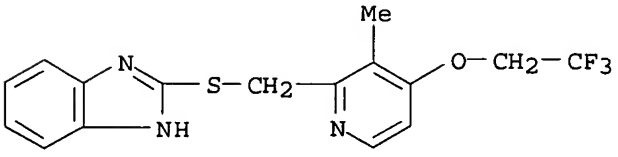


RN 198544-90-0 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-, hydrate (4:3) (9CI) (CA INDEX NAME)



●3/4 H_2O

RN 198544-91-1 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-, hydrate (4:1) (9CI) (CA INDEX NAME)

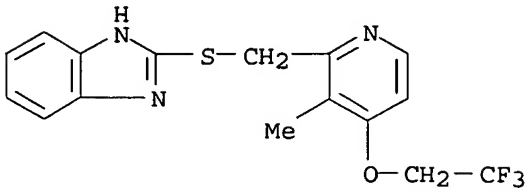


●1/4 H_2O

RN 198544-92-2 CAPLUS
CN 2-Propanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-benzimidazole (9CI) (CA INDEX NAME)

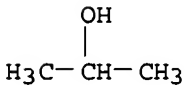
CM 1

CRN 103577-40-8
CMF C16 H14 F3 N3 O S



CM 2

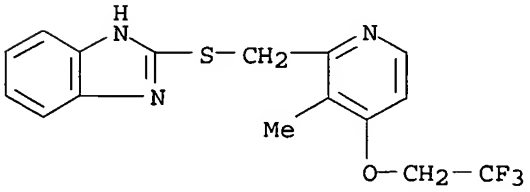
CRN 67-63-0
CMF C3 H8 O



RN 198544-93-3 CAPLUS
CN Ethanol, compd. with 2-[[[3-methyl-4-(2,2,2-trifluoroethoxy)-2-pyridinyl]methyl]thio]-1H-benzimidazole (9CI) (CA INDEX NAME)

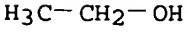
CM 1

CRN 103577-40-8
CMF C16 H14 F3 N3 O S



CM 2

CRN 64-17-5
CMF C2 H6 O



REFERENCE COUNT: 1 THERE ARE 1 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 43 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:574209 CAPLUS

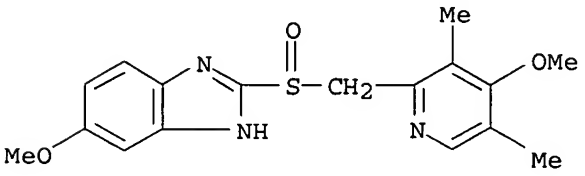
DOCUMENT NUMBER: 119:174209
TITLE: Therapeutic combinations of gastrin antagonists and ATPase inhibitors for the treatment of peptic disorders
INVENTOR(S): Horwell, David Christopher; Hunter, John Cureton
PATENT ASSIGNEE(S): Warner-Lambert Co., USA
SOURCE: PCT Int. Appl., 31 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.		DATE
WO 9312817	A1	19930708	WO 1992-US10692		19921211
W: AU, CA, JP, NZ					
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE					
AU 9332475	A1	19930728	AU 1993-32475		19921211
PRIORITY APPLN. INFO.:			US 1991-811487	A	19911220
			WO 1992-US10692	A	19921211

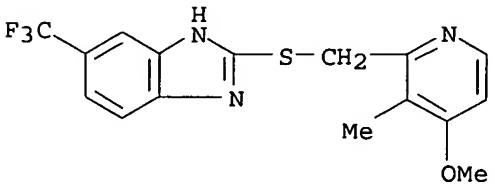
AB Combinations of proton pump inhibitors and CCK-B/gastrin antagonists are effective in the treatment of peptic disorders, such as ulcers and gastroesophageal reflux disease and in the treatment of Zollinger-Ellison syndrome. Pharmacol. effects of [R-[R*, S*-(E)]]-4-[[2-[[3-(1H-indol-3-yl)-2-methyl-1-oxo-2-[[(tricyclo[3.3.1.13,7]dec-2-yloxy)carbonylamino]propylamino]-3-phenylpropylamino-4-oxo-2-butenoic acid as gastrin antagonist in combination with BY 308 as ATPase inhibitor were tested with rats.

IT **73590-58-6**, Omeprazole **86604-69-5**, BY 308 **103949-62-8**
RL: BIOL (Biological study)
(gastrointestinal disorder treatment with gastrin antagonists and)

RN 73590-58-6 CAPLUS
CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)

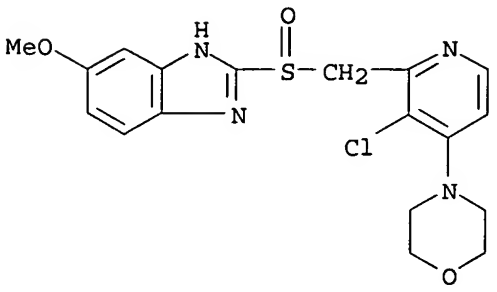


RN 86604-69-5 CAPLUS
CN 1H-Benzimidazole, 2-[[(4-methoxy-3-methyl-2-pyridinyl)methyl]thio]-5-(trifluoromethyl)- (9CI) (CA INDEX NAME)



RN 103949-62-8 CAPLUS
CN 1H-Benzimidazole, 2-[[[3-chloro-4-(4-morpholinyl)-2-

pyridinyl]methyl]sulfinyl]-5-methoxy- (9CI) (CA INDEX NAME)



L5 ANSWER 44 OF 44 CAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 1993:45769 CAPLUS
DOCUMENT NUMBER: 118:45769
TITLE: Ingestible pharmaceutical compositions for treating upper gastrointestinal tract distress
INVENTOR(S): Upson, James Grigg; Russell, Carmelita Macklin
PATENT ASSIGNEE(S): Procter and Gamble Co., USA
SOURCE: PCT Int. Appl., 14 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9217164	A1	19921015	WO 1992-US1981	19920313
W: AT, AU, BB, BG, BR, CA, CH, CS, DE, DK, ES, FI, GB, HU, JP, KP, KR, LK, LU, MG, MN, MW, NL, NO, PL, RO, RU, SD, SE				
RW: AT, BE, BF, BJ, CF, CG, CH, CI, CM, DE, DK, ES, FR, GA, GB, GN, GR, IT, LU, MC, ML, MR, NL, SE, SN, TD, TG				
CA 2106215	AA	19921005	CA 1992-2106215	19920313
CA 2106215	C	19970527		
AU 9217614	A1	19921102	AU 1992-17614	19920313
AU 665349	B2	19960104		
EP 578768	A1	19940119	EP 1992-910661	19920313
EP 578768	B1	19950927		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE				
BR 9205827	A	19940628	BR 1992-5827	19920313
HU 65881	A2	19940728	HU 1993-2970	19920313
HU 213203	B	19970328		
JP 06506682	T2	19940728	JP 1992-509679	19920313
AT 128351	E	19951015	AT 1992-910661	19920313
ES 2077417	T3	19951116	ES 1992-910661	19920313
CZ 282105	B6	19970514	CZ 1993-2260	19920313
RU 2126249	C1	19990220	RU 1993-58394	19920313
US 5244670	A	19930914	US 1992-887128	19920520
US 5629013	A	19970513	US 1994-234510	19940428
PRIORITY APPLN. INFO.:			US 1991-680459 A	19910404
			WO 1992-US1981 A	19920313
			US 1992-874663 B1	19920427
			US 1993-104282 B1	19930811

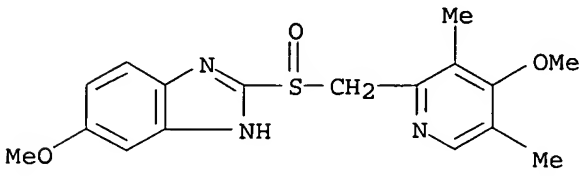
AB A composition contains a drug useful for treating upper gastrointestinal tract distress (antacids, acid secretion prevention agents, Bi-containing drugs, and their mixts.) and an excipient comprising 3-l-menthoxypropane-1,2-diol (MPD) to provide a cooling sensation to the throat. A formulation containing

CaCO3 42.87, Mg stearate 2.5, colored speckles 0.75, flavorants 0.78, MPD 0.07, N-ethyl-p-menthane-3-carboxamide 0.05, aspartame 0.198, Na saccharin 0.102%, and mannitol q.s. was compressed into chewable tablets suitable for relief of heartburn, acid indigestion, and upset stomach.

IT **73590-58-6**, Omeprazole
RL: BIOL (Biological study)
(pharmaceuticals for treatment of upper gastrointestinal distress containing)

RN 73590-58-6 CAPLUS

CN 1H-Benzimidazole, 5-methoxy-2-[[(4-methoxy-3,5-dimethyl-2-pyridinyl)methyl]sulfinyl]- (9CI) (CA INDEX NAME)



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